```
=> d his ful

     (FILE 'HOME' ENTERED AT 13:32:33 ON 20 JUL 2005)

     FILE 'REGISTRY' ENTERED AT 13:32:39 ON 20 JUL 2005
                ACT HABTE466PAR/A
               ---------
L1              STR
L2         1178 SEA SSS FUL L1
               ---------
L3              STR
L4           13 SEA SUB=L2 SSS SAM L3
L5          231 SEA SUB=L2 SSS FUL L3
L6           75 SEA ABB=ON  PLU=ON  L5 AND (NC4-NC5/ES OR N2C3-NC5/ES OR
                NCNC2-NC5/ES OR N3C2-NC5/ES)
L7          156 SEA ABB=ON  PLU=ON  L5 NOT L6

     FILE 'HCAPLUS' ENTERED AT 13:38:26 ON 20 JUL 2005
L8           17 SEA ABB=ON  PLU=ON  L6
                D QUE L8
                D L8 IBIB ABS HITSTR 1-17

     FILE 'STNGUIDE' ENTERED AT 13:40:02 ON 20 JUL 2005

     FILE 'REGISTRY' ENTERED AT 13:43:51 ON 20 JUL 2005
L9              STR L3
L10          206 SEA SUB=L2 SSS FUL L9
L11          193 SEA ABB=ON  PLU=ON  L10 AND (NC4-NC5/ES OR N2C3-NC5/ES OR
                NCNC2-NC5/ES OR N3C2-NC5/ES)

     FILE 'HCAPLUS' ENTERED AT 13:47:11 ON 20 JUL 2005
L12          24 SEA ABB=ON  PLU=ON  L11

     FILE 'REGISTRY' ENTERED AT 13:47:31 ON 20 JUL 2005
L13             STR L9
L14           0 SEA SUB=L2 SSS SAM L13
L15           4 SEA SUB=L2 SSS FUL L13
                D SCA

     FILE 'HCAPLUS' ENTERED AT 13:49:14 ON 20 JUL 2005
L16           2 SEA ABB=ON  PLU=ON  L15
                DIS

     FILE 'REGISTRY' ENTERED AT 13:49:33 ON 20 JUL 2005
L17             STR L13
L18          50 SEA SUB=L2 SSS FUL L17

     FILE 'HCAPLUS' ENTERED AT 13:50:46 ON 20 JUL 2005
L19          16 SEA ABB=ON  PLU=ON  L18


     FILE HOME

     FILE REGISTRY
     Property values tagged with IC are from the ZIC/VINITI data file
     provided by InfoChem.

     STRUCTURE FILE UPDATES:   19 JUL 2005  HIGHEST RN 856046-16-7
     DICTIONARY FILE UPDATES:  19 JUL 2005  HIGHEST RN 856046-16-7
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New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

****
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
****

Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

FILE HCAPLUS

FILE COVERS 1907 - 20 Jul 2005 VOL 143 ISS 4
FILE LAST UPDATED: 19 Jul 2005 (20050719/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE STNGUIDE
FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Jul 15, 2005 (20050715/UP).

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=> s l8 or l12 or l16 or l19
L20         50 L8 OR L12 OR L16 OR L19

=> d stat que l20
L1              STR
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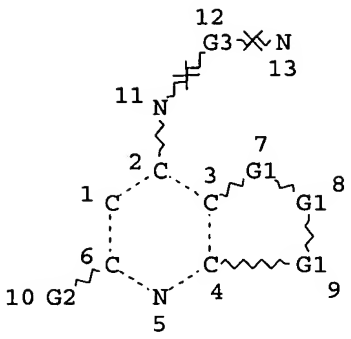

Ak @14 Cb @15 Ak Cb @16 17 Ak X @18 19

Ak O @20 21 Ak CN @22 23 N @24 Ak N @25 26

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VAR G1=C/N
VAR G2=H/14/15/16/CN/X/18/O/20/22/24/25
REP G3=(2-6) C
NODE ATTRIBUTES:
NSPEC   IS RC     AT  24
NSPEC   IS RC     AT  26
CONNECT IS E1  RC AT  14
CONNECT IS E1  RC AT  15
CONNECT IS E2  RC AT  16
CONNECT IS E1  RC AT  17
CONNECT IS E2  RC AT  20
CONNECT IS E2  RC AT  22
CONNECT IS E2  RC AT  25
DEFAULT MLEVEL IS ATOM
GGCAT   IS LOC  AT  14
GGCAT   IS SAT  AT  15
GGCAT   IS LOC  AT  16
GGCAT   IS SAT  AT  17
GGCAT   IS LOC  AT  18
GGCAT   IS LOC  AT  20
GGCAT   IS LOC  AT  22
GGCAT   IS LOC  AT  25
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  26

STEREO ATTRIBUTES: NONE
L2          1178 SEA FILE=REGISTRY SSS FUL L1
L3               STR
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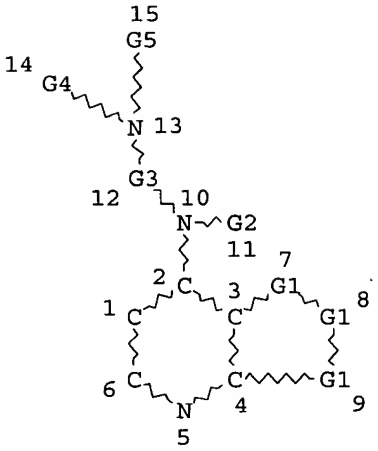
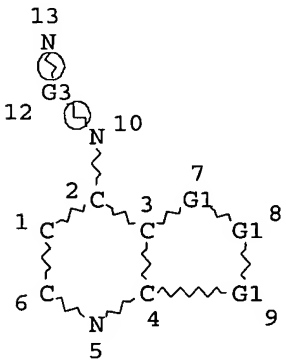


Ak @16 Cb @17 Ak Cb @18 19 Cb @20

VAR G1=C/N
VAR G2=H/16/17/18
REP G3=(2-6) C
VAR G4=AK/CY
VAR G5=H/AK/20
NODE ATTRIBUTES:
CONNECT IS E1 RC AT 16
CONNECT IS E1 RC AT 17
CONNECT IS E2 RC AT 18
CONNECT IS E1 RC AT 19
DEFAULT MLEVEL IS ATOM
GGCAT IS SAT AT 17
GGCAT IS SAT AT 19
GGCAT IS SAT AT 20
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 20

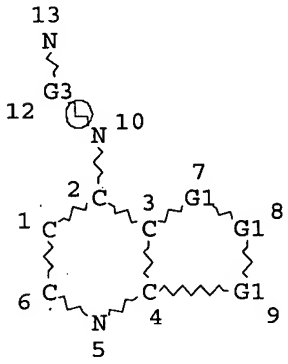
STEREO ATTRIBUTES: NONE
L5 231 SEA FILE=REGISTRY SUB=L2 SSS FUL L3
L6 75 SEA FILE=REGISTRY ABB=ON PLU=ON L5 AND (NC4-NC5/ES OR N2C3-NC5/ES OR NCNC2-NC5/ES OR N3C2-NC5/ES)
L8 17 SEA FILE=HCAPLUS ABB=ON PLU=ON L6
L9 STR



VAR G1=C/N
REP G3=(2-6) C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE
L10 206 SEA FILE=REGISTRY SUB=L2 SSS FUL L9
L11 193 SEA FILE=REGISTRY ABB=ON PLU=ON L10 AND (NC4-NC5/ES OR N2C3-NC5/ES OR NCNC2-NC5/ES OR N3C2-NC5/ES)
L12 24 SEA FILE=HCAPLUS ABB=ON PLU=ON L11
L13 STR



VAR G1=C/N
REP G3=(2-6) C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE
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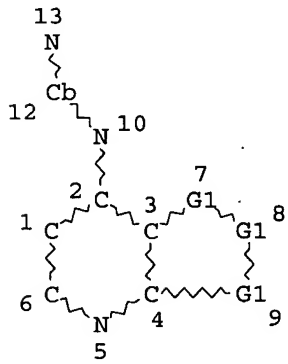
L16 2 SEA FILE=HCAPLUS ABB=ON PLU=ON L15
L17 STR



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VAR G1=C/N
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS M3-X6 C  AT  12

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  12

STEREO ATTRIBUTES: NONE
L18          50 SEA FILE=REGISTRY SUB=L2 SSS FUL L17
L19          16 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L18
L20          50 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L8 OR L12 OR L16 OR L19


=> d l20 ibib abs hitstr 1-50

L20  ANSWER 1 OF 50  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2005:490266  HCAPLUS
DOCUMENT NUMBER:          143:40007
TITLE:                    AKT protein kinase inhibitors for use in treatment of
                          hyperproliferative diseases
INVENTOR(S):              Mitchell, Ian S.; Spencer, Keith L.; Stengel, Peter;
                          Han, Yongxin; Kallan, Nicholas C.; Munson, Mark;
                          Vigers, Guy P. A.; Blake, James; Piscopio, Anthony;
                          Josey, John; Miller, Scott; Xiao, Dengming; Xu, Riu;
                          Rao, Chang; Wang, Bin; Bernacki, April L.
PATENT ASSIGNEE(S):       Array Biopharma Inc., USA
SOURCE:                   PCT Int. Appl., 234 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
     WO 2005051304         A2    20050609    WO 2004-US39094         20041119
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO,
             SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
     US 2005130954         A1    20050616    US 2004-993173          20041119
PRIORITY APPLN. INFO.:                     US 2003-524003P      P   20031121
AB   The present invention provides compds., including resolved enantiomers,
     diastereomers, solvates and pharmaceutically acceptable salts thereof, and
     methods of using the compds. of this invention as AKT protein kinase
     inhibitors and for the treatment of hyperproliferative diseases such as
     cancer.  Thus, over 100 compds. were synthesized.  Several of these
     compds., including (2R)-2-amino-3-(4-chlorophenyl)-1-(4-quinazolin-4-
     ylpiperazin-1-yl)propan-1-one, (2R)-2-amino-3-(2-naphthyl)-1-(4-quinazolin-
```
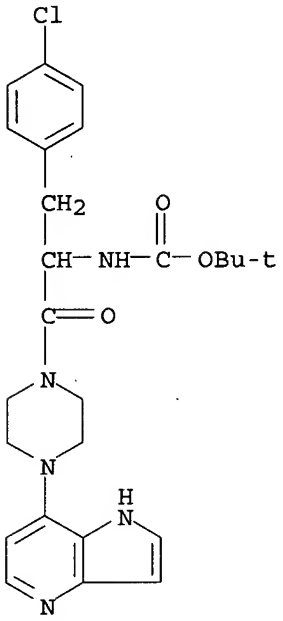

4-ylpiperazin-1-yl)propan-1-one, and (2R)-2-amino-3-(4-chlorophenyl)-1-(4-thieno[3,2,b]pyridin-7-yl-piperazin-1-yl)propan-1-one inhibited human AKT-1 protein kinase in in vitro assays.

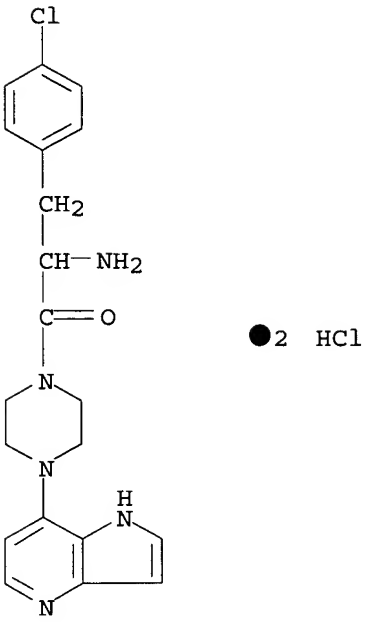
IT **853679-47-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(AKT protein kinase inhibitors for use in treatment of hyperproliferative diseases)

RN 853679-47-7 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED



IT **853678-52-1P 853678-84-9P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(AKT protein kinase inhibitors for use in treatment of hyperproliferative diseases)

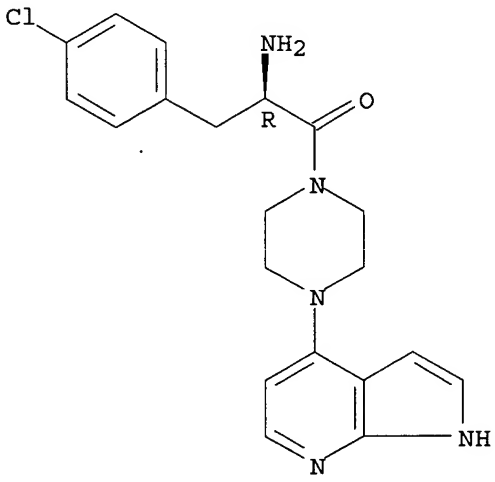
RN 853678-52-1 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED



● 2 HCl

RN 853678-84-9 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



● HCl

L20 ANSWER 2 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:927207 HCAPLUS
DOCUMENT NUMBER: 141:395557
TITLE: Preparation of condensed heterocycles as CRF receptor antagonists for treatment of depression, anxiety, IBS, and IBD

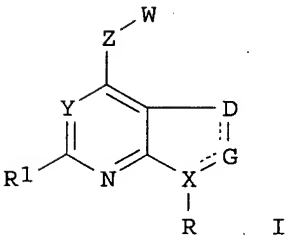
INVENTOR(S): Andreotti, Daniele; Bernasconi, Giovanni; Castiglioni, Emiliano; Contini, Stefania; Di Fabio, Romano; Fazzolari, Elettra; Feriani, Aldo; Gentile, Gabriella; Mattioli, Mario; Mingardi, Anna; Sabbatini, Fabio; St.-Denis, Yves
PATENT ASSIGNEE(S): SB Pharmco Puerto Rico Inc., USA; Neurocrine Biosciences Inc.
SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004094420	A1	20041104	WO 2004-IB1350	20040407

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2003-8208 A 20030409
US 2003-485322P P 20030707

OTHER SOURCE(S): MARPAT 141:395557
GI



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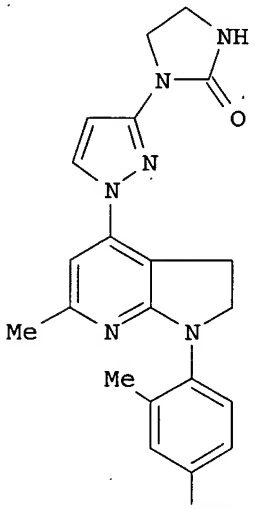


II

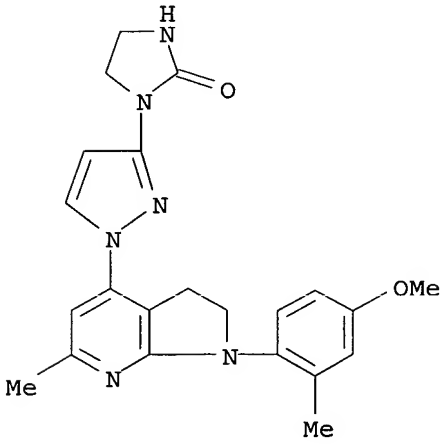
AB Title [(pyrrolo[2,3-b]pyridinyl)pyrazolyl]imidazolidinones and related compds. I [wherein D = CR8R9, CR8; G = CR10R11, CR10; W = (un)substituted carbocyclyl, heterocyclyl; X = C, N; Y = N, CR7; Z = (un)substituted

heterocyclyl, Ph; R = (un)substituted (hetero)aryl; R1 = H, (cyclo)alkyl, (halo)alkoxy, alkylthio, alkenyl, alkynyl, halo(alkyl), halo, NR3R4, CN; R3, R4 = independently H, alkyl; R7 = H, (halo)alkyl, halo; R8-R11 = independently H, (cyclo)alkyl, alkenyl, alkynyl, NR3R4, CN; and stereoisomers, prodrugs and pharmaceutically acceptable salts, or solvates thereof] were prepared as corticotropin-releasing factor (CRF) antagonists. For example, 4-iodo-6-methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridine was coupled with 1-(1H-pyrazol-3-yl)imidazolidin-2-one (preparation of reactants given) in the presence of CuI, K2CO3, dodecane, and trans-cyclohexanediamine in anh. NMP to afford II (53%). In binding assays using recombinant human CRF1 and CRF2 receptors expressed in CHO cell membranes, compds. of the invention showed affinity for CRF receptors with Ki values of <10 μM. Thus, I and their pharmaceutical compns. are useful for the treatment of depression, anxiety, IBS, and IBD (no data).

IT **786701-13-1P**, 1-[1-[1-(4-Methoxy-2-methylphenyl)-6-methyl-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]imidazolidin-2-one
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(CRF antagonist; preparation of [(pyrrolopyridinyl)pyrazolyl]imidazolidinones and related compds. as CRF receptor antagonists for treatment of depression, anxiety, IBS, and IBD)

RN 786701-13-1 HCAPLUS

CN 2-Imidazolidinone, 1-[1-[2,3-dihydro-1-(4-methoxy-2-methylphenyl)-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



IT **786701-15-3P**, 1-[1-[1-(4-Methoxy-2-methylphenyl)-6-methyl-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-3-methylimidazolidin-2-one **786701-17-5P**, 1-[1-[1-(2,4-Dichlorophenyl)-6-methyl-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]imidazolidin-2-one **786701-19-7P**, 1-[1-[1-[2,4-Bis(trifluoromethyl)phenyl]-6-methyl-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-2-imidazolidinone **786701-20-0P**, 1-[1-[1-(4-Hydroxy-2-methylphenyl)-6-methyl-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-2-imidazolidinone **786701-22-2P**, 1-Acetyl-3-[1-[6-methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-2-imidazolidinone **786701-25-5P**, 1-[1-[1-[4-(Ethyloxy)-2-methylphenyl]-6-methyl-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-2-imidazolidinone **786701-27-7P**,

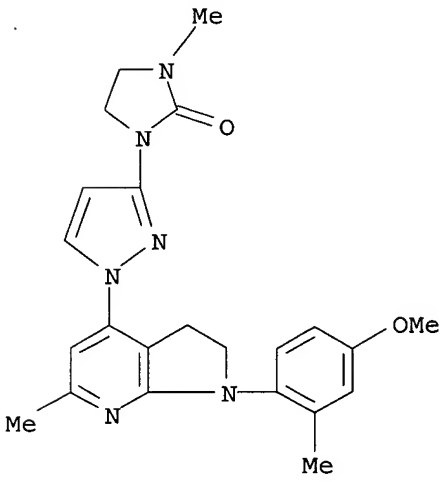
1-[1-[6-Methyl-1-[2-methyl-4-[(1-methylethyl)oxy]phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-2-imidazolidinone **786701-29-9P**, 1-[1-[6-Methyl-1-[2-methyl-4-[(trifluoromethyl)oxy]phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-2-imidazolidinone **786701-31-3P**, 3-Methyl-4-[6-methyl-4-[3-(2-oxo-1-imidazolidinyl)-1H-pyrazol-1-yl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-1-yl]benzonitrile **786701-34-6P**, 1-[1-[6-Methyl-1-[2-methyl-4-(1H-pyrazol-1-yl)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-2-imidazolidinone **786701-35-7P**, 4-[6-Methyl-4-[3-(2-oxo-1-imidazolidinyl)-1H-pyrazol-1-yl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-1-yl]-3-(trifluoromethyl)benzonitrile **786701-37-9P**, 1-[1-[1-[2-(Difluoromethyl)-4-(methyloxy)phenyl]-6-methyl-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-2-imidazolidinone **786701-40-4P**, 4-[6-Methyl-4-[3-(2-oxo-1-imidazolidinyl)-1H-pyrazol-1-yl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-1-yl]-3-[(trifluoromethyl)oxy]benzonitrile **786701-43-7P**, 3-Ethyl-4-[6-methyl-4-[3-(2-oxo-1-imidazolidinyl)-1H-pyrazol-1-yl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-1-yl]benzonitrile **786701-44-8P**, 1-[1-[6-Methyl-1-[2-(methyloxy)-4-(1H-pyrazol-1-yl)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-2-imidazolidinone **786701-46-0P**, 1-[1-[6-Methyl-1-(6-methyl-1,3-benzodioxol-5-yl)-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-2-imidazolidinone **786701-49-3P**, 1-[1-[6-Methyl-1-[2,4,6-tris(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-2-imidazolidinone **786701-57-3P**, 1-[1-[2,6-Dimethyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-2-imidazolidinone **786701-62-0P**, 1-[5-Methyl-1-[6-methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-2-imidazolidinone **786701-64-2P**, 1-[1-[1-[4-[(Difluoromethyl)oxy]-2-methylphenyl]-6-methyl-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-2-imidazolidinone **786701-66-4P**, 1-[1-[1-(4-Methoxy-2-methylphenyl)-6-methyl-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]pyrrolidin-2-one **786701-69-7P**, 1-[1-[1-(4-Methoxy-2-methylphenyl)-6-methyl-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]tetrahydropyrimidin-2(1H)-one **786701-72-2P**, 3-[1-[6-Methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-1,3-oxazolidin-2-one **786701-75-5P 786701-77-7P**, 4-[3-(1,1-Dioxido-1,2,5-thiadiazolidin-2-yl)-1H-pyrazol-1-yl]-6-methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridine **786701-79-9P**, 4-[3-(1,1-Dioxido-2-isothiazolidinyl)-1H-pyrazol-1-yl]-6-methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridine **786701-80-2P**, 3-Methyl-1-[1-[6-methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-2(1H)-pyridinone **786701-81-3P**, 2-[1-[6-Methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-3(2H)-pyridazinone **786701-83-5P**, 1-[1-[6-Methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-1,3-dihydro-2H-imidazol-2-one **786701-85-7P**, 1-[1-[6-Methyl-1-[2-methyl-4-(methyloxy)phenyl]-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-2-imidazolidinone

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

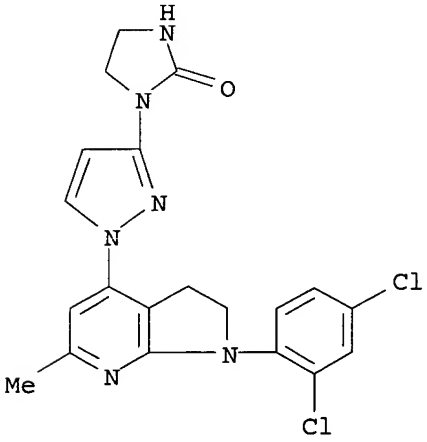
(CRF antagonist; preparation of [(pyrrolopyridinyl)pyrazolyl]imidazolidinones and related compds. as CRF receptor antagonists for treatment of depression, anxiety, IBS, and IBD)

RN 786701-15-3 HCAPLUS

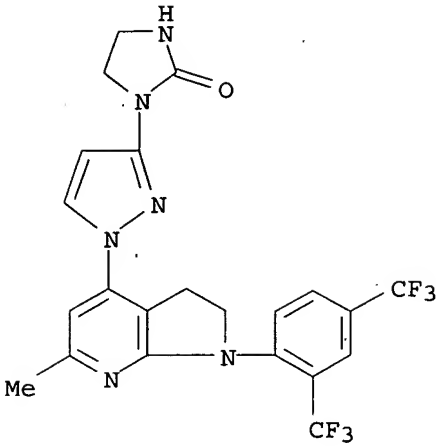
CN 2-Imidazolidinone, 1-[1-[2,3-dihydro-1-(4-methoxy-2-methylphenyl)-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-3-methyl- (9CI) (CA INDEX NAME)



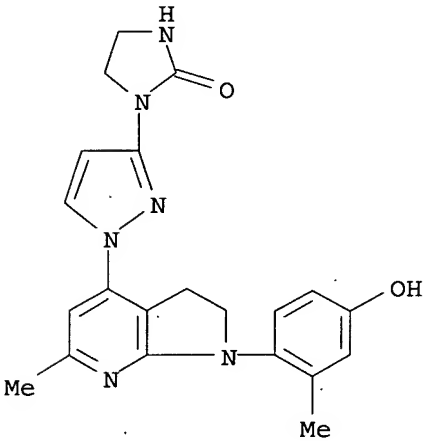
RN 786701-17-5 HCAPLUS
CN 2-Imidazolidinone, 1-[1-[1-(2,4-dichlorophenyl)-2,3-dihydro-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



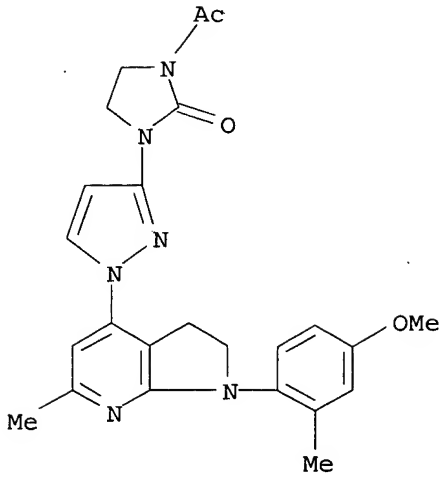
RN 786701-19-7 HCAPLUS
CN 2-Imidazolidinone, 1-[1-[1-[2,4-bis(trifluoromethyl)phenyl]-2,3-dihydro-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



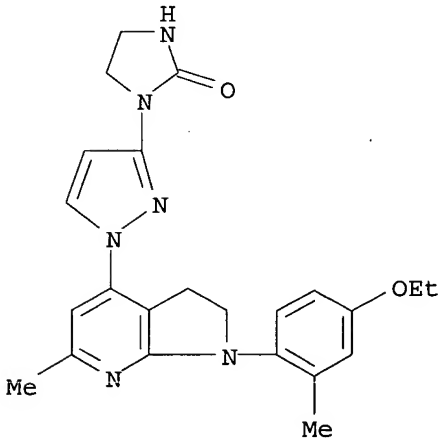
RN 786701-20-0 HCAPLUS
CN 2-Imidazolidinone, 1-[1-[2,3-dihydro-1-(4-hydroxy-2-methylphenyl)-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



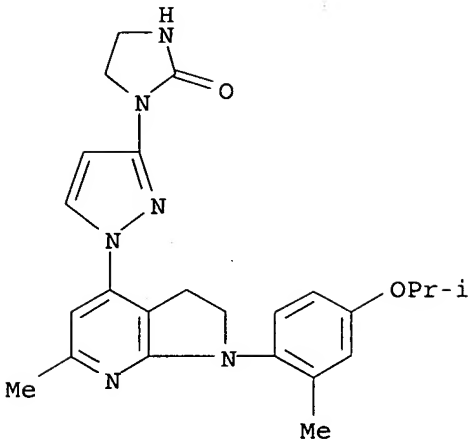
RN 786701-22-2 HCAPLUS
CN 2-Imidazolidinone, 1-acetyl-3-[1-[2,3-dihydro-1-(4-methoxy-2-methylphenyl)-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



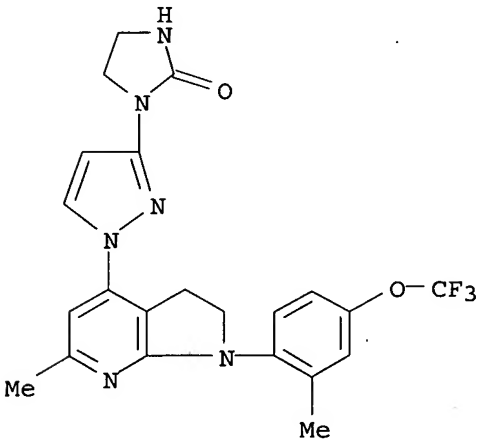
RN 786701-25-5 HCAPLUS
CN 2-Imidazolidinone, 1-[1-[1-(4-ethoxy-2-methylphenyl)-2,3-dihydro-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



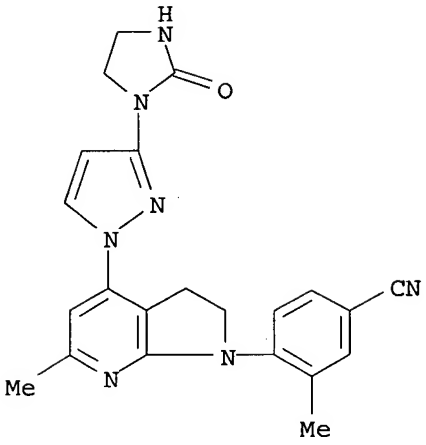
RN 786701-27-7 HCAPLUS
CN 2-Imidazolidinone, 1-[1-[2,3-dihydro-6-methyl-1-[2-methyl-4-(1-methylethoxy)phenyl]-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



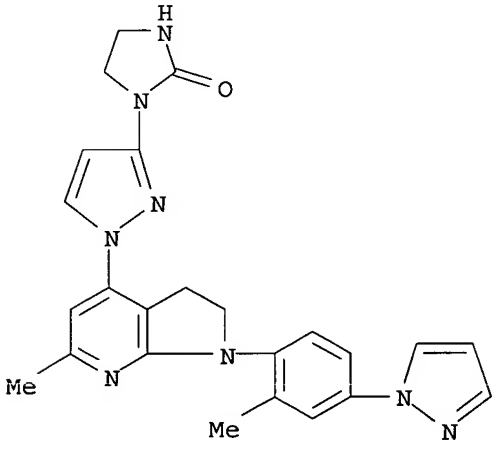
RN 786701-29-9 HCAPLUS
CN 2-Imidazolidinone, 1-[1-[2,3-dihydro-6-methyl-1-[2-methyl-4-(trifluoromethoxy)phenyl]-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



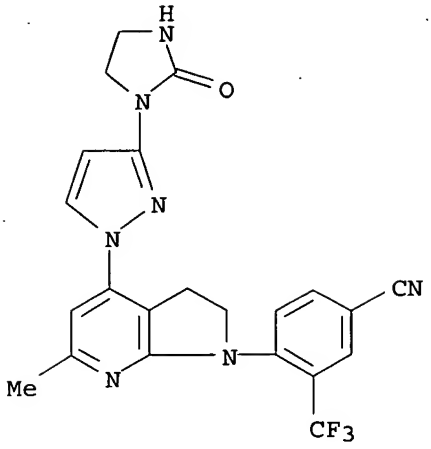
RN 786701-31-3 HCAPLUS
CN Benzonitrile, 4-[2,3-dihydro-6-methyl-4-[3-(2-oxo-1-imidazolidinyl)-1H-pyrazol-1-yl]-1H-pyrrolo[2,3-b]pyridin-1-yl]-3-methyl- (9CI) (CA INDEX NAME)



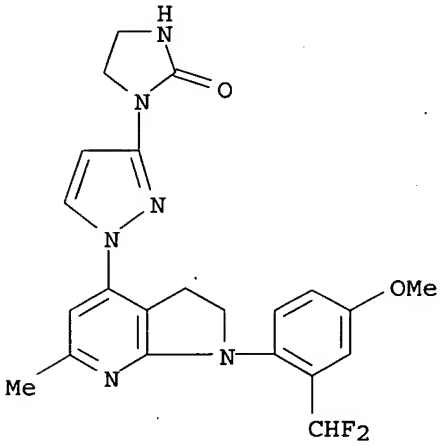
RN 786701-34-6 HCAPLUS
CN 2-Imidazolidinone, 1-[1-[2,3-dihydro-6-methyl-1-[2-methyl-4-(1H-pyrazol-1-yl)phenyl]-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



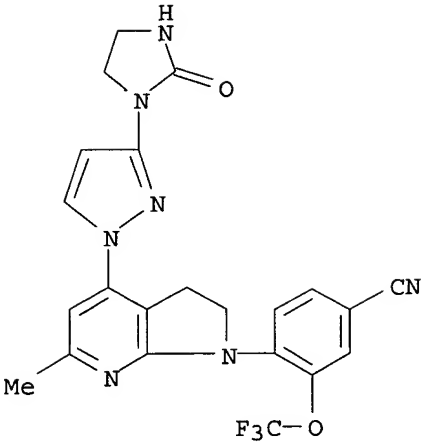
RN 786701-35-7 HCAPLUS
CN Benzonitrile, 4-[2,3-dihydro-6-methyl-4-[3-(2-oxo-1-imidazolidinyl)-1H-pyrazol-1-yl]-1H-pyrrolo[2,3-b]pyridin-1-yl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



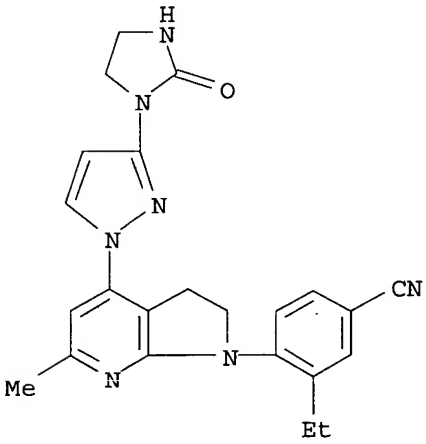
RN 786701-37-9 HCAPLUS
CN 2-Imidazolidinone, 1-[1-[1-[2-(difluoromethyl)-4-methoxyphenyl]-2,3-dihydro-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



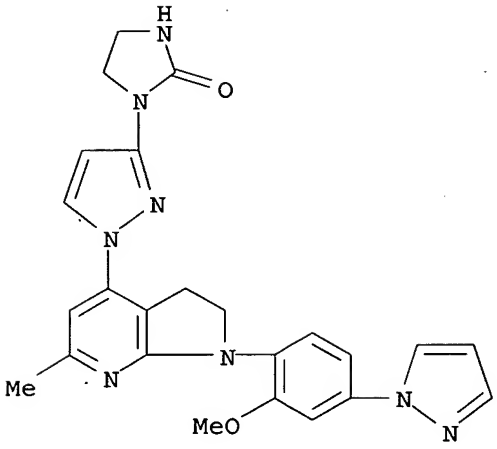
RN 786701-40-4 HCAPLUS
CN Benzonitrile, 4-[2,3-dihydro-6-methyl-4-[3-(2-oxo-1-imidazolidinyl)-1H-pyrazol-1-yl]-1H-pyrrolo[2,3-b]pyridin-1-yl]-3-(trifluoromethoxy)- (9CI) (CA INDEX NAME)



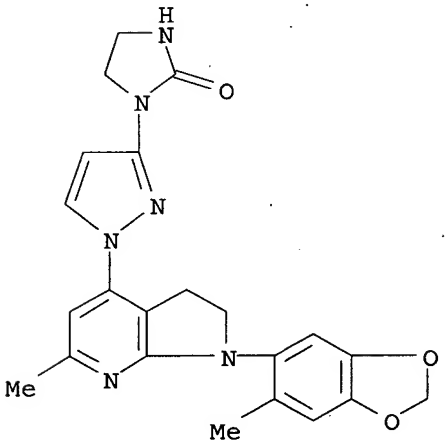
RN 786701-43-7 HCAPLUS
CN Benzonitrile, 4-[2,3-dihydro-6-methyl-4-[3-(2-oxo-1-imidazolidinyl)-1H-pyrazol-1-yl]-1H-pyrrolo[2,3-b]pyridin-1-yl]-3-ethyl- (9CI) (CA INDEX NAME)



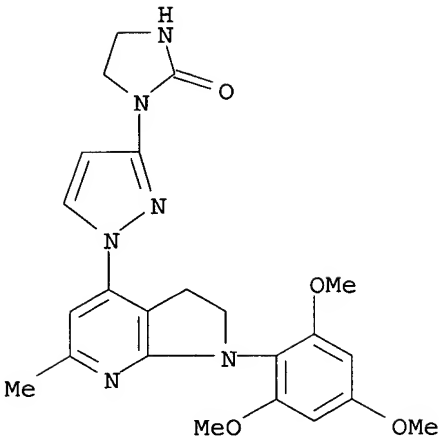
RN 786701-44-8 HCAPLUS
CN 2-Imidazolidinone, 1-[1-[2,3-dihydro-1-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



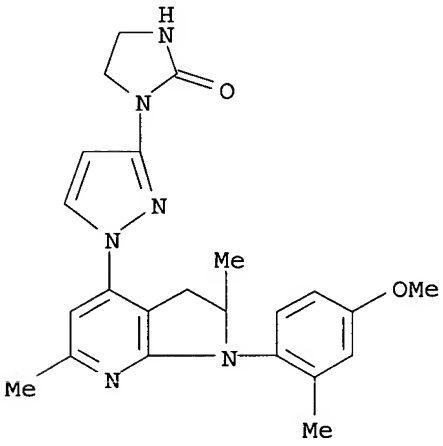
RN 786701-46-0 HCAPLUS
CN 2-Imidazolidinone, 1-[1-[2,3-dihydro-6-methyl-1-(6-methyl-1,3-benzodioxol-5-yl)-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



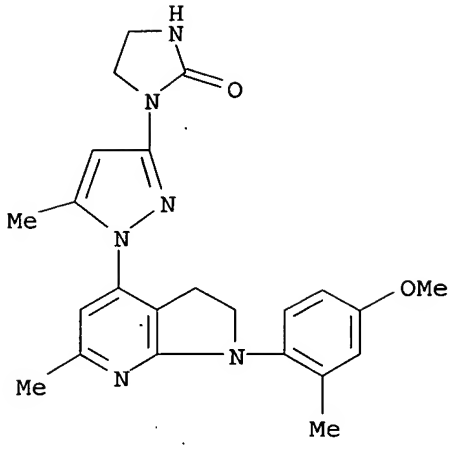
RN 786701-49-3 HCAPLUS
CN 2-Imidazolidinone, 1-[1-[2,3-dihydro-6-methyl-1-(2,4,6-trimethoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



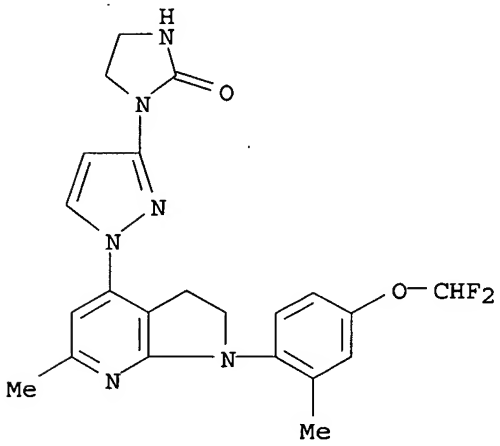
RN 786701-57-3 HCAPLUS
CN 2-Imidazolidinone, 1-[1-[2,3-dihydro-1-(4-methoxy-2-methylphenyl)-2,6-dimethyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



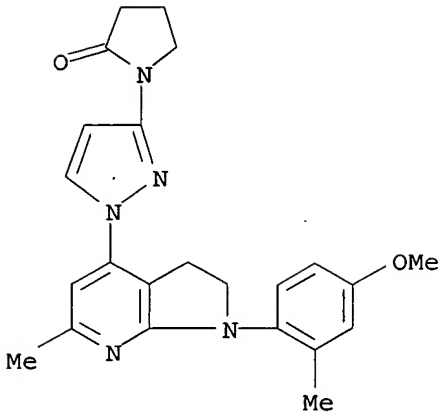
RN 786701-62-0 HCAPLUS
CN 2-Imidazolidinone, 1-[1-[2,3-dihydro-1-(4-methoxy-2-methylphenyl)-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-5-methyl-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



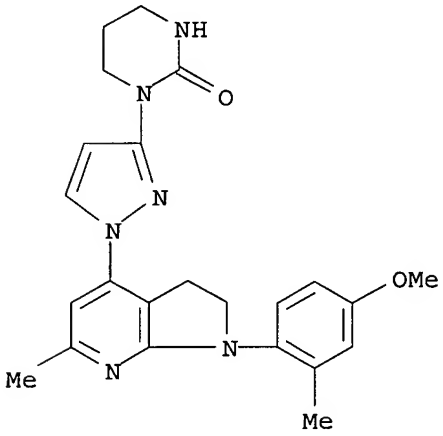
RN 786701-64-2 HCAPLUS
CN 2-Imidazolidinone, 1-[1-[1-[4-(difluoromethoxy)-2-methylphenyl]-2,3-dihydro-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



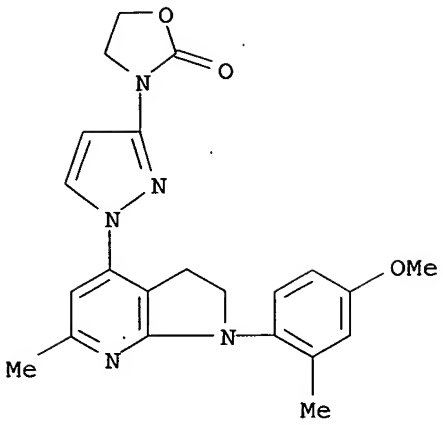
RN 786701-66-4 HCAPLUS
CN 2-Pyrrolidinone, 1-[1-[2,3-dihydro-1-(4-methoxy-2-methylphenyl)-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



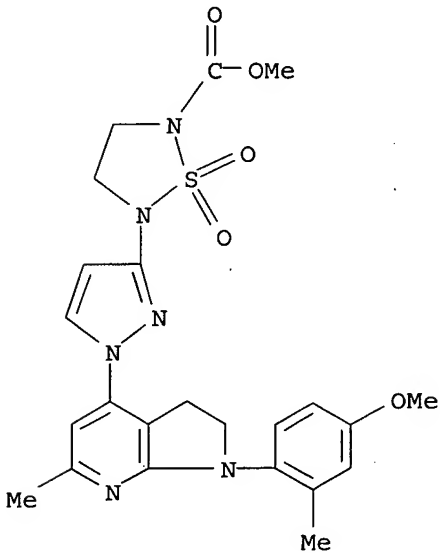
RN 786701-69-7 HCAPLUS
CN 2(1H)-Pyrimidinone, 1-[1-[2,3-dihydro-1-(4-methoxy-2-methylphenyl)-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]tetrahydro- (9CI) (CA INDEX NAME)



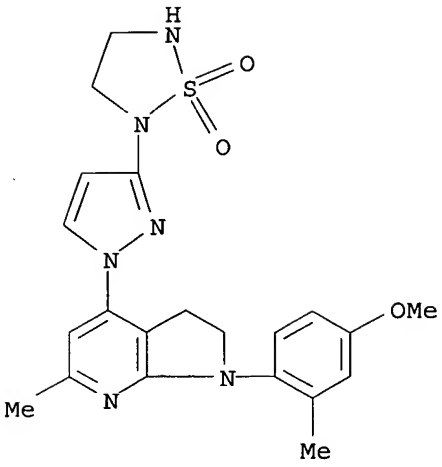
RN 786701-72-2 HCAPLUS
CN 2-Oxazolidinone, 3-[1-[2,3-dihydro-1-(4-methoxy-2-methylphenyl)-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



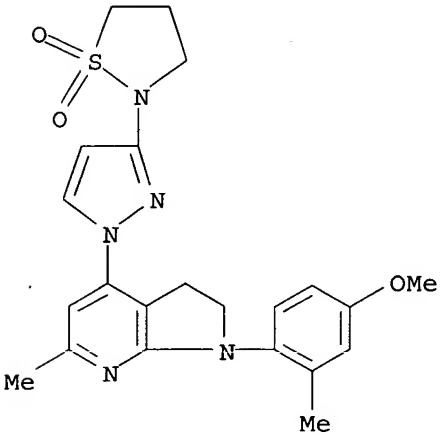
RN 786701-75-5 HCAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-[1-[2,3-dihydro-1-(4-methoxy-2-methylphenyl)-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



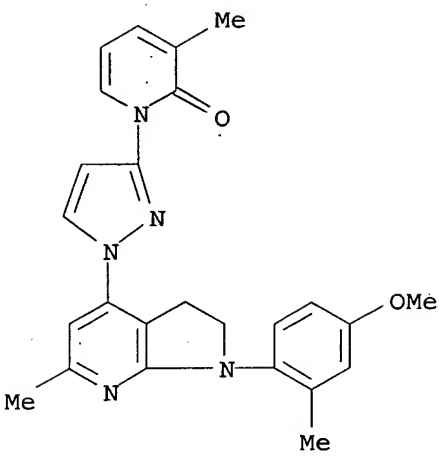
RN 786701-77-7 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 4-[3-(1,1-dioxido-1,2,5-thiadiazolidin-2-yl)-1H-pyrazol-1-yl]-2,3-dihydro-1-(4-methoxy-2-methylphenyl)-6-methyl- (9CI) (CA INDEX NAME)



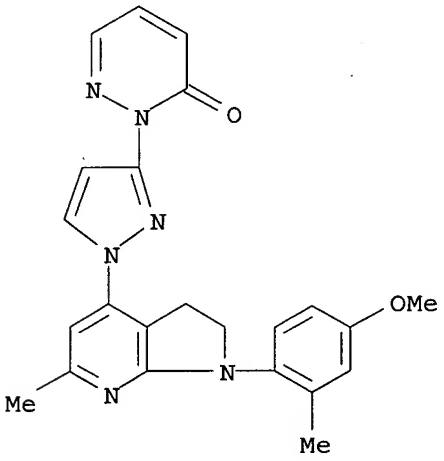
RN 786701-79-9 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 4-[3-(1,1-dioxido-2-isothiazolidinyl)-1H-pyrazol-1-yl]-2,3-dihydro-1-(4-methoxy-2-methylphenyl)-6-methyl- (9CI) (CA INDEX NAME)



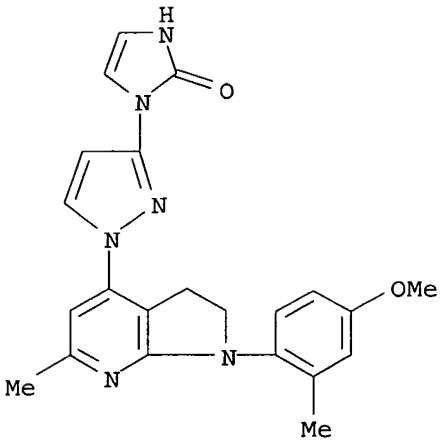
RN 786701-80-2 HCAPLUS
CN 2(1H)-Pyridinone, 1-[1-[2,3-dihydro-1-(4-methoxy-2-methylphenyl)-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-3-methyl- (9CI) (CA INDEX NAME)



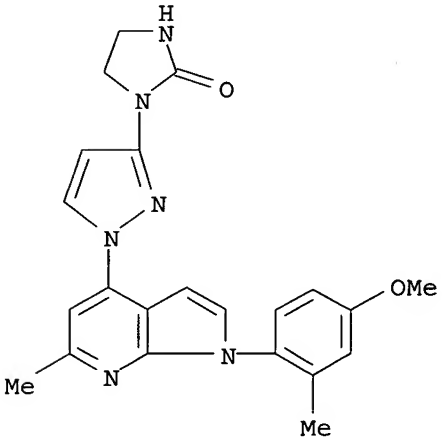
RN 786701-81-3 HCAPLUS
CN 3(2H)-Pyridazinone, 2-[1-[2,3-dihydro-1-(4-methoxy-2-methylphenyl)-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 786701-83-5 HCAPLUS
CN 2H-Imidazol-2-one, 1-[1-[2,3-dihydro-1-(4-methoxy-2-methylphenyl)-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-1,3-dihydro- (9CI) (CA INDEX NAME)



RN 786701-85-7 HCAPLUS
CN 2-Imidazolidinone, 1-[1-[1-(4-methoxy-2-methylphenyl)-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

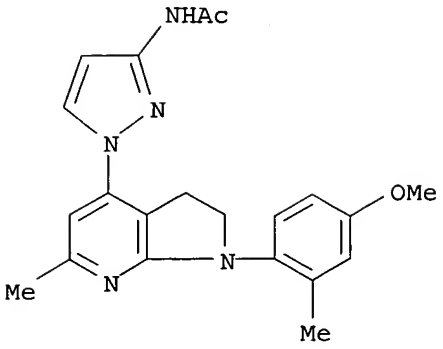


IT **786700-23-0P**, N-[1-[6-Methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]acetamide **786700-24-1P**, 1-[6-Methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-amine **786700-25-2P 786700-26-3P**, 2-[[1-[6-Methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]amino]ethanol **786700-27-4P**, 3-[[1-[6-Methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]amino]-1-propanesulfonic acid **786700-28-5P**, Phenyl [1-[6-methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]carbamate **786700-29-6P**, 1-(2,2-Diethoxyethyl)-3-[1-[6-methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]urea **786700-34-3P**, 1-Acetyl-3-[1-[1-(4-hydroxy-2-methylphenyl)-6-methyl-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-2-imidazolidinone **786700-35-4P**, 1-Acetyl-3-[1-[1-[4-(ethyloxy)-2-methylphenyl]-6-methyl-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-2-imidazolidinone **786700-36-5P**,

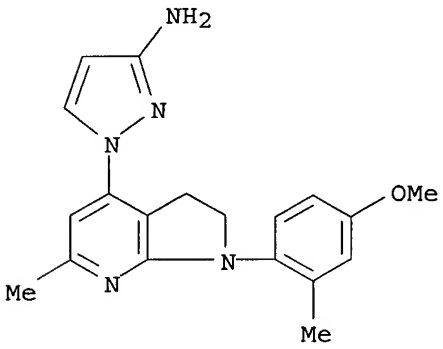
1-Acetyl-3-[1-[6-methyl-1-[2-methyl-4-[(1-methylethyl)oxy]phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-2-imidazolidinone **786700-37-6P**, 1-(1-Methylethyl)-3-[1-[6-methyl-1-[2-methyl-4-[(1-methylethyl)oxy]phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-2-imidazolidinone **786700-48-9P**, 1-[1-[6-Methyl-1-[2-methyl-4-[(trifluoromethyl)oxy]phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-3-[[4-(methyloxy)phenyl]methyl]-2-imidazolidinone **786700-51-4P**, 3-Methyl-4-[6-methyl-4-[3-[3-[[4-(methyloxy)phenyl]methyl]-2-oxo-1-imidazolidinyl]-1H-pyrazol-1-yl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-1-yl]benzonitrile **786700-54-7P**, 1-[1-[6-Methyl-1-[2-methyl-4-(1H-pyrazol-1-yl)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-3-[[4-(methyloxy)phenyl]methyl]-2-imidazolidinone **786700-57-0P**, 4-[6-Methyl-4-[3-[3-[[4-(methyloxy)phenyl]methyl]-2-oxo-1-imidazolidinyl]-1H-pyrazol-1-yl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-1-yl]-3-(trifluoromethyl)benzonitrile **786700-60-5P**, 1-[1-[1-[2-(Difluoromethyl)-4-(methyloxy)phenyl]-6-methyl-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-3-[[4-(methyloxy)phenyl]methyl]-2-imidazolidinone **786700-64-9P**, 4-[6-Methyl-4-[3-[3-[[4-(methyloxy)phenyl]methyl]-2-oxo-1-imidazolidinyl]-1H-pyrazol-1-yl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-1-yl]-3-[(trifluoromethyl)oxy]benzonitrile **786700-67-2P**, 3-Ethyl-4-[6-methyl-4-[3-[3-[[4-(methyloxy)phenyl]methyl]-2-oxo-1-imidazolidinyl]-1H-pyrazol-1-yl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-1-yl]benzonitrile **786700-71-8P**, 1-[1-[6-Methyl-1-[2-(methyloxy)-4-(1H-pyrazol-1-yl)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-3-[[4-(methyloxy)phenyl]methyl]-2-imidazolidinone **786700-74-1P**, 1-[1-[6-Methyl-1-(6-methyl-1,3-benzodioxol-5-yl)-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-3-[[4-(methyloxy)phenyl]methyl]-2-imidazolidinone **786700-77-4P**, 1-[[4-(Methyloxy)phenyl]methyl]-3-[1-[6-methyl-1-[2,4,6-tris(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-2-imidazolidinone **786700-81-0P**, 1-[1-[1-[2,4-Bis(trifluoromethyl)phenyl]-6-methyl-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-3-[[4-(methyloxy)phenyl]methyl]-2-imidazolidinone **786701-03-9P**, 1-[1-[2,6-Dimethyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-3-[[4-(methyloxy)phenyl]methyl]-2-imidazolidinone **786701-09-5P**, 5-Methyl-1-[6-methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-amine **786701-11-9P**, 1-Acetyl-3-[1-[1-[4-[(difluoromethyl)oxy]-2-methylphenyl]-6-methyl-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-2-imidazolidinone
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of [(pyrrolopyridinyl)pyrazolyl]imidazolidinones and related compds. as CRF receptor antagonists for treatment of depression, anxiety, IBS, and IBD)

RN 786700-23-0 HCAPLUS

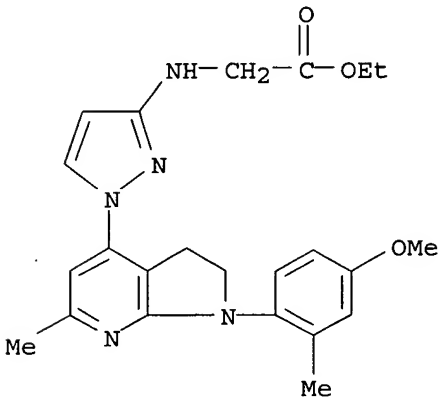
CN Acetamide, N-[1-[2,3-dihydro-1-(4-methoxy-2-methylphenyl)-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



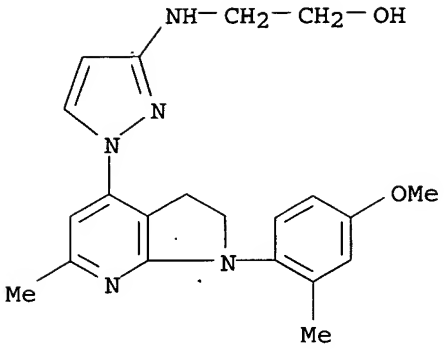
RN 786700-24-1 HCAPLUS
CN 1H-Pyrazol-3-amine, 1-[2,3-dihydro-1-(4-methoxy-2-methylphenyl)-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]- (9CI) (CA INDEX NAME)



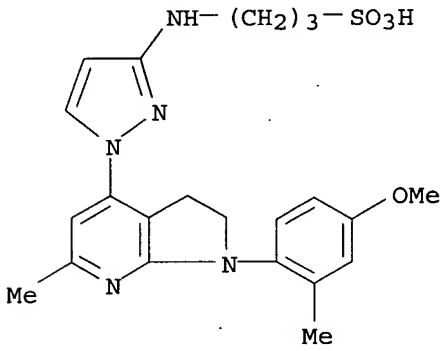
RN 786700-25-2 HCAPLUS
CN Glycine, N-[1-[2,3-dihydro-1-(4-methoxy-2-methylphenyl)-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)



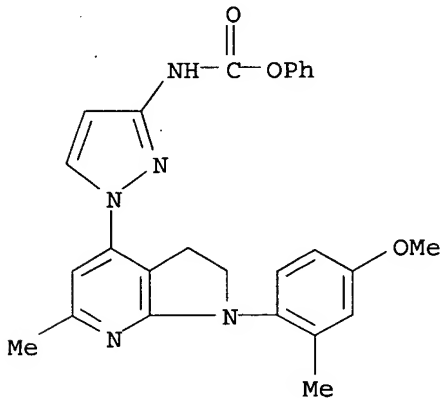
RN 786700-26-3 HCAPLUS
CN Ethanol, 2-[[1-[2,3-dihydro-1-(4-methoxy-2-methylphenyl)-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]amino]- (9CI) (CA INDEX NAME)



RN 786700-27-4 HCAPLUS
CN 1-Propanesulfonic acid, 3-[[1-[2,3-dihydro-1-(4-methoxy-2-methylphenyl)-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]amino]- (9CI) (CA INDEX NAME)

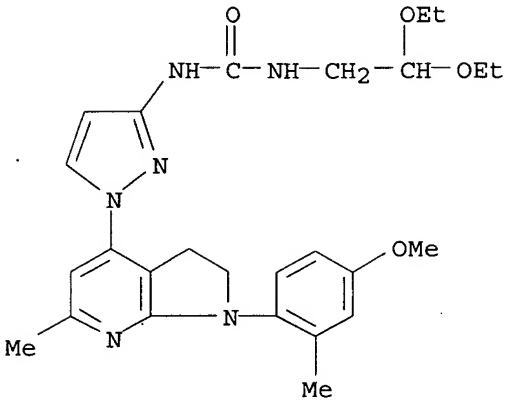


RN 786700-28-5 HCAPLUS
CN Carbamic acid, [1-[2,3-dihydro-1-(4-methoxy-2-methylphenyl)-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-, phenyl ester (9CI) (CA INDEX NAME)

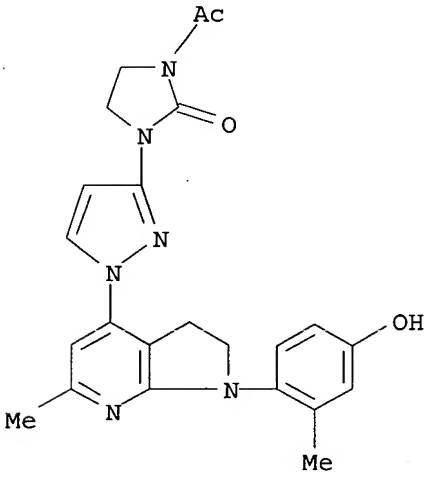


RN 786700-29-6 HCAPLUS
CN Urea, N-(2,2-diethoxyethyl)-N'-[1-[2,3-dihydro-1-(4-methoxy-2-

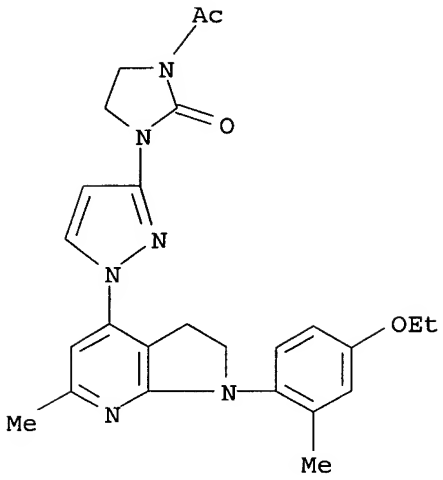
methylphenyl)-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-
(9CI) (CA INDEX NAME)



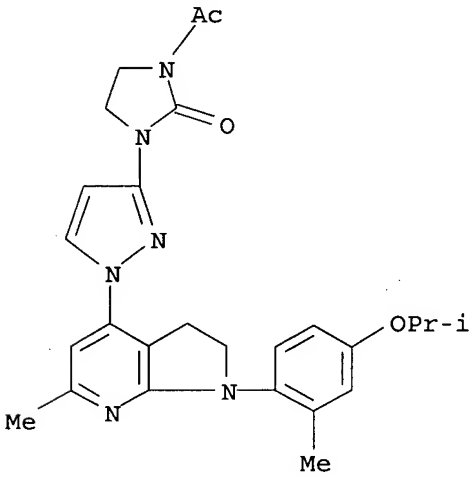
RN 786700-34-3 HCAPLUS
CN 2-Imidazolidinone, 1-acetyl-3-[1-[2,3-dihydro-1-(4-hydroxy-2-methylphenyl)-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



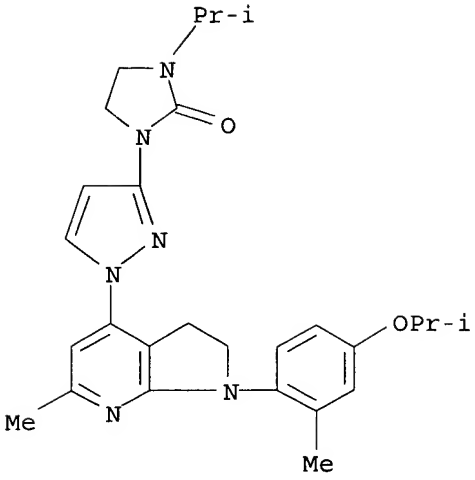
RN 786700-35-4 HCAPLUS
CN 2-Imidazolidinone, 1-acetyl-3-[1-[1-(4-ethoxy-2-methylphenyl)-2,3-dihydro-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 786700-36-5 HCAPLUS
CN 2-Imidazolidinone, 1-acetyl-3-[1-[2,3-dihydro-6-methyl-1-[2-methyl-4-(1-methylethoxy)phenyl]-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 786700-37-6 HCAPLUS
CN 2-Imidazolidinone, 1-[1-[2,3-dihydro-6-methyl-1-[2-methyl-4-(1-methylethoxy)phenyl]-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 786700-48-9 HCAPLUS
CN 2-Imidazolidinone, 1-[1-[2,3-dihydro-6-methyl-1-[2-methyl-4-(trifluoromethoxy)phenyl]-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-3-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

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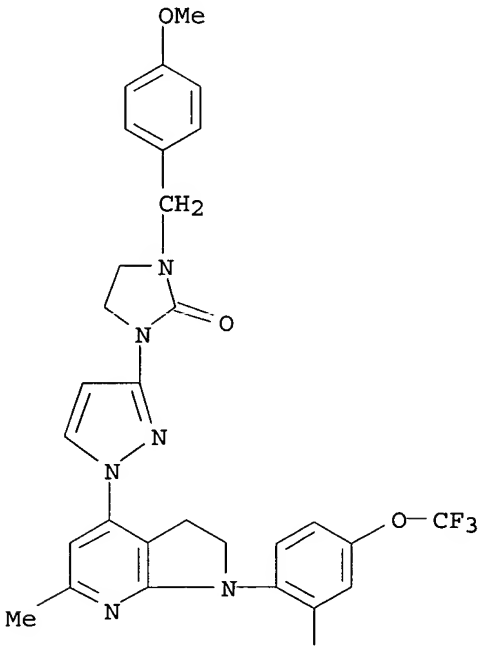

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RN 786700-51-4 HCAPLUS
CN Benzonitrile, 4-[2,3-dihydro-4-[3-[3-[(4-methoxyphenyl)methyl]-2-oxo-1-imidazolidinyl]-1H-pyrazol-1-yl]-6-methyl-1H-pyrrolo[2,3-b]pyridin-1-yl]-3-methyl- (9CI) (CA INDEX NAME)

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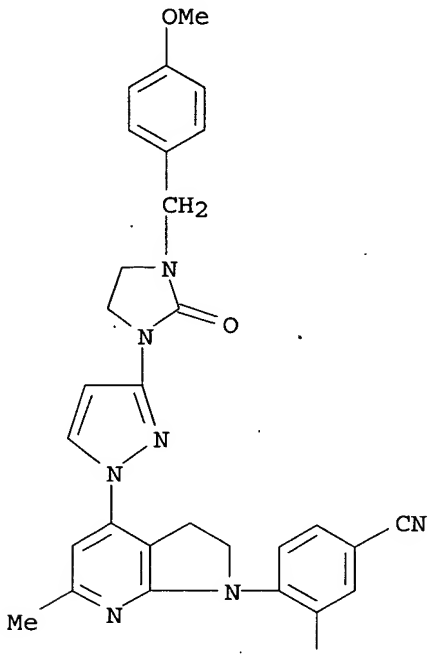

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RN 786700-54-7 HCAPLUS
CN 2-Imidazolidinone, 1-[1-[2,3-dihydro-6-methyl-1-[2-methyl-4-(1H-pyrazol-1-yl)phenyl]-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-3-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

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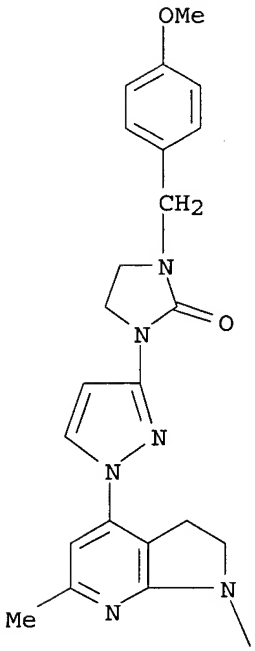

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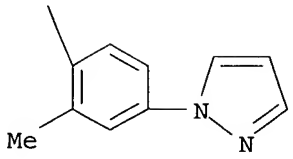

RN 786700-57-0 HCAPLUS
CN Benzonitrile, 4-[2,3-dihydro-4-[3-[3-[(4-methoxyphenyl)methyl]-2-oxo-1-imidazolidinyl]-1H-pyrazol-1-yl]-6-methyl-1H-pyrrolo[2,3-b]pyridin-1-yl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

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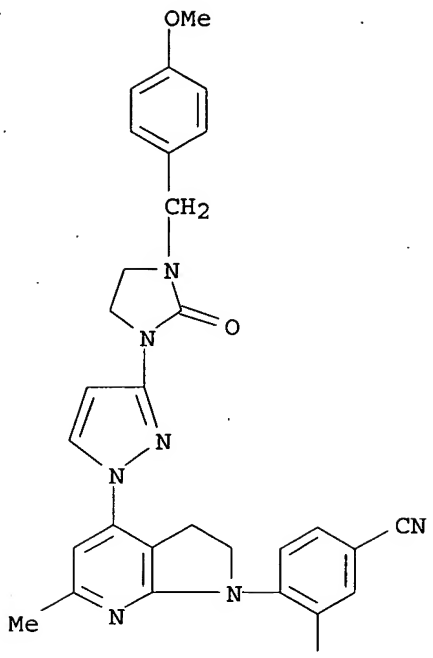

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RN 786700-60-5 HCAPLUS
CN 2-Imidazolidinone, 1-[1-[1-[2-(difluoromethyl)-4-methoxyphenyl]-2,3-dihydro-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-3-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

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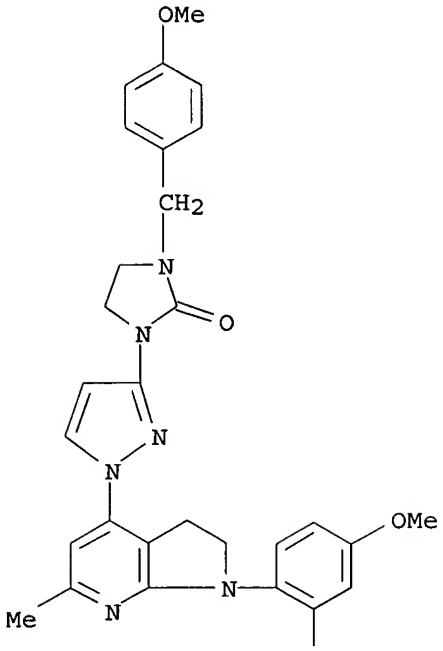

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RN 786700-64-9 HCAPLUS
CN Benzonitrile, 4-[2,3-dihydro-4-[3-[3-[(4-methoxyphenyl)methyl]-2-oxo-1-imidazolidinyl]-1H-pyrazol-1-yl]-6-methyl-1H-pyrrolo[2,3-b]pyridin-1-yl]-3-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

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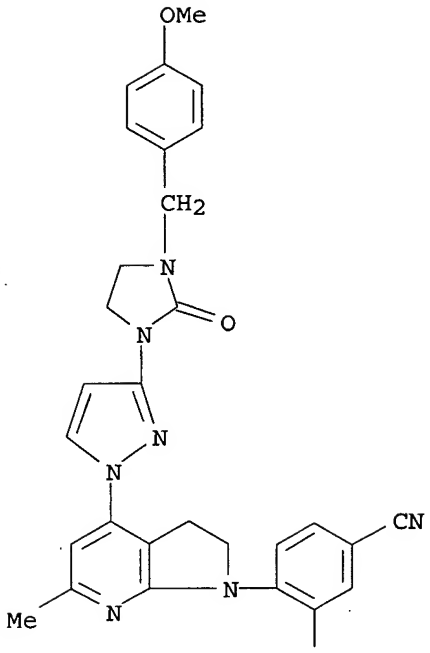

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RN 786700-67-2 HCAPLUS
CN Benzonitrile, 4-[2,3-dihydro-4-[3-[3-[(4-methoxyphenyl)methyl]-2-oxo-1-imidazolidinyl]-1H-pyrazol-1-yl]-6-methyl-1H-pyrrolo[2,3-b]pyridin-1-yl]-3-ethyl- (9CI) (CA INDEX NAME)

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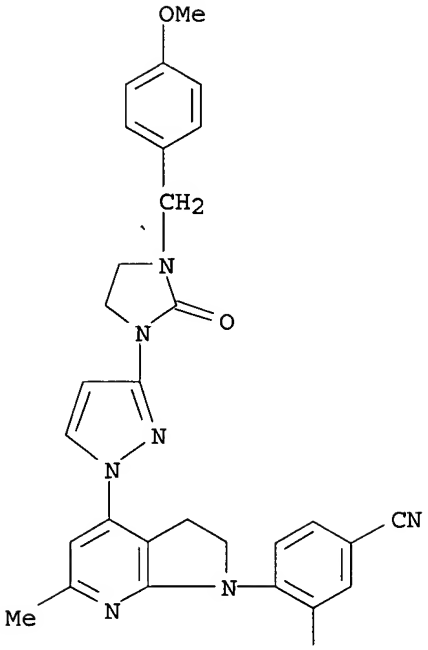
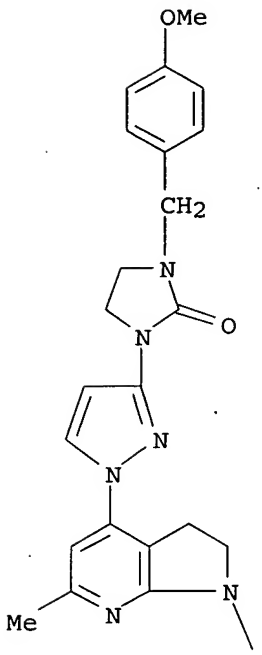


PAGE 2-A

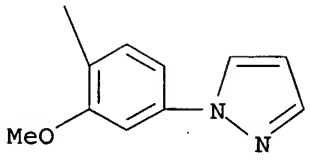
Et

RN 786700-71-8 HCAPLUS
CN 2-Imidazolidinone, 1-[1-[2,3-dihydro-1-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-3-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

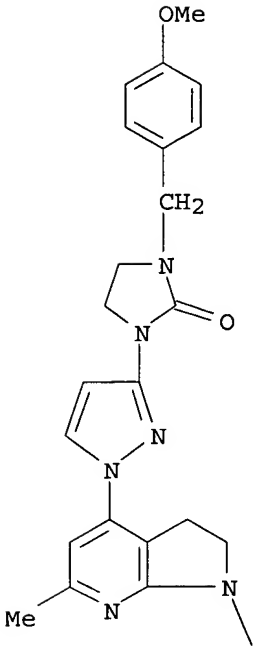


PAGE 2-A

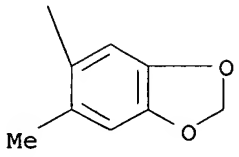


RN 786700-74-1 HCAPLUS
CN 2-Imidazolidinone, 1-[1-[2,3-dihydro-6-methyl-1-(6-methyl-1,3-benzodioxol-5-yl)-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-3-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

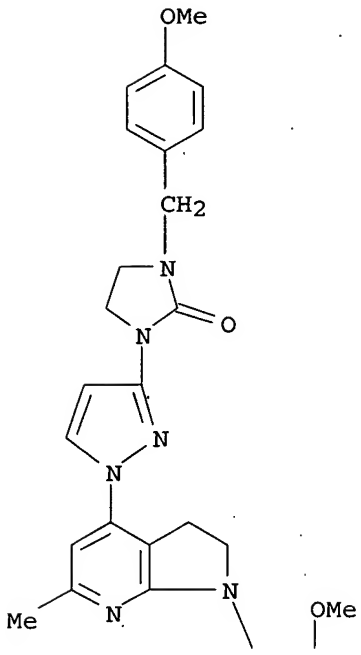


PAGE 2-A

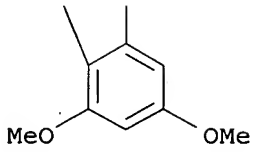


RN 786700-77-4 HCAPLUS
CN 2-Imidazolidinone, 1-[1-[2,3-dihydro-6-methyl-1-(2,4,6-trimethoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-3-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

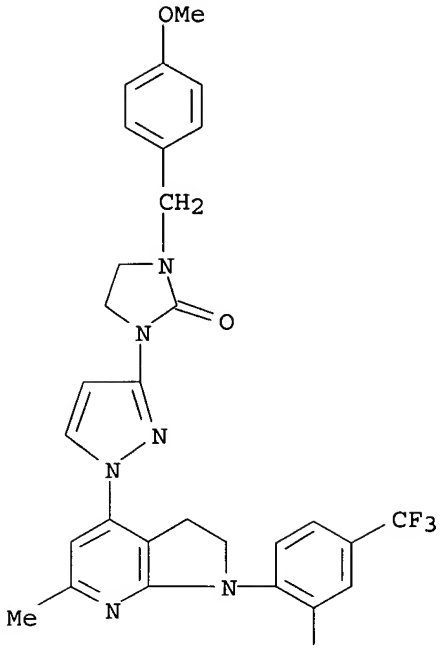


PAGE 2-A



RN 786700-81-0 HCAPLUS
CN 2-Imidazolidinone, 1-[1-[1-[2,4-bis(trifluoromethyl)phenyl]-2,3-dihydro-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-3-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

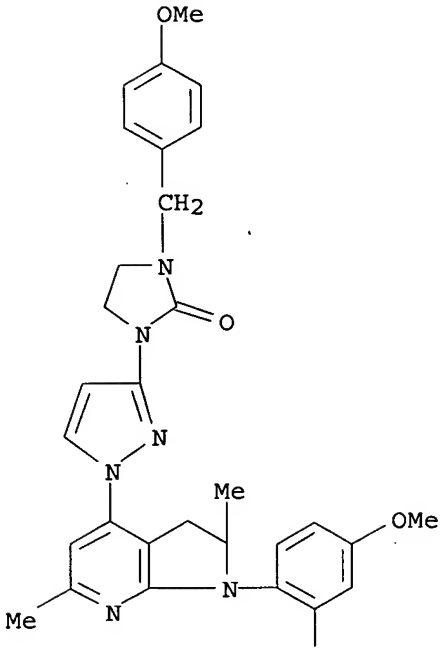
PAGE 1-A



PAGE 2-A

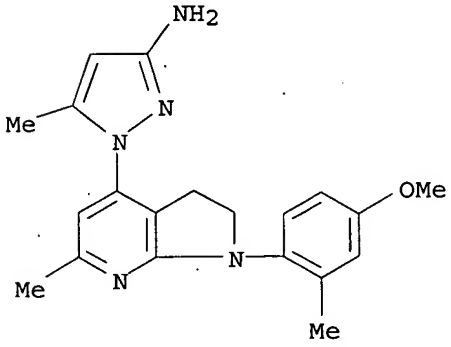
RN 786701-03-9 HCAPLUS
CN 2-Imidazolidinone, 1-[1-[2,3-dihydro-1-(4-methoxy-2-methylphenyl)-2,6-dimethyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-3-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

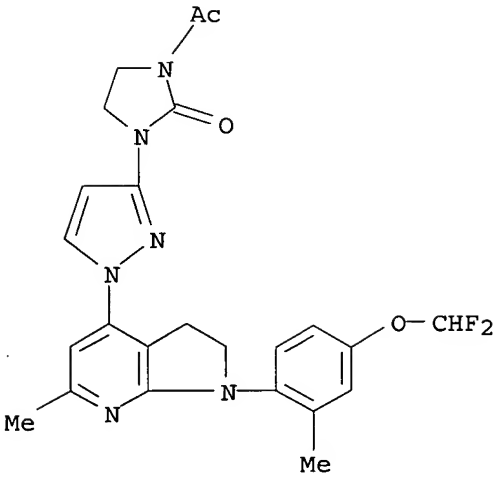


PAGE 2-A

RN 786701-09-5 HCAPLUS
CN 1H-Pyrazol-3-amine, 1-[2,3-dihydro-1-(4-methoxy-2-methylphenyl)-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-5-methyl- (9CI) (CA INDEX NAME)

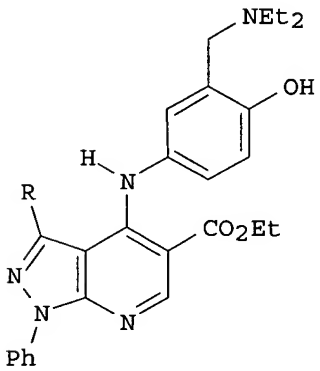


RN 786701-11-9 HCAPLUS
CN 2-Imidazolidinone, 1-acetyl-3-[1-[1-[4-(difluoromethoxy)-2-methylphenyl]-2,3-dihydro-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 3 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:769883 HCAPLUS
DOCUMENT NUMBER: 141:410859
TITLE: Antileishmanial Pyrazolopyridine Derivatives: Synthesis and Structure-Activity Relationship Analysis
AUTHOR(S): de Mello, Heloisa; Echevarria, Aurea; Bernardino, Alice M.; Canto-Cavalheiro, Marilene; Leon, Leonor L.
CORPORATE SOURCE: Departamento de Quimica, Instituto de Ciencias Exatas, Universidade Federal Rural do Rio de Janeiro, Seropedica, 23851-970, Brazil
SOURCE: Journal of Medicinal Chemistry (2004), 47(22), 5427-5432
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:410859
GI

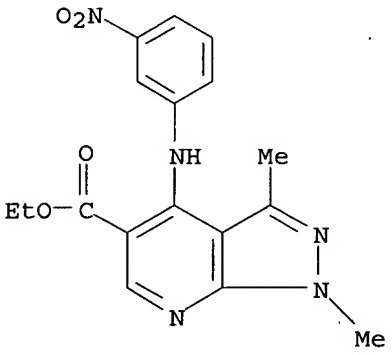


I

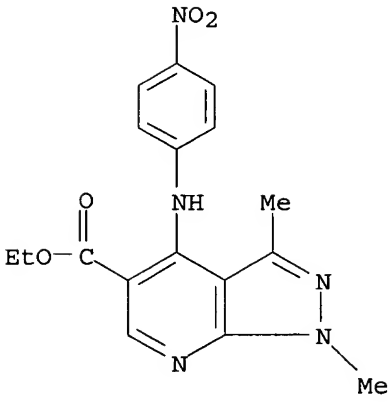
AB Three series of 4-anilino-1H-pyrazolo[3,4-b]pyridine-5-carboxylic esters were synthesized as part of a program to study potential antileishmanial drugs. These compds. were obtained by a condensation reaction of 4-chloro-1H-pyrazolo[3,4-b]pyridine with several aniline derivs. Some of them were also obtained by an alternative pathway involving a Mannich-type reaction. The hydrophobic parameter, log P, was determined by shake-flask methodol., and using the Hansch-Fujita addictive hydrophobic fragmental consts. These compds. were tested against promastigote forms of Leishmania amazonensis. The very promising results showed the 3'-diethylaminomethyl-substituted compds. I (R = Me, Ph) as the most active [IC50 = 0.39 (21) and 0.12 μM (22)]. Mol. modeling, using semiempirical AM1 method, predicted the most active compds. through the low-energy conformers superimposition on amodiaquine structure. QSAR equations, derived from the IC50 values against L. amazonensis, showed the hydrophobic (log P) and Sterimol steric (L and B2) parameters as most significant contributions on biol. activity.

IT **220855-79-8P 790721-01-6P**
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, antileishmanial activity, QSAR, mol. calcns., and lipophilicity of anilinopyrazolopyridines via substitution of chloropyrazolopyridine with anilines)

RN 220855-79-8 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 1,3-dimethyl-4-[(3-nitrophenyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 790721-01-6 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 1,3-dimethyl-4-[(4-nitrophenyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 4 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:701785 HCAPLUS
DOCUMENT NUMBER: 141:200209
TITLE: Heterocyclyl-3-sulfonylazaindole or-azaindazole derivatives as 5-HT6 receptor ligands, and their use for the treatment of central nervous system disorders
INVENTOR(S): Bernotas, Ronald Charles; Yan, Yinfa
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 18 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

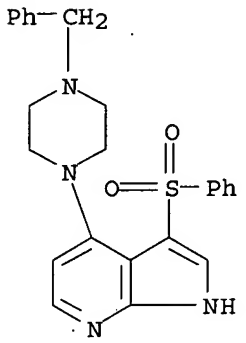
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004167030	A1	20040826	US 2004-778441	20040213
WO 2004074286	A1	20040902	WO 2004-US3930	20040210

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

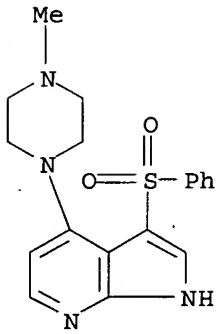
PRIORITY APPLN. INFO.: US 2003-447515P P 20030214
OTHER SOURCE(S): MARPAT 141:200209
AB The invention provides the title compds. and their use for the treatment of a central nervous system disorder related to or affected by the 5-HT6 receptor. Preparation of e.g. 5-(4-methylpiperazin-1-yl)-3-(phenylsulfonyl)-1H-pyrazolo[4,3-b]pyridine hydrochloride is described.
IT **744197-76-0 744197-77-1 744197-79-3 744197-83-9**

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(heterocyclyl-3-sulfonylazaindole or-azaindazole derivs. as 5-HT6 receptor ligands, and use for treatment of central nervous system disorders)

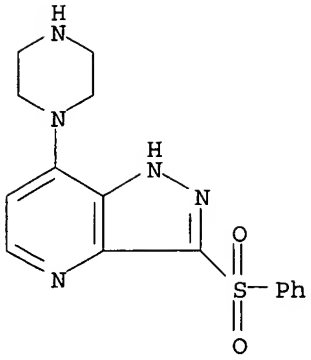
RN 744197-76-0 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 4-[4-(phenylmethyl)-1-piperazinyl]-3-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



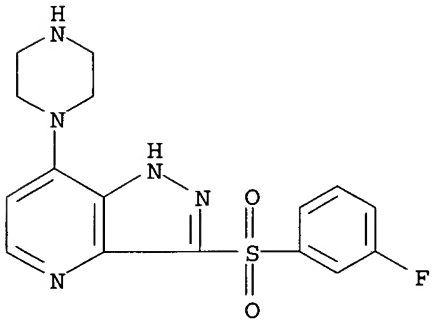
RN 744197-77-1 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 4-(4-methyl-1-piperazinyl)-3-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 744197-79-3 HCAPLUS
CN 1H-Pyrazolo[4,3-b]pyridine, 3-(phenylsulfonyl)-7-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 744197-83-9 HCAPLUS
CN 1H-Pyrazolo[4,3-b]pyridine, 3-[(3-fluorophenyl)sulfonyl]-7-(1-piperazinyl)- (9CI) (CA INDEX NAME)



L20 ANSWER 5 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:610082 HCAPLUS
DOCUMENT NUMBER: 141:157105
TITLE: Preparation of heteroaryl-substituted pyrrolo[2,3-b]pyridine derivatives as CRF receptor antagonists
INVENTOR(S): Castiglioni, Emiliano; Di Fabio, Romano; Feriani, Aldo; Micheli, Fabrizio; Sabbatini, Fabio; St-Denis, Yves
PATENT ASSIGNEE(S): SB Pharmco Puerto Rico Inc., USA; Neurocrine Biosciences Inc.; Glaxo Group Limited
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

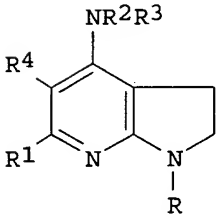
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004062665	A1	20040729	WO 2004-EP409	20040114

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG,

ES, ES, FI, FI, GB, GD, GE, GE, GH, GH, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ

PRIORITY APPLN. INFO.: US 2003-440432P P 20030116
OTHER SOURCE(S): MARPAT 141:157105

GI



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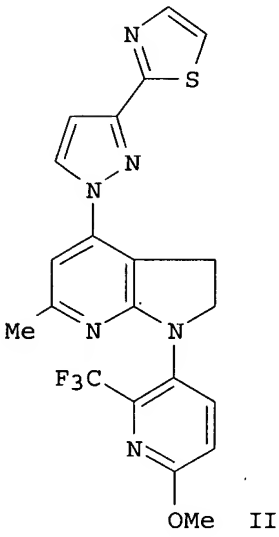


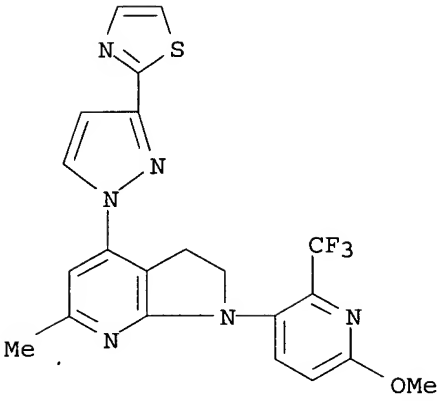
II

AB Pyrrolo[2,3-b]pyridines of formula I [R = aryl, heteroaryl; R1 = H, cycloalkyl, alkyl, alkoxy, CN, etc.; NR2R3 = (substituted) aromatic heterocycle; R4 = H, alkyl, halo, haloalkyl] are described, including stereoisomers, prodrugs and pharmaceutically acceptable salts or solvates thereof, processes for their preparation, pharmaceutical compns. containing them and their use in the treatment of conditions mediated by corticotropin-releasing factor (CRF). Thus, II was prepared in several steps.

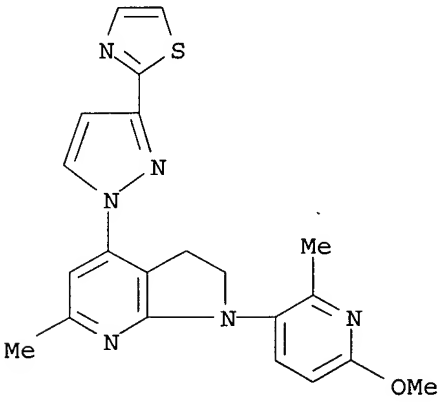
IT **727992-87-2P 727992-88-3P 727992-89-4P**
727992-90-7P 727992-91-8P 727992-92-9P
727992-93-0P 727992-94-1P 727992-95-2P
727992-96-3P 727992-97-4P 727992-98-5P
727992-99-6P 727993-00-2P 727993-01-3P
727993-02-4P 727993-03-5P 727993-04-6P
727993-05-7P 727993-06-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteroaryl pyrrolopyridine derivs. as CRF receptor antagonists)

RN 727992-87-2 HCAPLUS

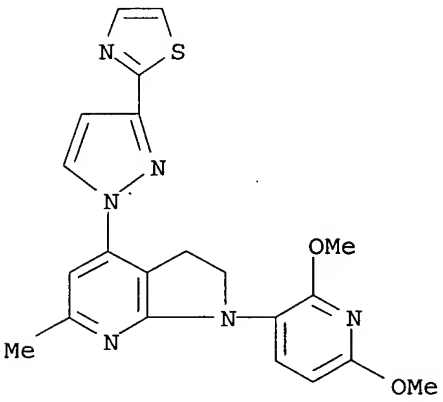
CN 1H-Pyrrolo[2,3-b]pyridine, 2,3-dihydro-1-[6-methoxy-2-(trifluoromethyl)-3-pyridinyl]-6-methyl-4-[3-(2-thiazolyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



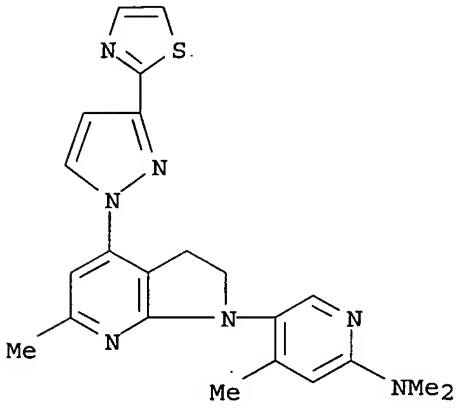
RN 727992-88-3 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 2,3-dihydro-1-(6-methoxy-2-methyl-3-pyridinyl)-6-methyl-4-[3-(2-thiazolyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



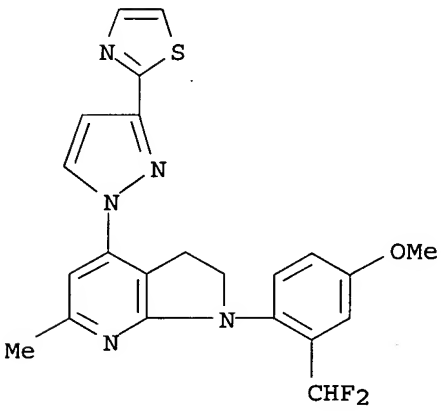
RN 727992-89-4 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-(2,6-dimethoxy-3-pyridinyl)-2,3-dihydro-6-methyl-4-[3-(2-thiazolyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



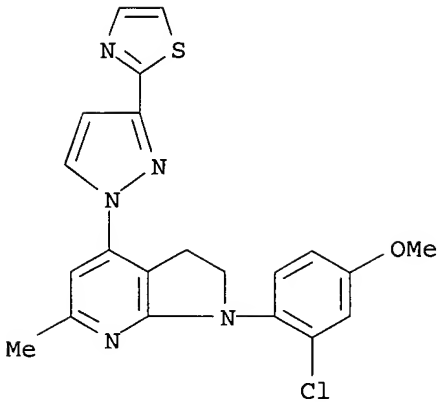
RN 727992-90-7 HCAPLUS
CN 2-Pyridinamine, 5-[2,3-dihydro-6-methyl-4-[3-(2-thiazolyl)-1H-pyrazol-1-yl]-1H-pyrrolo[2,3-b]pyridin-1-yl]-N,N,4-trimethyl- (9CI) (CA INDEX NAME)



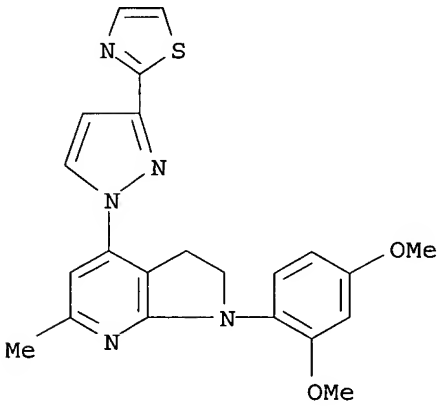
RN 727992-91-8 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-[2-(difluoromethyl)-4-methoxyphenyl]-2,3-dihydro-6-methyl-4-[3-(2-thiazolyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



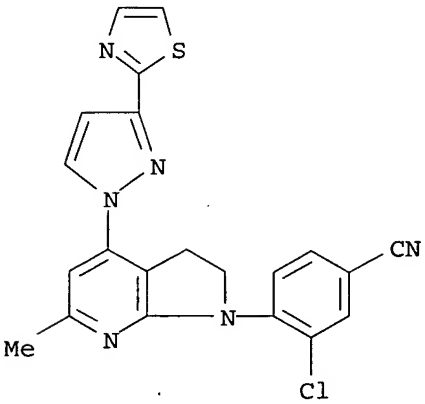
RN 727992-92-9 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-(2-chloro-4-methoxyphenyl)-2,3-dihydro-6-methyl-4-[3-(2-thiazolyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



RN 727992-93-0 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-(2,4-dimethoxyphenyl)-2,3-dihydro-6-methyl-4-[3-(2-thiazolyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

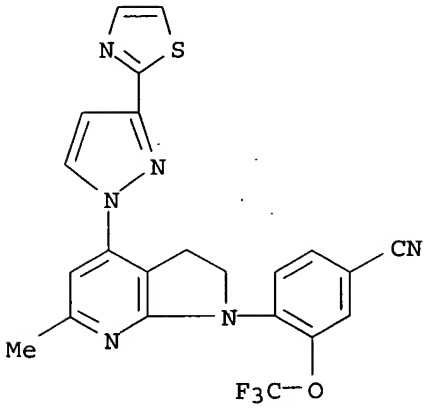


RN 727992-94-1 HCAPLUS
CN Benzonitrile, 3-chloro-4-[2,3-dihydro-6-methyl-4-[3-(2-thiazolyl)-1H-pyrazol-1-yl]-1H-pyrrolo[2,3-b]pyridin-1-yl]- (9CI) (CA INDEX NAME)

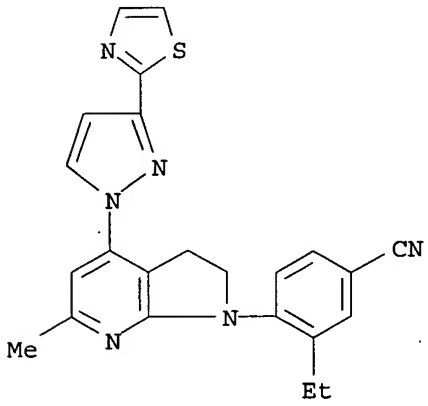


RN 727992-95-2 HCAPLUS

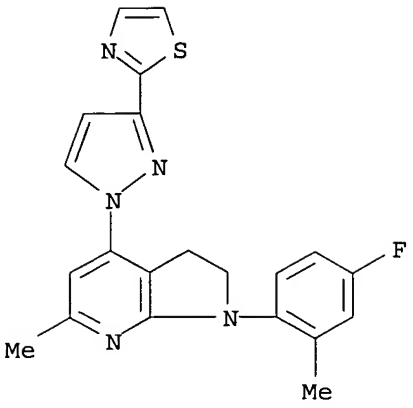
CN Benzonitrile, 4-[2,3-dihydro-6-methyl-4-[3-(2-thiazolyl)-1H-pyrazol-1-yl]-1H-pyrrolo[2,3-b]pyridin-1-yl]-3-(trifluoromethoxy)- (9CI) (CA INDEX NAME)



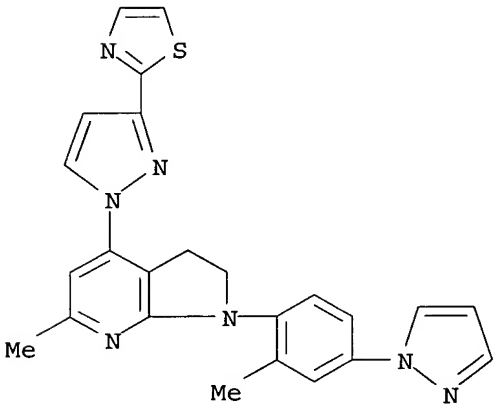
RN 727992-96-3 HCAPLUS
CN Benzonitrile, 4-[2,3-dihydro-6-methyl-4-[3-(2-thiazolyl)-1H-pyrazol-1-yl]-1H-pyrrolo[2,3-b]pyridin-1-yl]-3-ethyl- (9CI) (CA INDEX NAME)



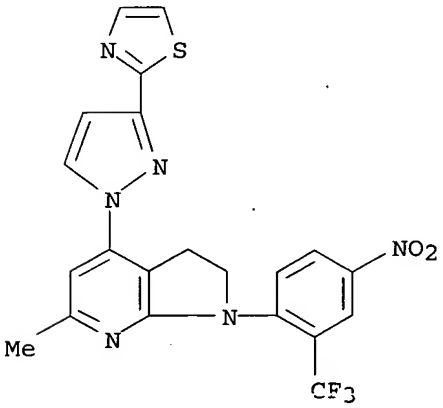
RN 727992-97-4 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-(4-fluoro-2-methylphenyl)-2,3-dihydro-6-methyl-4-[3-(2-thiazolyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



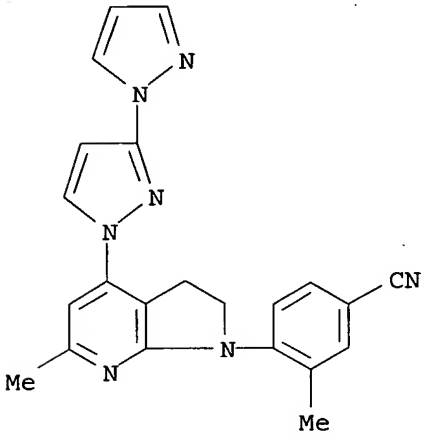
RN 727992-98-5 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 2,3-dihydro-6-methyl-1-[2-methyl-4-(1H-pyrazol-1-yl)phenyl]-4-[3-(2-thiazolyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



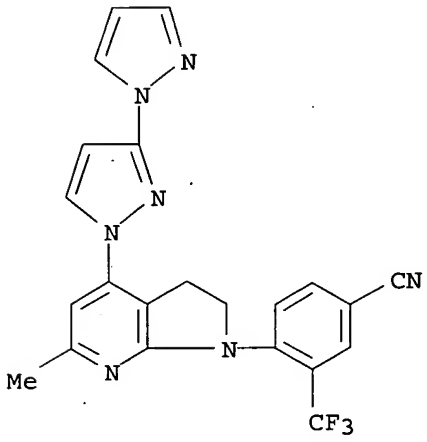
RN 727992-99-6 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 2,3-dihydro-6-methyl-1-[4-nitro-2-(trifluoromethyl)phenyl]-4-[3-(2-thiazolyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



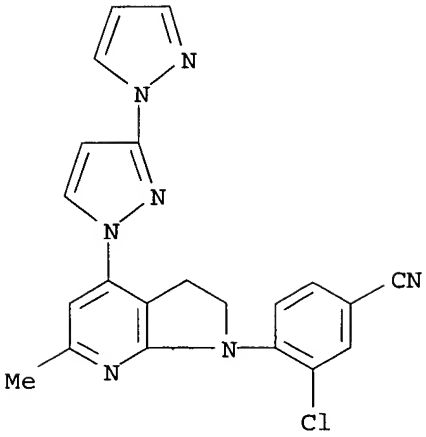
RN 727993-00-2 HCAPLUS
CN Benzonitrile, 4-(4-[1,3'-bi-1H-pyrazol]-1'-yl-2,3-dihydro-6-methyl-1H-pyrrolo[2,3-b]pyridin-1-yl)-3-methyl- (9CI) (CA INDEX NAME)



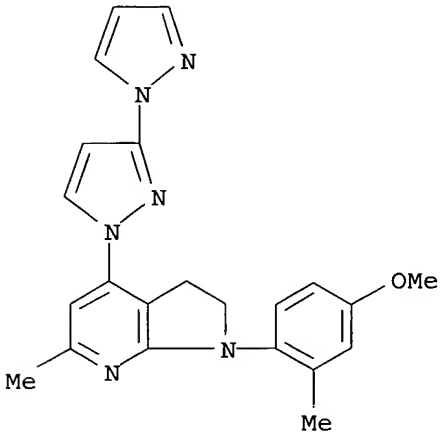
RN 727993-01-3 HCAPLUS
CN Benzonitrile, 4-(4-[1,3'-bi-1H-pyrazol]-1'-yl-2,3-dihydro-6-methyl-1H-pyrrolo[2,3-b]pyridin-1-yl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



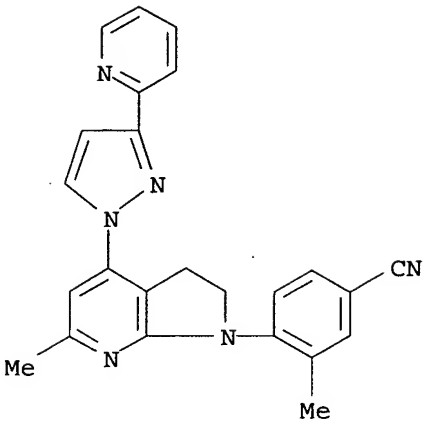
RN 727993-02-4 HCAPLUS
CN Benzonitrile, 4-(4-[1,3'-bi-1H-pyrazol]-1'-yl-2,3-dihydro-6-methyl-1H-pyrrolo[2,3-b]pyridin-1-yl)-3-chloro- (9CI) (CA INDEX NAME)



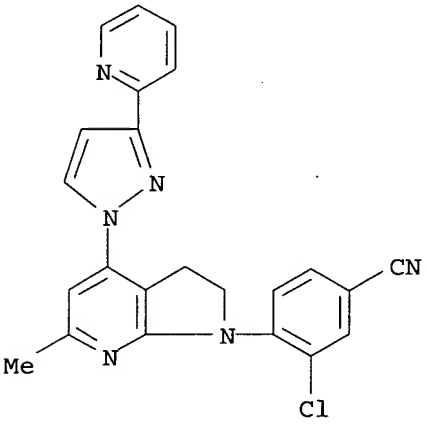
RN 727993-03-5 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 4-[1,3'-bi-1H-pyrazol]-1'-yl-2,3-dihydro-1-(4-methoxy-2-methylphenyl)-6-methyl- (9CI) (CA INDEX NAME)



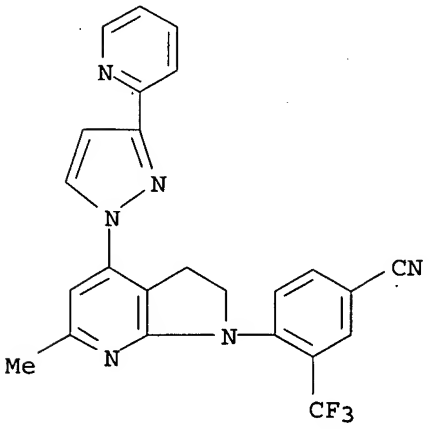
RN 727993-04-6 HCAPLUS
CN Benzonitrile, 4-[2,3-dihydro-6-methyl-4-[3-(2-pyridinyl)-1H-pyrazol-1-yl]-1H-pyrrolo[2,3-b]pyridin-1-yl]-3-methyl- (9CI) (CA INDEX NAME)



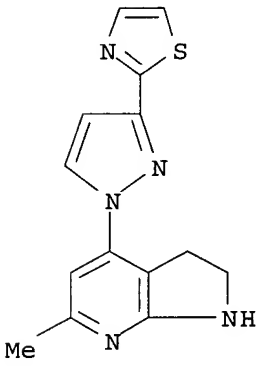
RN 727993-05-7 HCAPLUS
CN Benzonitrile, 3-chloro-4-[2,3-dihydro-6-methyl-4-[3-(2-pyridinyl)-1H-pyrazol-1-yl]-1H-pyrrolo[2,3-b]pyridin-1-yl]- (9CI) (CA INDEX NAME)



RN 727993-06-8 HCAPLUS
CN Benzonitrile, 4-[2,3-dihydro-6-methyl-4-[3-(2-pyridinyl)-1H-pyrazol-1-yl]-1H-pyrrolo[2,3-b]pyridin-1-yl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

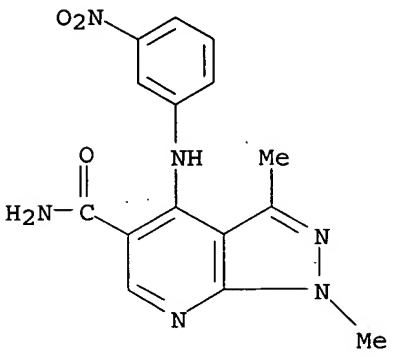


IT **491865-06-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heteroaryl pyrrolopyridine derivs. as CRF receptor antagonists)
RN 491865-06-6 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 2,3-dihydro-6-methyl-4-[3-(2-thiazolyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

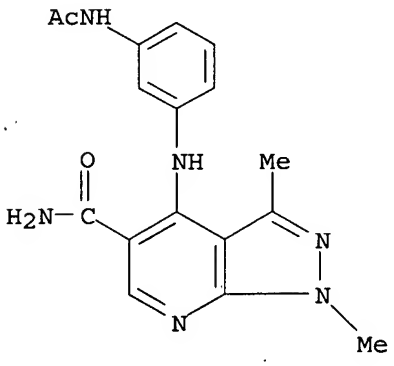


L20 ANSWER 6 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:561467 HCAPLUS
DOCUMENT NUMBER: 141:199475
TITLE: New orally active PDE4 inhibitors with therapeutic potential
AUTHOR(S): Ochiai, Hiroshi; Ishida, Akiharu; Ohtani, Tazumi; Kusumi, Kensuke; Kishikawa, Katuya; Yamamoto, Susumu; Takeda, Hiroshi; Obata, Takaaki; Nakai, Hisao; Toda, Masaaki
CORPORATE SOURCE: Minase Research Institute, Ono Pharmaceutical Co., Ltd., Mishima, Osaka, 618-8585, Japan
SOURCE: Bioorganic & Medicinal Chemistry (2004), 12(15), 4089-4100
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:199475
AB The design, synthesis, and biol. evaluation of a series of pyrazolopyridines was carried out. Structural optimization of the aniline moiety of 4-anilinopyrazolopyridine derivative 3a, which is one of the newly discovered chemical leads for PDE4 inhibitors from our inhouse library, was performed successfully. The details of the discovery of new orally active PDE4 inhibitors, which are expected to show therapeutic potential, are presented and their structure-activity relationships are discussed. Pharmacol. evaluation and pharmacokinetic data for representative compds. are also presented.
IT **389058-12-2P 389058-18-8P 389058-25-7P 389058-44-0P**
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(new orally active PDE4 inhibitors with therapeutic potential)
RN 389058-12-2 HCAPLUS

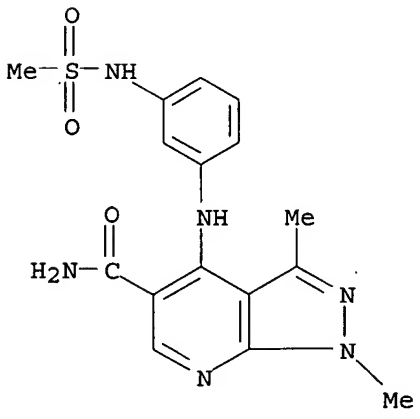
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 1,3-dimethyl-4-[(3-nitrophenyl)amino]- (9CI) (CA INDEX NAME)



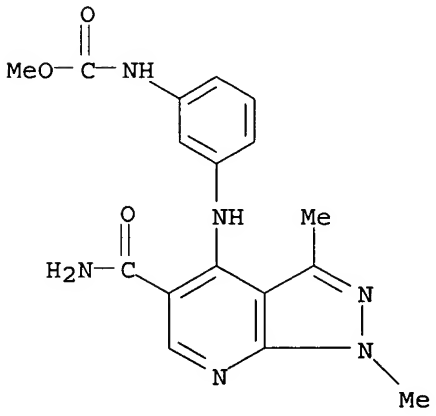
RN 389058-18-8 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 4-[[3-(acetylamino)phenyl]amino]-1,3-dimethyl- (9CI) (CA INDEX NAME)



RN 389058-25-7 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 1,3-dimethyl-4-[[3-[(methylsulfonyl)amino]phenyl]amino]- (9CI) (CA INDEX NAME)



RN 389058-44-0 HCAPLUS
CN Carbamic acid, [3-[[5-(aminocarbonyl)-1,3-dimethyl-1H-pyrazolo[3,4-b]pyridin-4-yl]amino]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

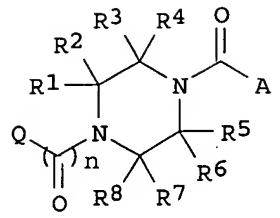


REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 7 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:473357 HCAPLUS
DOCUMENT NUMBER: 141:38633
TITLE: Composition and antiviral activity of substituted azaindoleoxoacetic piperazine derivatives
INVENTOR(S): Wang, Tao; Zhang, Zhongxing; Meanwell, Nicholas A.; Kadow, John F.; Yin, Zhiwei; Xue, Qiufen May; Regueiro-Ren, Alicia; Matiskella, John D.; Ueda, Yasutsugu
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 350 pp., Cont.-in-part of U.S. Pat. Appl. 2003 207,910.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004110785	A1	20040610	US 2003-630278		20030730
US 2003069266	A1	20030410	US 2002-38306		20020102
US 2003207910	A1	20031106	US 2002-214982		20020807
ZA 2003005885	A	20041101	ZA 2003-5885		20030730
US 2005090522	A1	20050428	US 2004-969675		20041020
PRIORITY APPLN. INFO.:			US 2001-266183P	P	20010202
			US 2001-314406P	P	20010823
			US 2002-38306	B2	20020102
			US 2002-214982	B2	20020807
			US 2003-630278	B1	20030730

OTHER SOURCE(S): MARPAT 141:38633
GI



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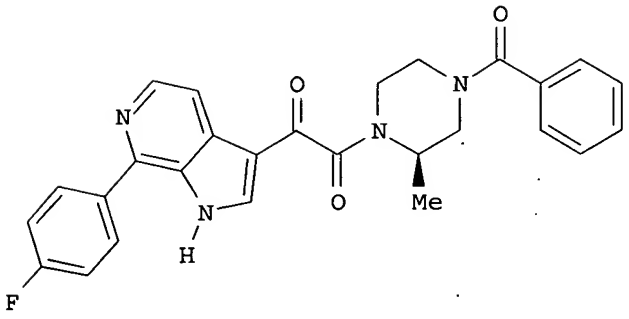


II

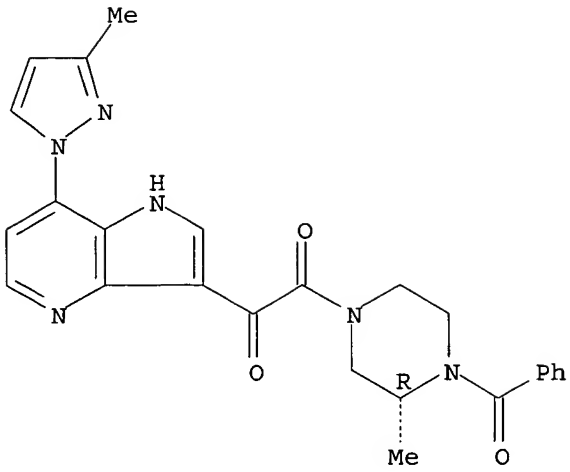
AB Title compds. I [n = 1 or 2; Q = (un)substituted azaindole heterocycle; A = alkoxy, (un)substituted aryl or heteroaryl; R1-8 are independently selected from H, alkyl or haloalkyl consisting of up to three halogen substituents with same or different halogens] having drug and bio-affecting properties, their pharmaceutical compns., method of use, and synthetic preparation are disclosed. Thus, e.g., II was prepared via palladium catalyzed coupling of 1-benzoyl-3-(R)-methyl-4-[(7-(4-fluorophenyl)-6-azaindol-3-yl)oxoacetyl]-piperazine (preparation given) with 4-fluorophenylboronic acid. The compds. I were tested for inhibition of luciferase expression (data given). These compds. possess unique antiviral activity, whether used alone or in combination with other antivirals, antiinfectives, immunomodulators or HIV entry inhibitors. More particularly, the present invention relates to the treatment of HIV and AIDS.

IT **701213-67-4P 701214-28-0P 701214-29-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antiviral activity of substituted azaindoleoxoacetic piperazine derivs.)

RN 701213-67-4 HCAPLUS

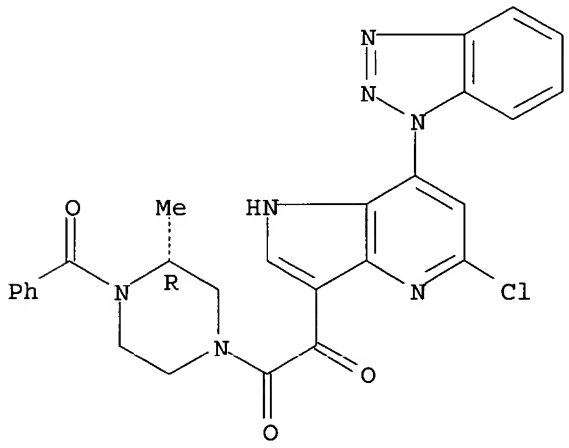
CN Piperazine, 1-benzoyl-2-methyl-4-[[7-(3-methyl-1H-pyrazol-1-yl)-1H-pyrrolo[3,2-b]pyridin-3-yl]oxoacetyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



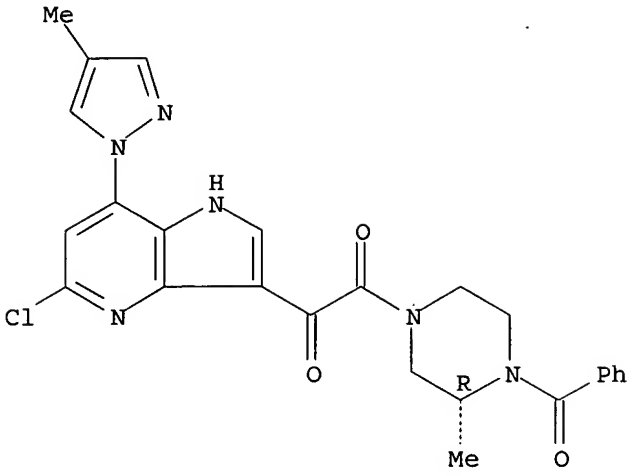
RN 701214-28-0 HCAPLUS
CN Piperazine, 4-[[7-(1H-benzotriazol-1-yl)-5-chloro-1H-pyrrolo[3,2-b]pyridin-3-yl]oxoacetyl]-1-benzoyl-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

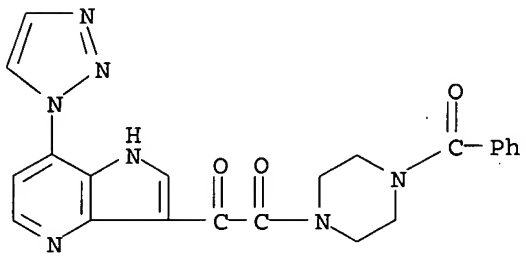


RN 701214-29-1 HCAPLUS
CN Piperazine, 1-benzoyl-4-[[5-chloro-7-(4-methyl-1H-pyrazol-1-yl)-1H-pyrrolo[3,2-b]pyridin-3-yl]oxoacetyl]-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

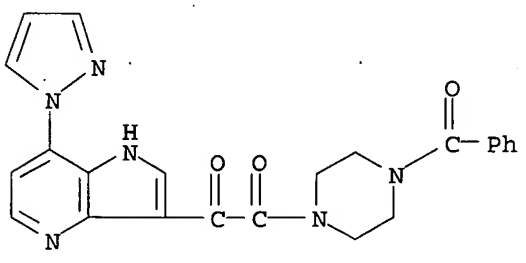
Absolute stereochemistry.



IT **619331-02-1P 619331-04-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation and antiviral activity of substituted azaindoleoxoacetic piperazine derivs.)
RN 619331-02-1 HCAPLUS
CN Piperazine, 1-benzoyl-4-[oxo[7-(1H-1,2,3-triazol-1-yl)-1H-pyrrolo[3,2-b]pyridin-3-yl]acetyl]- (9CI) (CA INDEX NAME)



RN 619331-04-3 HCAPLUS
CN Piperazine, 1-benzoyl-4-[oxo[7-(1H-pyrazol-1-yl)-1H-pyrrolo[3,2-b]pyridin-3-yl]acetyl]- (9CI) (CA INDEX NAME)



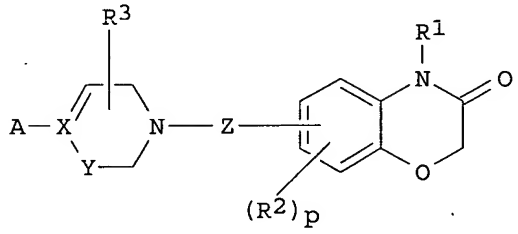
L20 ANSWER 8 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:453197 HCAPLUS
DOCUMENT NUMBER: 141:23540
TITLE: Preparation of benzoxazinones as ligands for 5-HT1 receptors and their use in the treatment of CNS disorders, in particular serotonin-related disorders
INVENTOR(S): Bertani, Barbara; Borriello, Manuela; Bozzoli, Andrea; Bromidge, Steven Mark; Granci, Enrica; Leslie, Colin; Serafinowska, Halina; Stasi, Luigi; Vong, Antonio; Zucchelli, Valeria
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046124	A1	20040603	WO 2003-EP13085	20031120

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2002-27240 A 20021121
OTHER SOURCE(S): MARPAT 141:23540
GI



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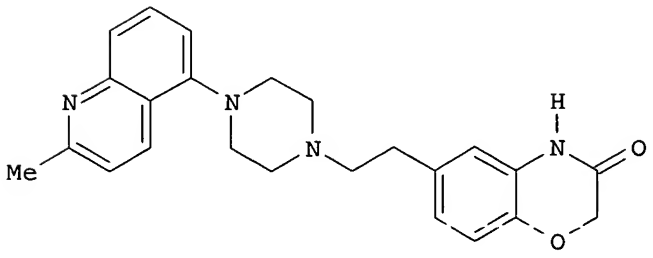
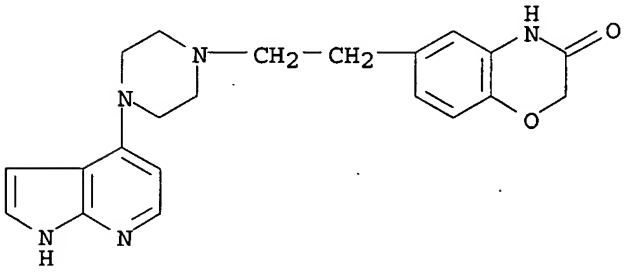


II

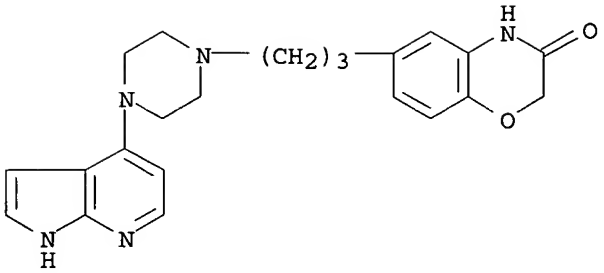
AB Title compds. I [wherein A = (un)substituted bicyclic 6,5 or 6,6 hetero/aromatic; R1 = H, halo/cyclo/cycloalkyl/aryl/alkyl, alkenyl, alkynyl; p = 0-2; R2 = independently halo, halo/alkyl, CN, alkanoyl, OH and derivs.; R3 = (R4)r; R4 = halo/hydroxy/alkoxy/cyclo/alkyl, halo, halo/aryl/alkoxy, oxo, CN, NO2, alkylthio, alkoxycarbonyl, alkylsulfonyl, arylsulfonyl, alkylsulfonamido, aroyl, acyl, aryl, etc.; X = CH, N, C; q = 0-2, with the proviso that when q = 0, X is not N; Z = attached to the 6- or 8-position of the benzoxazinone group, and is 3- to 7-membered cycloalkylene, cycloalkenylene, or (CH2)n-Y-(CH2)m; m, n = independently 0-2; Y = single bond, 3- to 7-membered cycloalkenylene, CH:CH, C:O, C(:CH2), O, etc.; provided that when A = naphthyl, 5,6,7,8-tetrahydronaphthyl or 2,3-dihydroindene, Z is not -(CH2CH(OH))-, -(CH2CH2CH(OH))-, -(CH2C(:O))-; and their pharmaceutically acceptable salts] were prepared as ligands for 5-HT1 receptors and/or inhibitors of serotonin reuptake. For example, II was prepared, in 65% yield, by alkylation of 2-methyl-5-(piperazin-1-yl)quinoline (preparation given) with 6-(2-chloroethyl)-4H-benzo[1,4]oxazin-3-one (preparation given) in the presence of NaI/Na2CO3 at 120° for 12 h, and acidulation with an HCl solution in MeOH. Selected I showed high affinity for 5-HT1A, 5-HT1B, and 5-HT1D with pKi values in the range 8.0-10.0 in a radioligand assay. Certain I appear to be 5-HT1 antagonists, while others appear to be inverse agonists, agonists, or partial agonists using the [35S]GTPγS functional assay (no data). Selected I displayed potency at the uptake site of pIC50 > 7.0. Thus, I are useful for treating CNS disorders, in particular serotonin-related disorders such as depression and anxiety, are also disclosed.

IT **698991-45-6P**, 6-[2-[4-(1H-Pyrrolo[2,3-b]pyridin-4-yl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one **698991-54-7P**, 6-[3-[4-(1H-Pyrrolo[2,3-b]pyridin-4-yl)-1-piperazinyl]propyl]-2H-1,4-benzoxazin-3(4H)-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(5-HT1 ligand; preparation of benzoxazinones as ligands for 5-HT1 receptors and their use in treatment of CNS and other serotonin-related disorders)

RN 698991-45-6 HCAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(1H-pyrrolo[2,3-b]pyridin-4-yl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



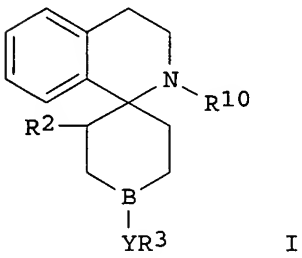
RN 698991-54-7 HCAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[3-[4-(1H-pyrrolo[2,3-b]pyridin-4-yl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)



L20 ANSWER 9 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:307614 HCAPLUS
DOCUMENT NUMBER: 140:332509
TITLE: Pharmaceutical compositions containing spiroisoquinolines as small-conductance calcium-activated potassium channel (SK channel) blockers and acetylcholine esterase inhibitors
INVENTOR(S): Takamuro, Iwao; Honma, Koichi; Ishida, Akihiko; Taniguchi, Hiroyuki; Onoda, Yuichi
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 334 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004115450	A2	20040415	JP 2002-282311	20020927

PRIORITY APPLN. INFO.: JP 2002-282311 20020927
OTHER SOURCE(S): MARPAT 140:332509
GI



I

AB Title compns., useful for treatment of digestive tract function failure, central nervous disorders, myotonic dystrophy, etc., contain spiroisoquinolines I [ring A may be substituted; R10 = H, ZR1; R1 = H, (un)substituted lower alkyl, (un)substituted lower alkenyl; Y, Z = CH2, CO; R2 H, (un)substituted heterocyclyl; B = N, CH; R3 = (un)substituted NH2, (un)substituted N-containing aliphatic heterocyclyl] or their pharmacol. acceptable salts as active ingredients. Thus, (1R*,2R*(S*),4R*)-2'-[3-(methylamino)propionyl]-3',4'-dihydro-6',7'-dimethoxy-2-(2-ethyl-1,2,3,4-tetrahydro-6,7-dimethoxy-1-isoquinolyl)-4-[4-[1-(4-pyridylmethyl)-1H-

pyrazolol-[3,4-d]pyrimidin-4-yl]-1-piperazinyl]carbonyl-spiro[cyclohexane-1,1'(2'H)isoquinoline] difumarate inhibited binding of 125I-apamin to SK channel in guinea pigs with IC50 value of 0.05 μM.

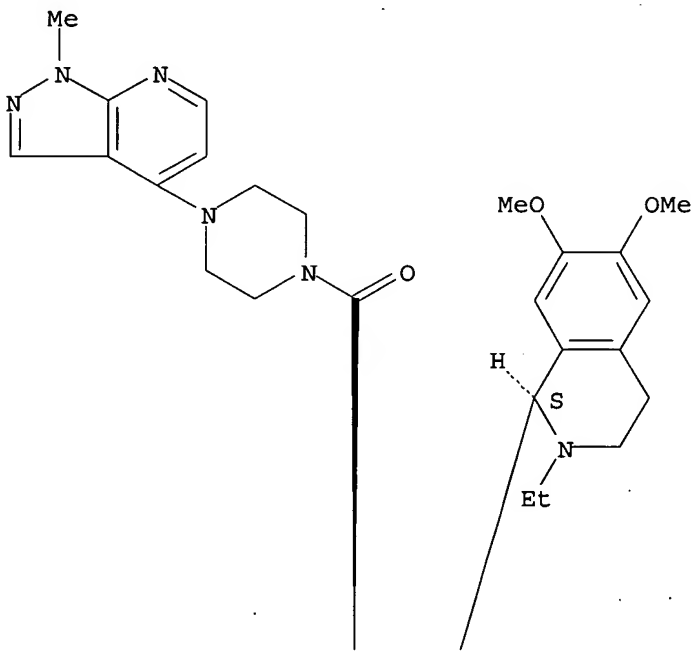
IT **470428-25-2P 470428-94-5P 470430-30-9P 470430-35-4P 470438-19-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of spiroisoquinolines as small-conductance Ca2+-activated K+ channel blockers and acetylcholine esterase inhibitors for treatment of diseases)

RN 470428-25-2 HCAPLUS

CN Carbamic acid, [3-[(1R,2R,4R)-2-[(1S)-2-ethyl-1,2,3,4-tetrahydro-6,7-dimethoxy-1-isoquinolinyl]-3',4'-dihydro-6',7'-dimethoxy-4-[[4-(1-methyl-1H-pyrazolo[3,4-b]pyridin-4-yl)-1-piperazinyl]carbonyl]spiro[cyclohexane-1,1'(2'H)-isoquinolin]-2'-yl]-3-oxopropyl]methyl-, phenylmethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



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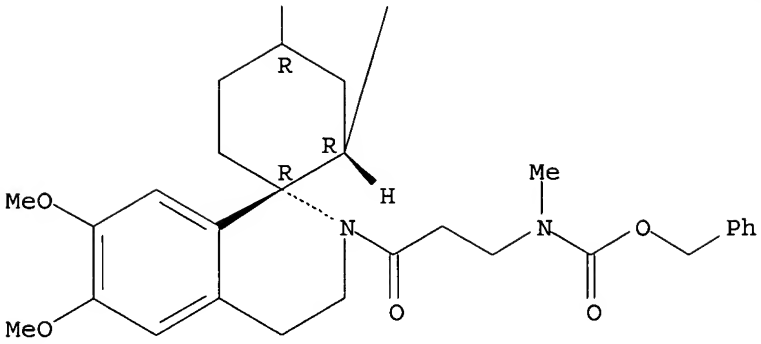
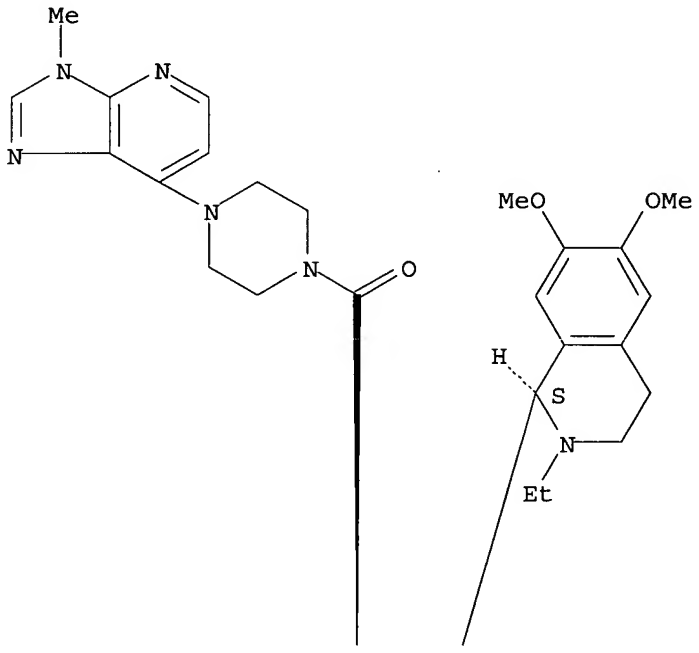


RN 470428-94-5 HCAPLUS
CN Carbamic acid, [3-[(1R,2R,4R)-2-[(1S)-2-ethyl-1,2,3,4-tetrahydro-6,7-dimethoxy-1-isoquinolinyl]-3',4'-dihydro-6',7'-dimethoxy-4-[[4-(3-methyl-3H-imidazo[4,5-b]pyridin-7-yl)-1-piperazinyl]carbonyl]spiro[cyclohexane-1,1'(2'H)-isoquinolin]-2'-yl]-3-oxopropyl]methyl-, phenylmethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



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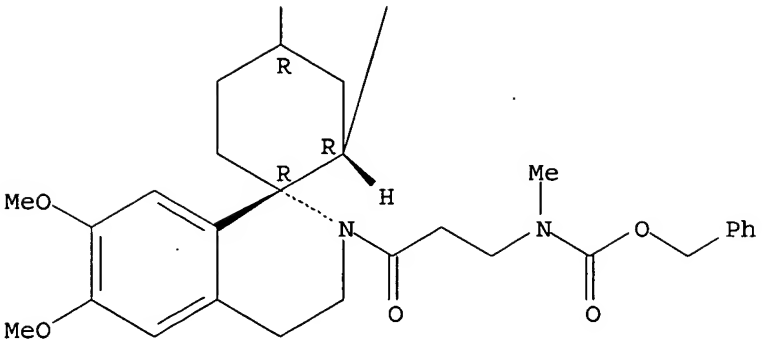
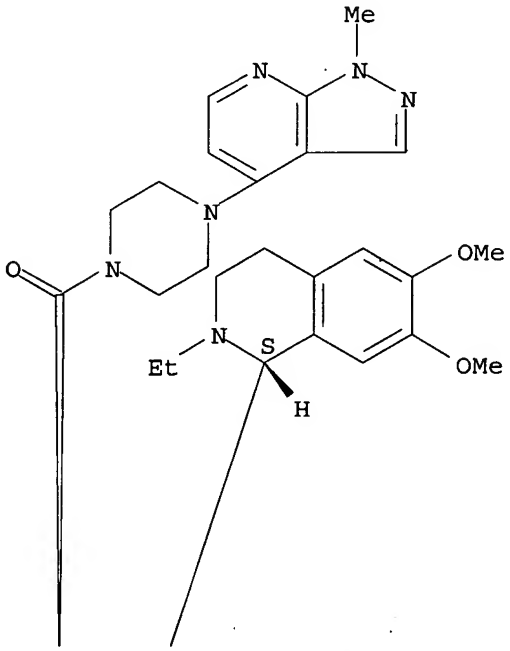


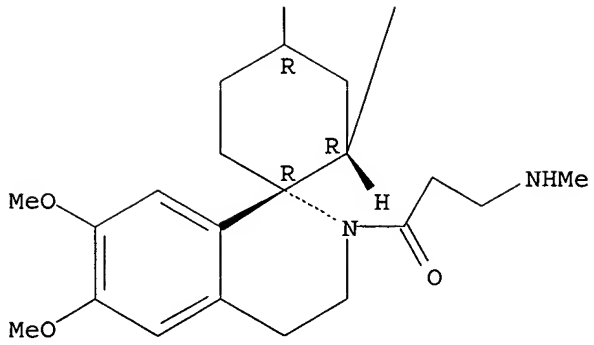
RN 470430-30-9 HCAPLUS
CN Spiro[cyclohexane-1,1'(2'H)-isoquinoline], 2-[(1R)-2-ethyl-1,2,3,4-tetrahydro-6,7-dimethoxy-1-isoquinolinyl]-3',4'-dihydro-6',7'-dimethoxy-2'-[3-(methylamino)-1-oxopropyl]-4-[[4-(1-methyl-1H-pyrazolo[3,4-b]pyridin-4-yl)-1-piperazinyl]carbonyl]-, (1S,2S,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



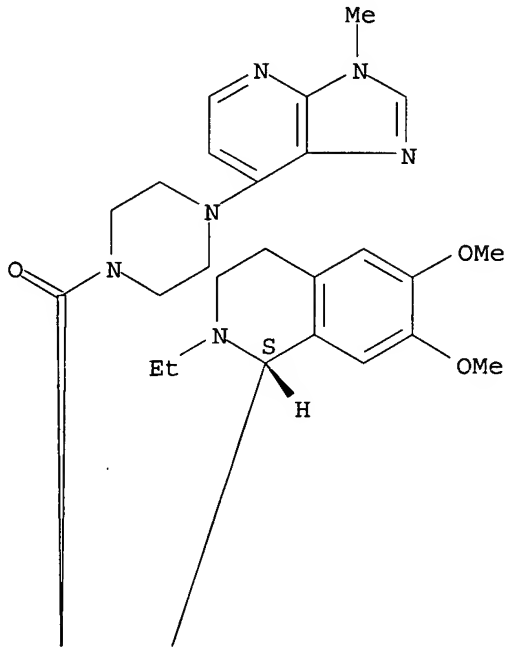
PAGE 2-A



RN 470430-35-4 HCAPLUS
CN Spiro[cyclohexane-1,1'(2'H)-isoquinoline], 2-[(1R)-2-ethyl-1,2,3,4-tetrahydro-6,7-dimethoxy-1-isoquinolinyl]-3',4'-dihydro-6',7'-dimethoxy-2'-[3-(methylamino)-1-oxopropyl]-4-[[4-(3-methyl-3H-imidazo[4,5-b]pyridin-7-yl)-1-piperazinyl]carbonyl]-, (1S,2S,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



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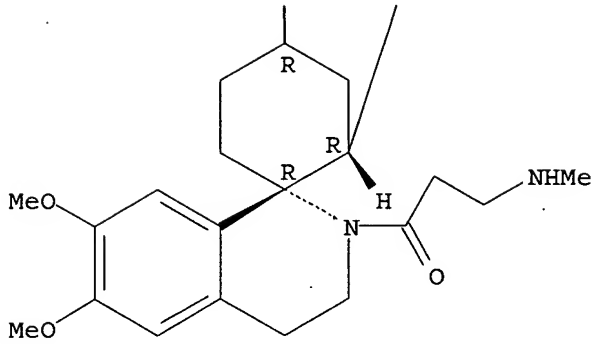


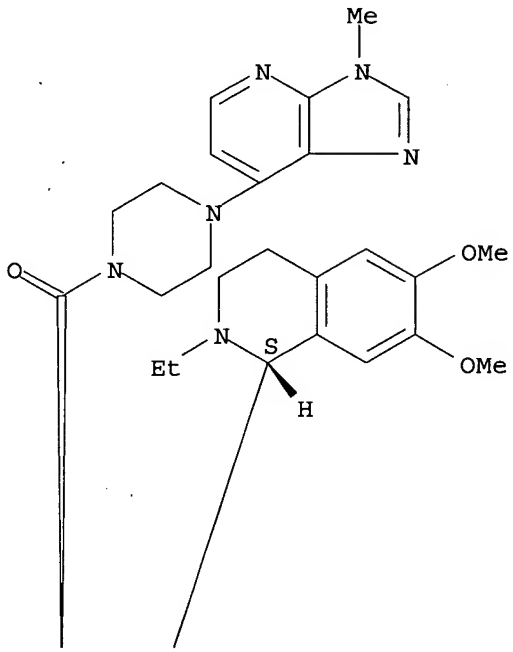
RN 470438-19-8 HCAPLUS
CN Spiro[cyclohexane-1,1'(2'H)-isoquinoline], 2-[(1R)-2-ethyl-1,2,3,4-tetrahydro-6,7-dimethoxy-1-isoquinolinyl]-3',4'-dihydro-6',7'-dimethoxy-2'-[3-(methylamino)-1-oxopropyl]-4-[[4-(3-methyl-3H-imidazo[4,5-b]pyridin-7-yl)-1-piperazinyl]carbonyl]-, (1S,2S,4S)-rel-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 470430-35-4
CMF C45 H60 N8 O6

Relative stereochemistry.

PAGE 1-A



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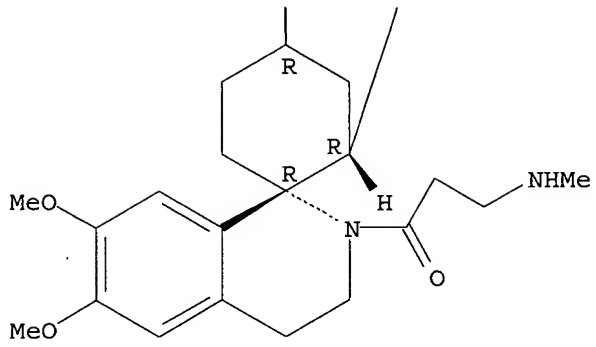
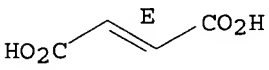


CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



L20 ANSWER 10 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:252512 HCAPLUS
DOCUMENT NUMBER: 140:287376
TITLE: Preparation of pyrazolo[3,4-b]pyridines as phosphodiesterase inhibitors for treatment of COPD, asthma, or allergic rhinitis
INVENTOR(S): Allen, David George; Coe, Diane Mary; Cook, Caroline Mary; Dowle, Michael Dennis; Edlin, Christopher David; Hamblin, Julie Nicole; Johnson, Martin Redpath; Jones, Paul Spencer; Knowles, Richard Graham; Lindvall, Mika Kristian; Mitchell, Charlotte Jane; Redgrave, Alison Judith; Trivedi, Naimisha; Ward, Peter
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 293 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024728	A2	20040325	WO 2003-EP11814	20030912
WO 2004024728	A3	20041021		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2497550	AA	20040325	CA 2003-2497550	20030912
EP 1539753	A2	20050615	EP 2003-778283	20030912

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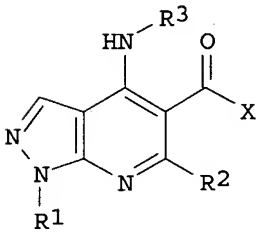
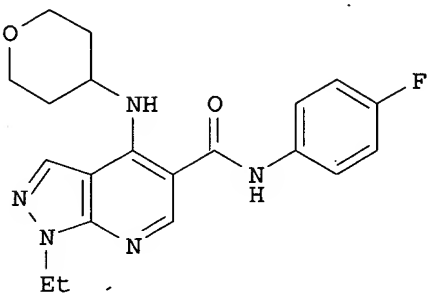
PRIORITY APPLN. INFO.:	GB 2002-21455	A 20020916
	GB 2002-30045	A 20021223
	GB 2003-6595	A 20030321
	GB 2003-8017	A 20030407
	GB 2003-19708	A 20030821
	GB 2003-21074	A 20030909
	WO 2003-EP11814	W 20030912

OTHER SOURCE(S): MARPAT 140:287376

GI



I



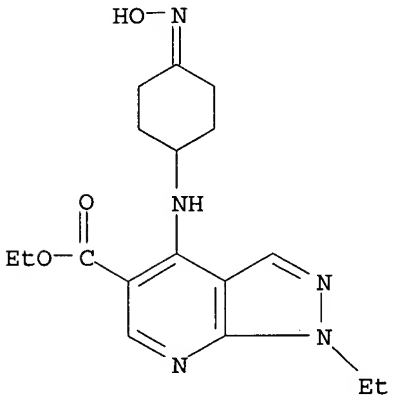
II

AB Title compds. I [wherein R1 = (fluoro)alkyl, (CH2)2OH, (CH2)2CO2-alkyl; R2 = HMe, fluoroalkyl; R3 = (un)substituted cycloalkyl, cycloalkenyl, or heterocyclyl; X = NR4R5, OR5a; R4 = H, (fluoro)alkyl, (un)substituted cycloalkyl(alkyl); R5 = substituted alkyl, acyl(alkyl), carboxy(alkyl), carbamoyl(alkyl), sulfamoyl(alkyl), alkylsulfonyl(alkyl), or cyano(alkyl); R5a = (fluoro)alkyl, cycloalkyl(alkyl), substituted Ph; and salts thereof] were prepared as phosphodiesterase (PDE) inhibitors, in particular PDE4 inhibitors. The invention also provides for the use of I or pharmaceutically acceptable salts thereof for the treatment and/or prophylaxis of an inflammatory and/or allergic disease, such as chronic obstructive pulmonary disease (COPD), asthma, or allergic rhinitis. For example, 4-chloro-1-ethyl-N-(4-fluorophenyl)1H-pyrazolo[3,4-b]pyridine-5-carboxamide (preparation given) was coupled with 4-aminotetrahydropyran in EtOH using TEA to give II. The latter inhibited human recombinant PDE 4B with a pIC50 of 7.9 and suppressed LPS-induced pulmonary neutrophilia in rats with an ED50 in the range of about 0.5 mg/kg to about 2 mg/kg. In the rat pica model of emesis, II exhibited pica response values (ED50 ranging from 4.8 mg/kg to 40 mg/kg) higher than the neutrophilia-inhibition doses and displayed a therapeutic index >2. Thus, II showed anti-inflammatory effects with low emetic side effects.

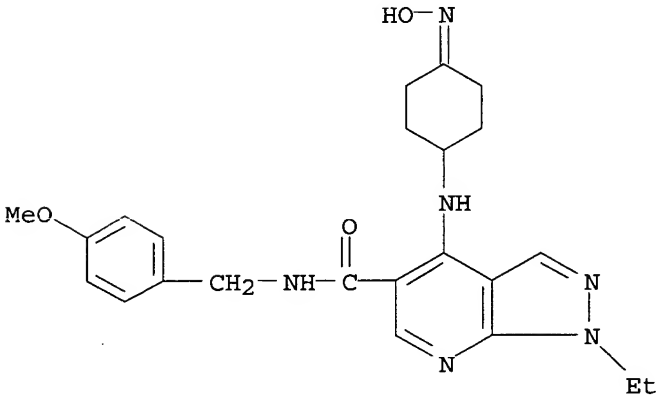
IT **675119-55-8P**, Ethyl 1-ethyl-4-[[4-(hydroxyimino)cyclohexyl]amino]-1H-pyrazolo[3,4-b]pyridine-5-carboxylate **675119-56-9P**, 1-Ethyl-4-[[4-(hydroxyimino)cyclohexyl]amino]-N-[[4-(methyloxy)phenyl]methyl]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)
(PDE4 inhibitor; preparation of pyrazolo[3,4-b]pyridines as PDE4 inhibitors for treatment of inflammatory and/or allergic disease)

RN 675119-55-8 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 1-ethyl-4-[[4-(hydroxyimino)cyclohexyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



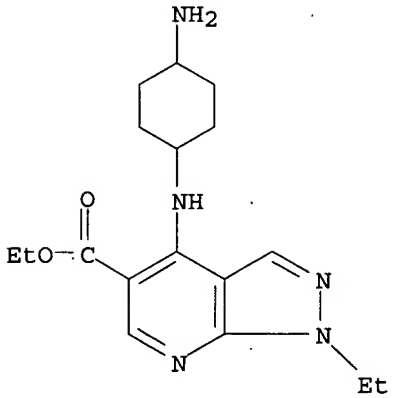
RN 675119-56-9 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 1-ethyl-4-[[4-(hydroxyimino)cyclohexyl]amino]-N-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



IT **675114-65-5P**, Ethyl 4-[(4-aminocyclohexyl)amino]-1-ethyl-1H-pyrazolo[3,4-b]pyridine-5-carboxylate **675114-69-9P**, 4-[(cis-4-Aminocyclohexyl)amino]-1-ethyl-N-(phenylmethyl)-1H-pyrazolo[3,4-b]pyridine-5-carboxamide **675114-94-0P**, 4-[(cis-4-Aminocyclohexyl)amino]-1-ethyl-N-[[4-(methyloxy)phenyl]methyl]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide **675115-02-3P**, 4-[(cis-4-Aminocyclohexyl)amino]-1-ethyl-N-[[4-[(methylsulfonyl)amino]phenyl]methyl]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide **675115-11-4P**, 4-[(cis-4-Aminocyclohexyl)amino]-N-(2,3-dihydro-1H-inden-2-yl)-1-ethyl-1H-pyrazolo[3,4-b]pyridine-5-carboxamide **675119-57-0P**, N-[[4-(Dimethylamino)phenyl]methyl]-1-ethyl-4-[[4-(hydroxyimino)cyclohexyl]amino]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide **675119-58-1P**, 1-Ethyl-4-[[4-

[(ethyloxy)imino]cyclohexyl]amino]-N-[[4-(methyloxy)phenyl]methyl]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide **675119-59-2P**, 1-Ethyl-4-[[4-[(methyloxy)imino]cyclohexyl]amino]-N-[[4-(methyloxy)phenyl]methyl]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide **675119-60-5P**, 4-[[4-[[(1,1-Dimethylethyl)oxy]imino]cyclohexyl]amino]-1-ethyl-N-[[4-(methyloxy)phenyl]methyl]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide **675119-63-8P**, 4-[[cis-4-(Butylamino)cyclohexyl]amino]-N-(2,3-dihydro-1H-inden-2-yl)-1-ethyl-1H-pyrazolo[3,4-b]pyridine-5-carboxamide **675119-64-9P**, 4-[(trans-4-Aminocyclohexyl)amino]-1-ethyl-N-(phenylmethyl)-1H-pyrazolo[3,4-b]pyridine-5-carboxamide **675119-65-0P**, 4-[(trans-2-Aminocyclohexyl)amino]-1-ethyl-N-(phenylmethyl)-1H-pyrazolo[3,4-b]pyridine-5-carboxamide **675119-66-1P**, 4-[(cis-2-Aminocyclohexyl)amino]-1-ethyl-N-(phenylmethyl)-1H-pyrazolo[3,4-b]pyridine-5-carboxamide **675119-67-2P**, 4-[(3-Aminocyclohexyl)amino]-1-ethyl-N-(phenylmethyl)-1H-pyrazolo[3,4-b]pyridine-5-carboxamide **675119-83-2P**, N-[(2,4-Dimethylphenyl)methyl]-1-ethyl-4-[[4-(hydroxyimino)cyclohexyl]amino]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide **675119-84-3P**, N-[(3,4-Dimethylphenyl)methyl]-1-ethyl-4-[[4-(hydroxyimino)cyclohexyl]amino]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide **675119-85-4P**, N-[(2,3-Dichlorophenyl)methyl]-1-ethyl-4-[[4-(hydroxyimino)cyclohexyl]amino]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide **675119-86-5P**, N-[(3-Chloro-4-methylphenyl)methyl]-1-ethyl-4-[[4-(hydroxyimino)cyclohexyl]amino]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide **675119-87-6P**, N-[(4-Chloro-2-methylphenyl)methyl]-1-ethyl-4-[[4-(hydroxyimino)cyclohexyl]amino]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide **675119-88-7P**, N-[[4-[(Difluoromethyl)oxy]phenyl]methyl]-1-ethyl-4-[[4-(hydroxyimino)cyclohexyl]amino]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide **675119-89-8P**, 1-Ethyl-4-[[4-(hydroxyimino)cyclohexyl]amino]-N-[[4-(trifluoromethyl)phenyl]methyl]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PDE4 inhibitor; preparation of pyrazolo[3,4-b]pyridines as PDE4 inhibitors for treatment of inflammatory and/or allergic disease)

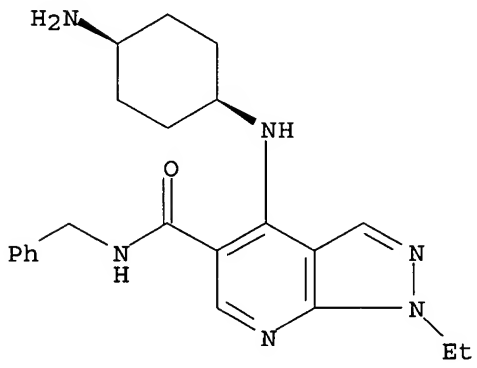
RN 675114-65-5 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-[(4-aminocyclohexyl)amino]-1-ethyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 675114-69-9 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 4-[(cis-4-aminocyclohexyl)amino]-

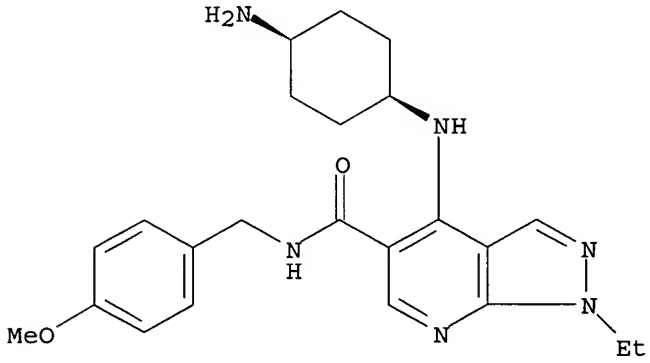
1-ethyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



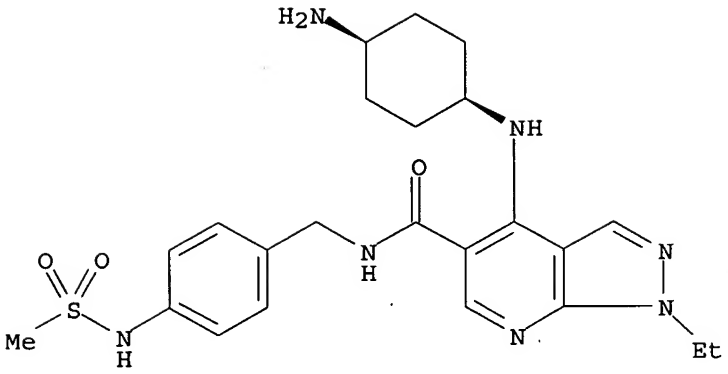
RN 675114-94-0 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 4-[(cis-4-aminocyclohexyl)amino]-1-ethyl-N-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



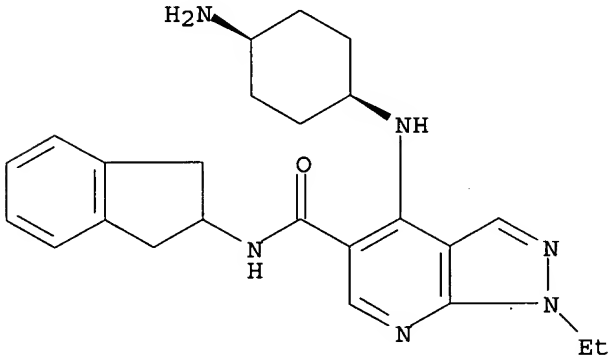
RN 675115-02-3 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 4-[(cis-4-aminocyclohexyl)amino]-1-ethyl-N-[[4-[(methylsulfonyl)amino]phenyl]methyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

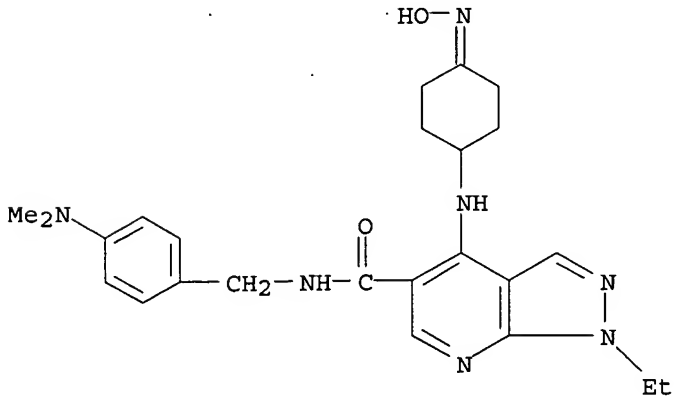


RN 675115-11-4 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 4-[(cis-4-aminocyclohexyl)amino]-N-(2,3-dihydro-1H-inden-2-yl)-1-ethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

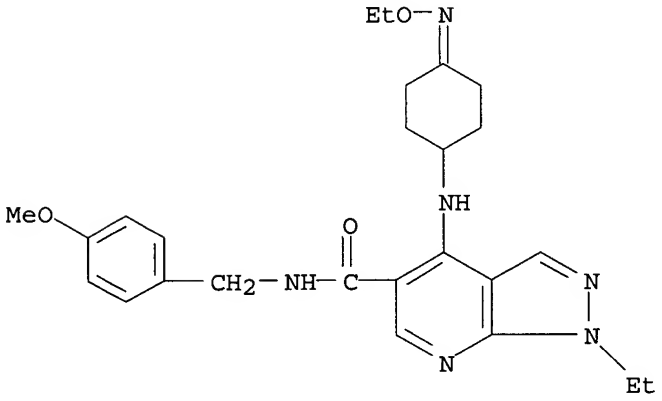


RN 675119-57-0 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[4-(dimethylamino)phenyl]methyl]-1-ethyl-4-[[4-(hydroxyimino)cyclohexyl]amino]- (9CI) (CA INDEX NAME)

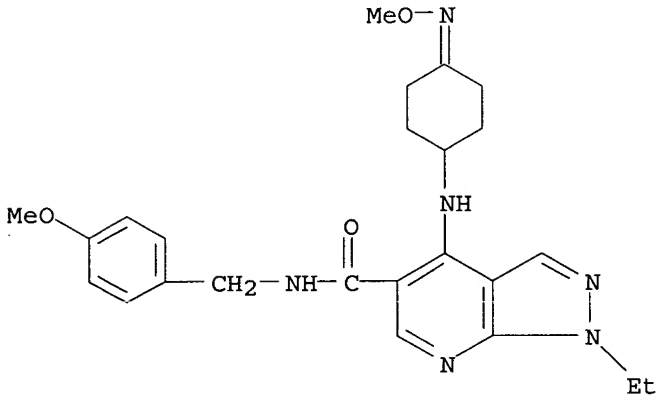


RN 675119-58-1 HCAPLUS

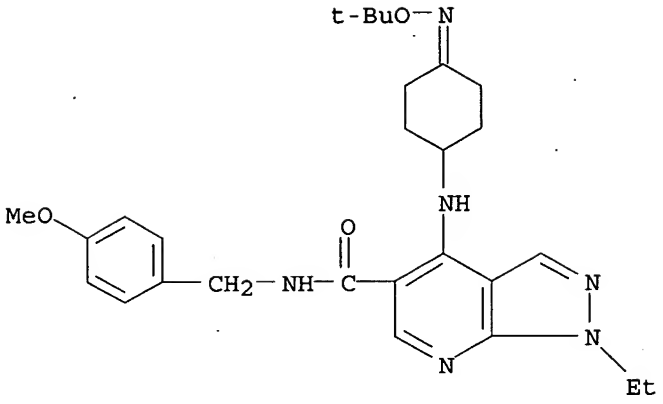
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 4-[[4-(ethoxyimino)cyclohexyl]amino]-1-ethyl-N-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 675119-59-2 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 1-ethyl-4-[[4-(methoxyimino)cyclohexyl]amino]-N-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

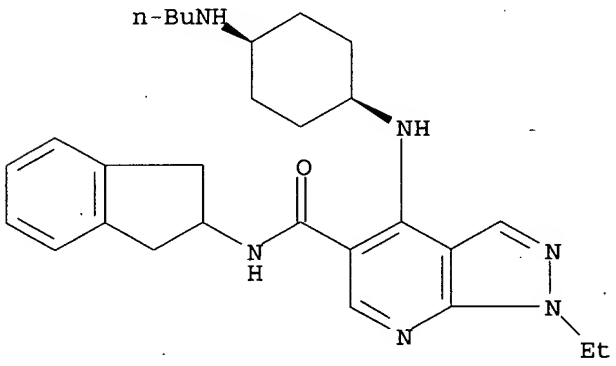


RN 675119-60-5 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 4-[[4-[(1,1-dimethylethoxy)imino]cyclohexyl]amino]-1-ethyl-N-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



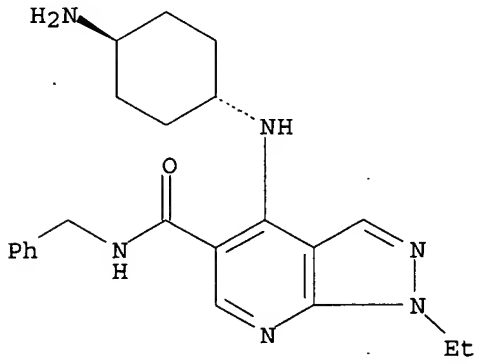
RN 675119-63-8 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 4-[[cis-4-(butylamino)cyclohexyl]amino]-N-(2,3-dihydro-1H-inden-2-yl)-1-ethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



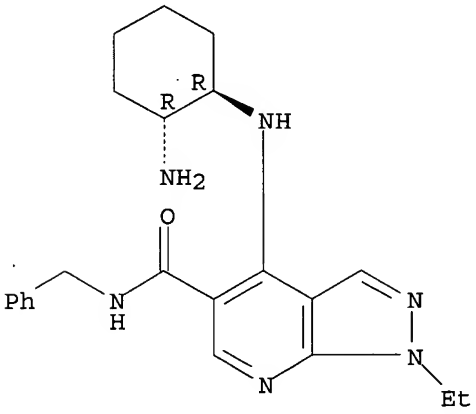
RN 675119-64-9 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 4-[(trans-4-aminocyclohexyl)amino]-1-ethyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



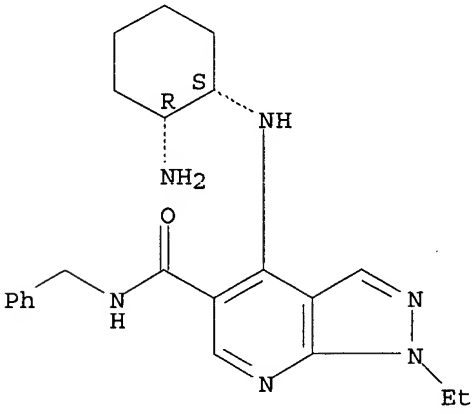
RN 675119-65-0 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 4-[[(1R,2R)-2-aminocyclohexyl]amino]-1-ethyl-N-(phenylmethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

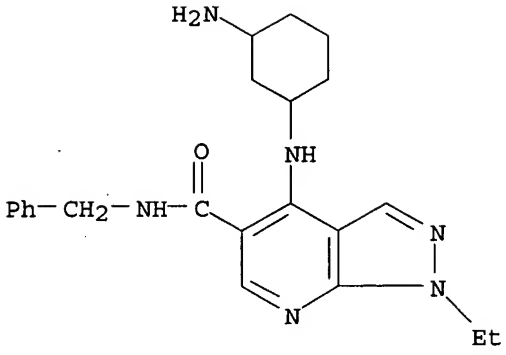


RN 675119-66-1 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 4-[[(1R,2S)-2-aminocyclohexyl]amino]-1-ethyl-N-(phenylmethyl)-, rel- (9CI) (CA INDEX NAME)

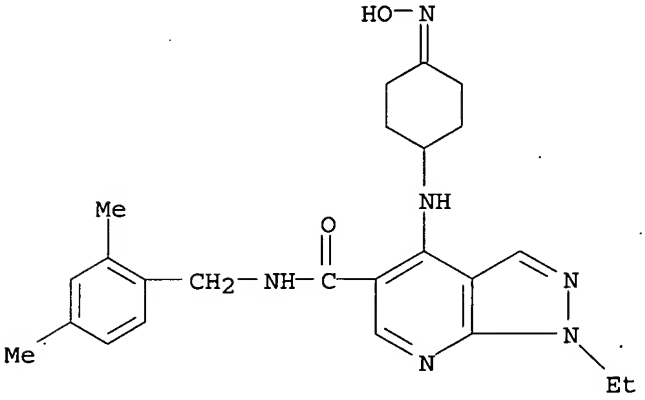
Relative stereochemistry.



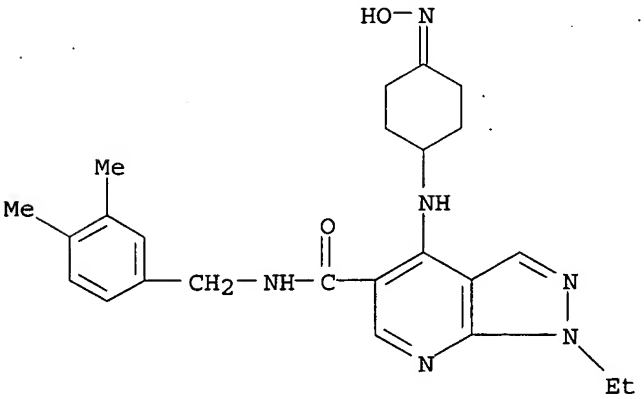
RN 675119-67-2 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 4-[(3-aminocyclohexyl)amino]-1-ethyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 675119-83-2 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[(2,4-dimethylphenyl)methyl]-1-ethyl-4-[[4-(hydroxyimino)cyclohexyl]amino]- (9CI) (CA INDEX NAME)

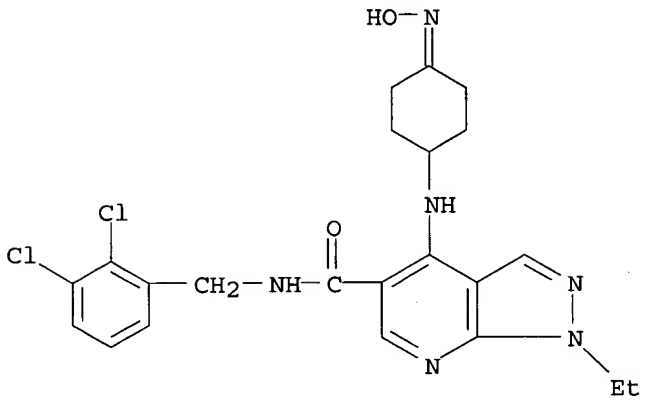


RN 675119-84-3 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[(3,4-dimethylphenyl)methyl]-1-ethyl-4-[[4-(hydroxyimino)cyclohexyl]amino]- (9CI) (CA INDEX NAME)

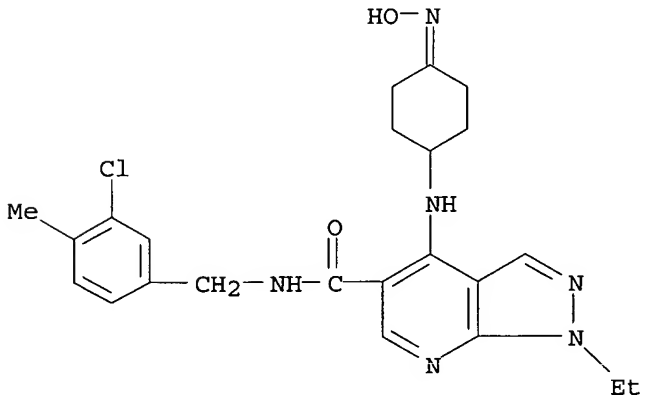


RN 675119-85-4 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[(2,3-dichlorophenyl)methyl]-1-

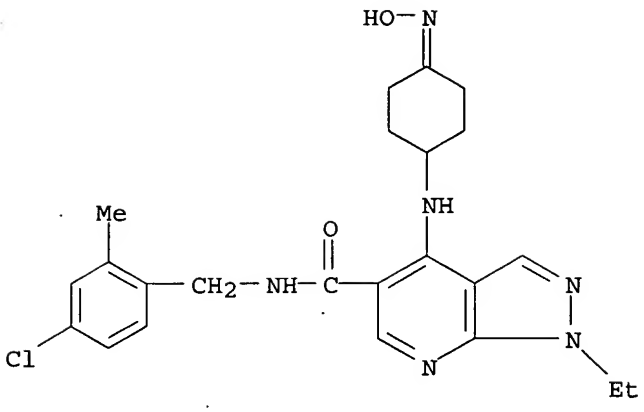
ethyl-4-[[4-(hydroxyimino)cyclohexyl]amino]- (9CI) (CA INDEX NAME)



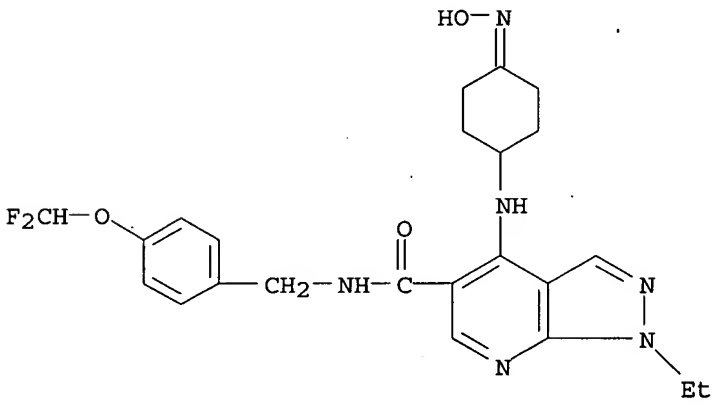
RN 675119-86-5 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[(3-chloro-4-methylphenyl)methyl]-1-ethyl-4-[[4-(hydroxyimino)cyclohexyl]amino]- (9CI) (CA INDEX NAME)



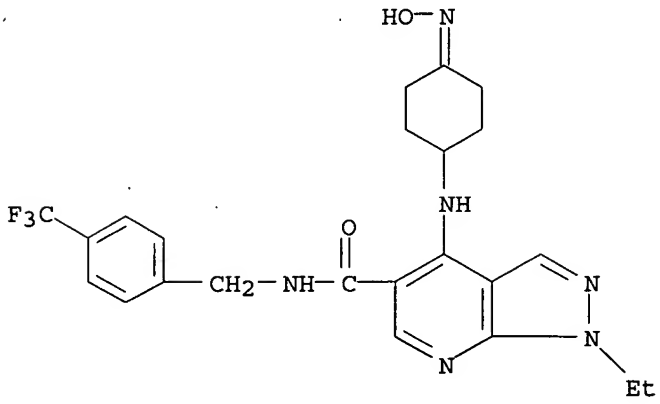
RN 675119-87-6 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[(4-chloro-2-methylphenyl)methyl]-1-ethyl-4-[[4-(hydroxyimino)cyclohexyl]amino]- (9CI) (CA INDEX NAME)



RN 675119-88-7 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[4-(difluoromethoxy)phenyl]methyl]-1-ethyl-4-[[4-(hydroxyimino)cyclohexyl]amino]- (9CI) (CA INDEX NAME)



RN 675119-89-8 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 1-ethyl-4-[[4-(hydroxyimino)cyclohexyl]amino]-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



L20 ANSWER 11 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:162689 HCAPLUS
DOCUMENT NUMBER: 140:199327
TITLE: Preparation of imidazopyridines as Itk kinase inhibitors for use against asthma and allergic rhinitis
INVENTOR(S): Johansson, Henrik; Lawitz, Karolina; Nikitidis, Grigorios; Sjoe, Peter; Storm, Peter
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 170 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004016611	A1	20040226	WO 2003-SE1279	20030813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2495511	AA	20040226	CA 2003-2495511	20030813
EP 1539759	A1	20050615	EP 2003-788216	20030813
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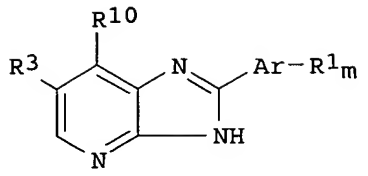
PRIORITY APPLN. INFO.: SE 2002-2462 A 20020814
WO 2003-SE1279 W 20030813

OTHER SOURCE(S): MARPAT 140:199327
GI



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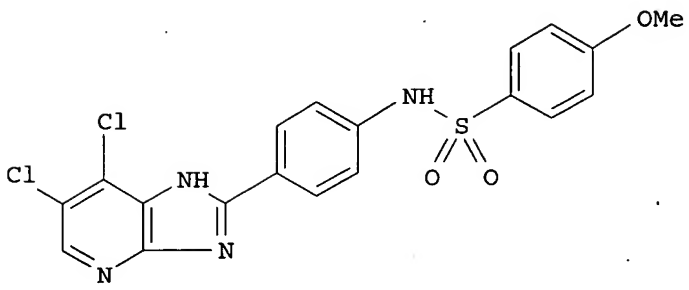


II

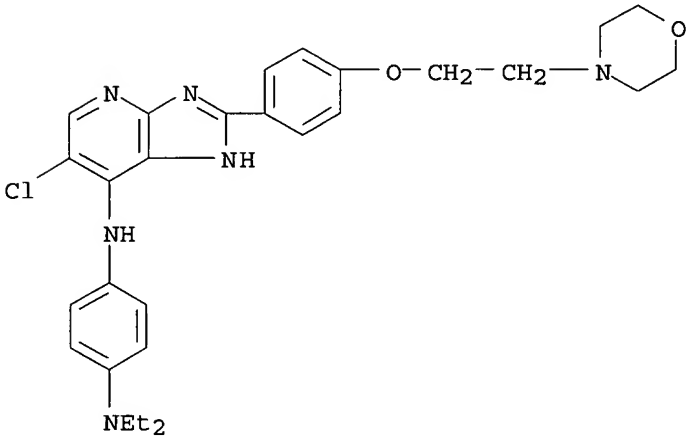
AB The use of imidazopyridines (shown as I; variables defined below; e.g. II trifluoroacetate) and pharmaceutically acceptable salts thereof in the manufacture of a medicament for the treatment or prophylaxis of diseases or conditions in which inhibition of kinase Itk activity is beneficial is disclosed. Certain novel compds. I, together with processes for their preparation, compns. containing them and their use in therapy are also disclosed.

For I: R3 = halogen, CN, C1-3-alkyl or C1-3-alkoxy; Ar = Ph, a 5-6-membered heteroarom. ring or an indole ring, said heteroarom. ring incorporating 1 to 3 O, N and S; R1 = H, halogen, CN, C1-6-alkyl, NO2, SO2Me, C1-6-alkynyl, CH2OH, OR2, (CH2)nNR4R5 or Ph (un)substituted by NH2; m = 1-2 and when m = 2, each R1 may be selected independently; n = 0 or 1; R10 = H, halogen, CN, C1-4-alkyl, C1-4-alkoxy, NR14R15 or a group -X-Y-Z (X = O, S, a bond or NR16 wherein R16 = H or C1-4-alkyl; Y = C1-4-alkyl or a bond; Z = Ph, naphthyl or a 5- or 6-membered heteroarom. ring, a 5- or 6-membered saturated heterocyclic ring containing 1-2 heteroatoms = O, N and S, or C3-6-cycloalkyl); addnl. details are given in the claims. Methods of preparation are claimed and >250 example prepns. of I are included. For example, II was prepared by condensing 4-(6,7-dichloro-1H-imidazo[4,5-b]pyridin-2-yl)aniline with 4-methoxybenzenesulfonyl chloride in pyridine. In another example, 5-bromo-2,3-diaminopyridine was cyclized with 4-hydroxybenzaldehyde in DMF in the presence of iron(III) chloride hexahydrate to give 65% 4-(6-bromo-3H-imidazo[4,5-b]pyridin-2-yl)phenol. In another example, N-benzyl-5-(6-bromo-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine bis(trifluoroacetate) was prepared in 3 steps starting with cyclization of 2,3-diamino-5-bromopyridine with 6-chloronicotinic acid in the presence of polyphosphoric acid (53%) followed by chlorination using POCl3 to give 44% 6-bromo-2-(6-chloropyridin-3-yl)-3H-imidazo[4,5-b]pyridine followed by condensation with benzylamine (51%). Compds. of Examples 1 to 278 gave IC50 values for inhibition of Itk activity of <25 μM, e.g. 0.26 μM for II.

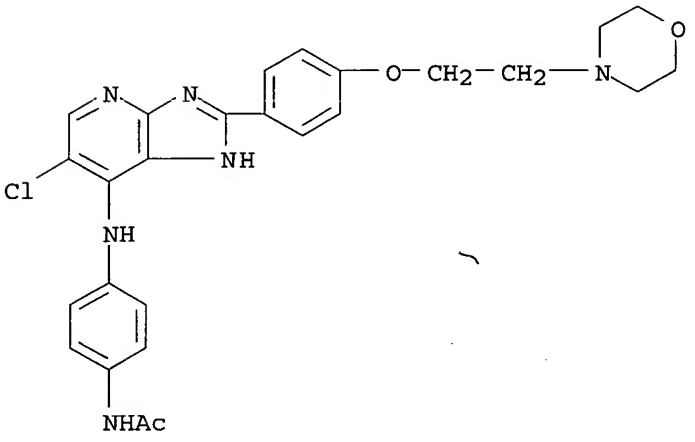
IT **662116-99-6P**, N'-[6-Chloro-2-[4-[2-(4-morpholinyl)ethoxy]phenyl]-1H-imidazo[4,5-b]pyridin-7-yl]-N,N-diethyl-1,4-benzenediamine **662117-00-2P**, N-[4-[[6-Chloro-2-[4-[2-(4-morpholinyl)ethoxy]phenyl]-1H-imidazo[4,5-b]pyridin-7-yl]amino]phenyl]acetamide **662117-01-3P**, N-[4-[[6-Chloro-2-[4-[2-(4-morpholinyl)ethoxy]phenyl]-1H-imidazo[4,5-b]pyridin-7-

yl]amino]phenyl]acetamide bis(trifluoroacetate)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of imidazopyridines as Itk kinase inhibitors for use against asthma and allergic rhinitis)

RN 662116-99-6 HCAPLUS
CN 1,4-Benzenediamine, N'-[6-chloro-2-[4-[2-(4-morpholinyl)ethoxy]phenyl]-1H-imidazo[4,5-b]pyridin-7-yl]-N,N-diethyl- (9CI) (CA INDEX NAME)



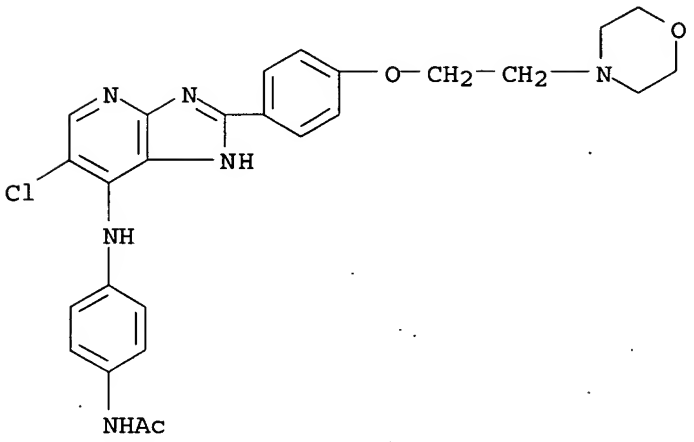
RN 662117-00-2 HCAPLUS
CN Acetamide, N-[4-[[6-chloro-2-[4-[2-(4-morpholinyl)ethoxy]phenyl]-1H-imidazo[4,5-b]pyridin-7-yl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 662117-01-3 HCAPLUS
CN Acetamide, N-[4-[[6-chloro-2-[4-[2-(4-morpholinyl)ethoxy]phenyl]-1H-imidazo[4,5-b]pyridin-7-yl]amino]phenyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

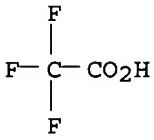
CM 1

CRN 662117-00-2
CMF C26 H27 Cl N6 O3



CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 12 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:41604 HCAPLUS
DOCUMENT NUMBER: 140:105238
TITLE: Antibacterial inhibitors of Ftsz protein
INVENTOR(S): White, Lucile E.; Reynolds, Robert C.; Suling, William
PATENT ASSIGNEE(S): Southern Research Institute, USA
SOURCE: PCT Int. Appl., 117 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004005472	A2	20040115	WO 2003-US20984	20030702
WO 2004005472	A3	20040923		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2491680	AA	20040115	CA 2003-2491680	20030702
EP 1538907	A2	20050615	EP 2003-756780	20030702

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

PRIORITY APPLN. INFO.: US 2002-393680P P 20020702
WO 2003-US20984 W 20030702

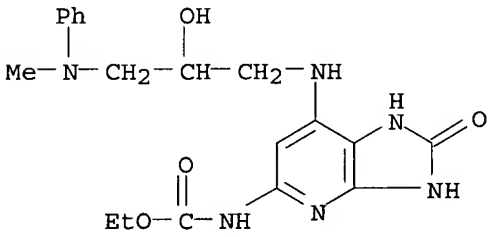
OTHER SOURCE(S): MARPAT 140:105238

AB The invention relates to inhibitors of FtsZ polymerization and uses thereof.

IT **15223-98-0**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibitors of ftsz and uses thereof)

RN 15223-98-0 HCAPLUS

CN Carbamic acid, [2,3-dihydro-7-[[2-hydroxy-3-(methylphenylamino)propyl]amino]-2-oxo-1H-imidazo[4,5-b]pyridin-5-yl]-, ethyl ester (9CI) (CA INDEX NAME)



L20 ANSWER 13 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:874972 HCAPLUS
DOCUMENT NUMBER: 139:364960
TITLE: Composition and antiviral activity of substituted azaindoleoxoacetic piperazine derivatives
INVENTOR(S): Wang, Tao; Zhang, Zhongxing; Meanwell, Nicholas A.; Kadow, John F.; Yin, Zhiwei; Xue, Qiufen May
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 277 pp., Cont.-in-part of U.S. Ser. No. 38,306.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

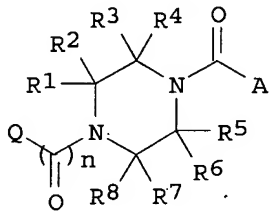
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003207910	A1	20031106	US 2002-214982	20020807
US 2003069266	A1	20030410	US 2002-38306	20020102
US 2004110785	A1	20040610	US 2003-630278	20030730
ZA 2003005885	A	20041101	ZA 2003-5885	20030730
WO 2004014380	A1	20040219	WO 2003-US24415	20030804

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

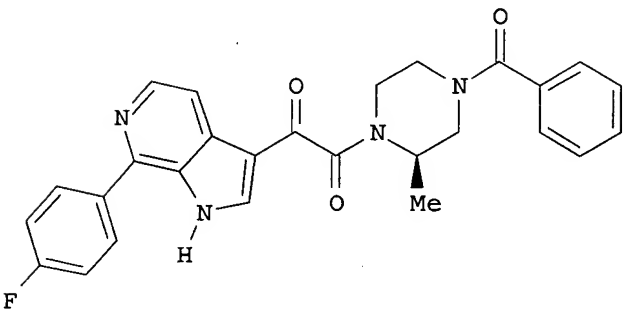
CA 2494832	AA	20040219	CA 2003-2494832		20030805
EP 1549313	A1	20050706	EP 2003-784906		20030805
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
US 2005090522	A1	20050428	US 2004-969675		20041020
PRIORITY APPLN. INFO.:			US 2001-266183P	P	20010202
			US 2001-314406P	P	20010823
			US 2002-38306	A2	20020102
			US 2002-214982	B2	20020807
			US 2003-630278	B1	20030730
			WO 2003-US24415	W	20030804

OTHER SOURCE(S): MARPAT 139:364960

GI



I



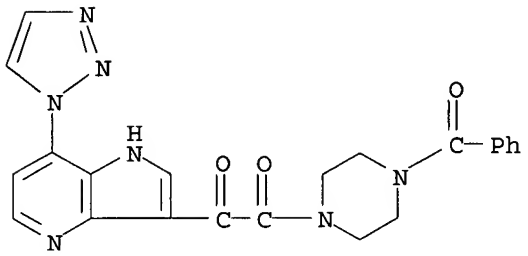
II

AB Title compds. I [n = 1 or 2; Q = (un)substituted azaindole heterocycle; A = alkoxy, (un)substituted aryl or heteroaryl; R1-8 are independently selected from H, alkyl or haloalkyl consisting of up to three halogen substituents with same or different halogens] having drug and bio-affecting properties, their pharmaceutical compns., method of use, and synthetic preparation are disclosed. Thus, e.g., II was prepared via palladium catalyzed coupling of 1-benzoyl-3-(R)-methyl-4-[(7-(4-fluorophenyl)-6-azaindol-3-yl)oxoacetyl]-piperazine (preparation given) with 4-fluorophenylboronic acid. II demonstrated 56% inhibition of luciferase expression at 10 μM. These compds. possess unique antiviral activity, whether used alone or in combination with other antivirals,

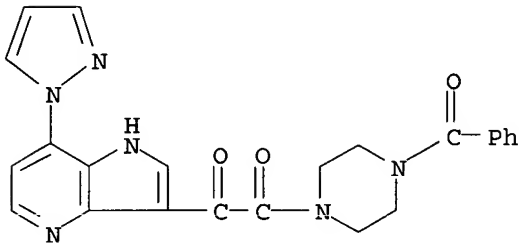
antiinfectives, immunomodulators or HIV entry inhibitors. More particularly, the present invention relates to the treatment of HIV and AIDS.

IT **619331-02-1P 619331-04-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation and antiviral activity of substituted azaindoleoxoacetic piperazine derivs.)

RN 619331-02-1 HCAPLUS
CN Piperazine, 1-benzoyl-4-[oxo[7-(1H-1,2,3-triazol-1-yl)-1H-pyrrolo[3,2-b]pyridin-3-yl]acetyl]- (9CI) (CA INDEX NAME)



RN 619331-04-3 HCAPLUS
CN Piperazine, 1-benzoyl-4-[oxo[7-(1H-pyrazol-1-yl)-1H-pyrrolo[3,2-b]pyridin-3-yl]acetyl]- (9CI) (CA INDEX NAME)



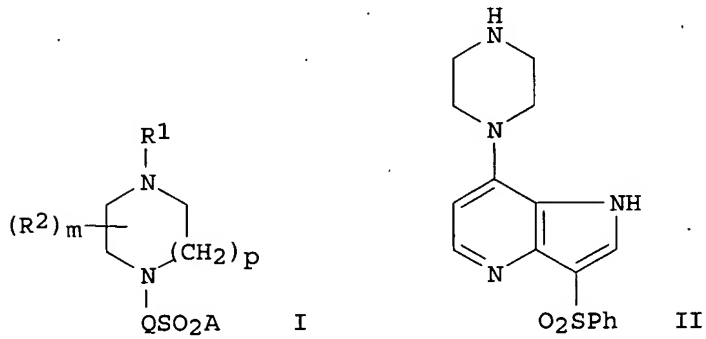
L20 ANSWER 14 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:777791 HCAPLUS
DOCUMENT NUMBER: 139:292272
TITLE: Preparation of arylsulfonylquinolinyl- of azaindolylpiperazines as 5-HT6 antagonists
INVENTOR(S): Johnson, Christopher Norbert; MacDonald, Gregor James; Mitchell, Darren Jason; Moss, Stephen Frederick; Thompson, Mervyn; Witty, David
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2003080608	A2	20031002	WO 2003-EP3195	20030325
WO 2003080608	A3	20040205		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1497291	A2	20050119	EP 2003-744860	20030325
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005124626	A1	20050609	US 2003-509077	20030325
PRIORITY APPLN. INFO.:			GB 2002-7275 A	20020327
			GB 2002-7278 A	20020327
			GB 2002-7281 A	20020327
			GB 2002-7282 A	20020327
			WO 2003-EP3195 W	20030325

OTHER SOURCE(S): MARPAT 139:292272

GI



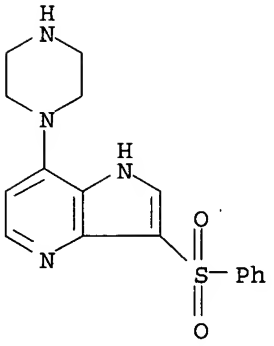
AB Title compds. I [R1, R2 = H, alkyl; R1R2, R22 = (CH2)1-4; Q = (un)substituted quinolinyl, pyrrolopyridinyl; A = (un)substituted aryl; m = 1-4; p = 1, 2] were prepared for use as 5-HT6 antagonists in the treatment of CNS and other disorders. Thus, 3-chloro-4-nitropyridine was treated with 1-tert.-butoxycarbonylpiperazine, cyclized with CH2:CHMgBr to 7-tert.-butoxycarbonylpiperazin-1-yl-1H-pyrrolo[3,2-b]pyridine, which was treated with Ph2S2, oxidized to the sulfone. and deblocked to give the title compound II.

IT **608142-77-4P 608142-79-6P 608142-80-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylsulfonylquinolinyl- of azaindolylpiperazines as 5-HT6 antagonists)

RN 608142-77-4 HCAPLUS

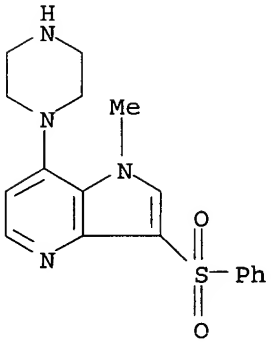
CN 1H-Pyrrolo[3,2-b]pyridine, 3-(phenylsulfonyl)-7-(1-piperazinyl)-,

monohydrochloride (9CI) (CA INDEX NAME)

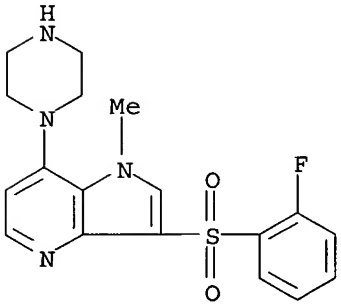


● HCl

RN 608142-79-6 HCAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine, 1-methyl-3-(phenylsulfonyl)-7-(1-piperazinyl)- (9CI) (CA INDEX NAME)



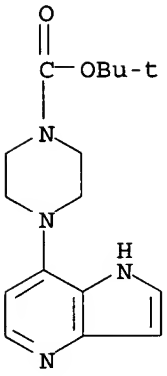
RN 608142-80-9 HCAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine, 3-[(2-fluorophenyl)sulfonyl]-1-methyl-7-(1-piperazinyl)- (9CI) (CA INDEX NAME)



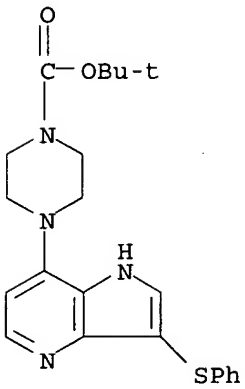
IT **608142-94-5P 608142-95-6P 608142-96-7P**

608142-98-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arylsulfonylquinolinyl- of azaindolylpiperazines as 5-HT6 antagonists)

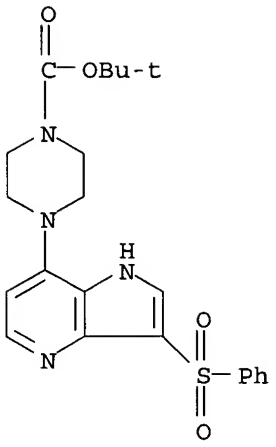
RN 608142-94-5 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-(1H-pyrrolo[3,2-b]pyridin-7-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



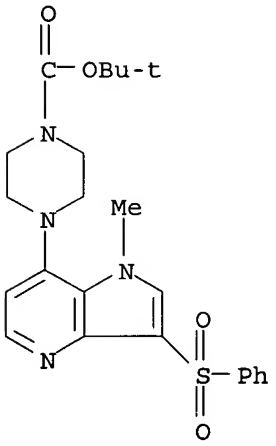
RN 608142-95-6 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-(phenylthio)-1H-pyrrolo[3,2-b]pyridin-7-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 608142-96-7 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-(phenylsulfonyl)-1H-pyrrolo[3,2-b]pyridin-7-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 608142-98-9 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[1-methyl-3-(phenylsulfonyl)-1H-pyrrolo[3,2-b]pyridin-7-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L20 ANSWER 15 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:633708 HCAPLUS
DOCUMENT NUMBER: 139:164812
TITLE: Preparation of heterocyclic sulfonamide compounds with 5-HT6 receptor affinity
INVENTOR(S): Ahmed, Mahmood; Bromidge, Steve
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003066632	A1	20030814	WO 2003-EP1117	20030204

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1472253	A1	20041103	EP 2003-737311		20030204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
US 2005090496	A1	20050428	US 2003-503682		20030204
PRIORITY APPLN. INFO.:			GB 2002-2679	A	20020205
			WO 2003-EP1117	W	20030204

OTHER SOURCE(S): MARPAT 139:164812

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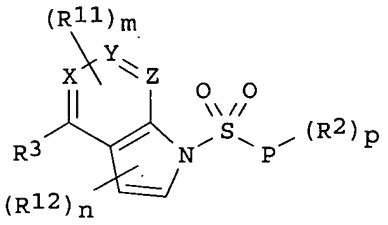


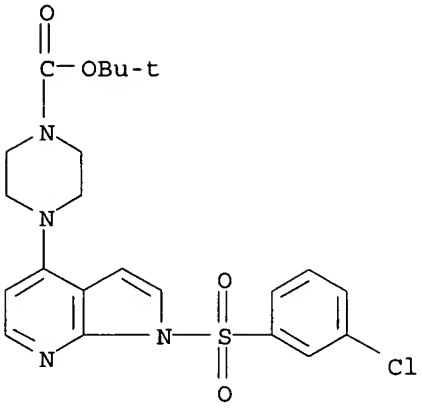
I

AB Heterocyclic sulfonyl compds. [I; P = (hetero)aryl; R11, R12 = halogen, C1-6 alkyl, C1-6 (hydroxy)alkoxy, C1-6 alkanoyl, CN, CF3, OCF3, phenyloxy, benzyloxy, C3-6 cycloalkyloxy; R2 = halogen, C1-6 (hydroxy)alkyl, C3-6 cycloalkyl, C1-6 alkoxy, C1-6 alkylthio, C1-6 alkylsulfinyl, C1-6alkylsulfonoyl, C1-16 alkanoyl, CN, CF3, OCH2CF3, OCF3, C1-6 alkoxycarbonyl, alkoxyalkoxy, nitro, (un)substituted amino, etc.; R3 = 5-7-membered heterocyclic ring or a bicyclic heterocyclic ring containing 1-3 heteroatoms selected from nitrogen, sulfur or oxygen with the ring being optionally C- and/or N-substituted by one or more C1-6-alkyl; X, Y, Z = N, CH, provided that one or two of X, Y, and Z represent N; m, n = 0-4; p = 0-5; e.g., 4-[1-(3-chlorobenzenesulfonyl)-1H-pyrrolo[2,3-b]pyridin-4-yl]piperazine hydrochloride] which have 5-HT6 receptor affinity (e.g., pKi >8 at human cloned 5-HT6 receptors), useful in the treatment of CNS (e.g., Alzheimer's disease) and other disorders (no data), are prepared

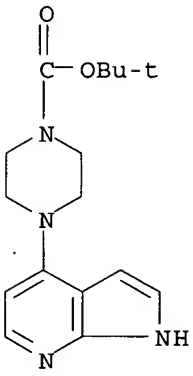
IT **577768-57-1P 577768-59-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in the preparation of heterocyclic sulfonamide compds. with 5-HT6 receptor affinity)

RN 577768-57-1 HCAPLUS

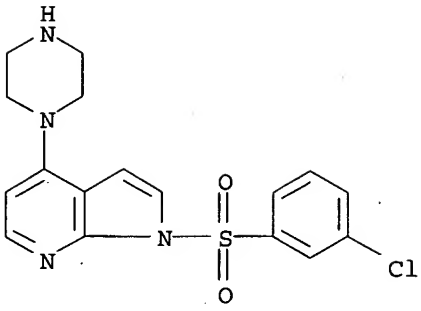
CN 1-Piperazinecarboxylic acid, 4-[1-[(3-chlorophenyl)sulfonyl]-1H-pyrrolo[2,3-b]pyridin-4-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 577768-59-3 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-(1H-pyrrolo[2,3-b]pyridin-4-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



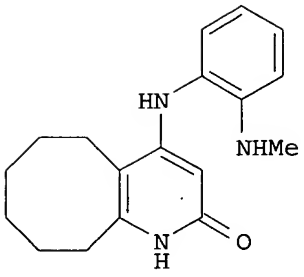
IT **577768-55-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic sulfonamide compds. with 5-HT6 receptor affinity)
RN 577768-55-9 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-[(3-chlorophenyl)sulfonyl]-4-(1-piperazinyl)-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 16 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:199484 HCAPLUS
DOCUMENT NUMBER: 138:368727
TITLE: Preparation of Highly Substituted 4-Aminopyridones via the Reaction of 2-Methylene Dihydrobenzimidazole with Vinyl Isocyanates
AUTHOR(S): Rigby, James H.; Lee, Chee-Seng
CORPORATE SOURCE: Department of Chemistry, Wayne State University, Detroit, MI, 48202-3489, USA
SOURCE: Organic Letters (2003), 5(7), 1151-1153
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:368727
GI



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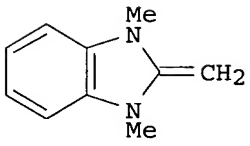

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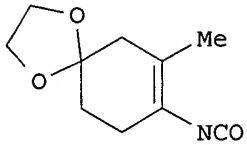
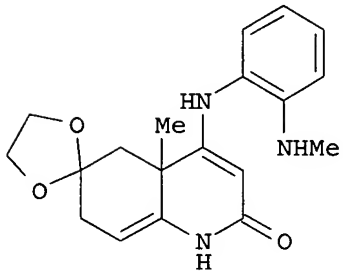


III



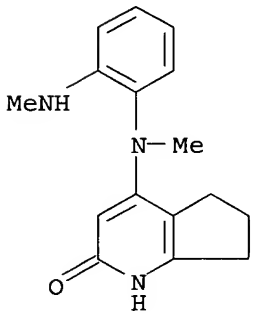
IV

AB Highly substituted 4-aminopyridones such as I are prepared in 55-75% yields by the reaction of 2,3-dimethyl-2-methylene-2,3-dihydrobenzimidazole II with vinyl isocyanates such as 1-cyclooctenyl isocyanate generated thermally in situ from acyl azides. Cyclic or acyclic vinyl isocyanates are effective reactants for the cyclization reactions. Vinyl isocyanates with substitution at the double bond will also undergo cycloaddn. with II; the reaction of dioxaspirodecenyl isocyanate III with II yields the dihydropyridinone IV containing a quaternary center in 30% yield. The cycloaddns. do not require either a strong base or harsh reaction conditions.

IT **522617-68-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of highly substituted aminopyridones by cycloaddn. of a 2-methylenebenzimidazole with vinyl isocyanates generated in situ from acyl azides)

RN 522617-68-1 HCAPLUS

CN 2H-Cyclopenta[b]pyridin-2-one, 1,5,6,7-tetrahydro-4-[methyl[2-(methylamino)phenyl]amino]- (9CI) (CA INDEX NAME)

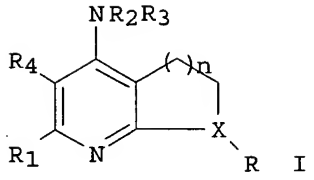


REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 17 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:76778 HCAPLUS
DOCUMENT NUMBER: 138:137173
TITLE: Preparation of pyrazolyl- pyrrolo[2,3-b]pyridines and tetrahydro[1,8]naphthyridines as CRF receptor antagonists
INVENTOR(S): Di Fabio, Romano; Micheli, Fabrizio; St-denis, Yves
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003008412	A2	20030130	WO 2002-EP7865	20020715
WO 2003008412	A3	20030501		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
GB 2378702	A1	20030219	GB 2002-16041	20020711
CA 2451530	AA	20030130	CA 2002-2451530	20020715
EP 1425280	A2	20040609	EP 2002-764696	20020715
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002011171	A	20040810	BR 2002-11171	20020715
JP 2005514328	T2	20050519	JP 2003-513971	20020715
ZA 2003009708	A	20050121	ZA 2003-9708	20031215
US 2004171607	A1	20040902	US 2004-483792	20040114
PRIORITY APPLN. INFO.:			GB 2001-17396 A	20010717
			WO 2002-EP7865 W	20020715

OTHER SOURCE(S): MARPAT 138:137173
GI



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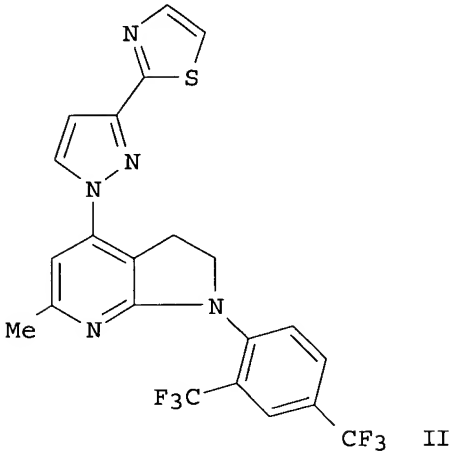


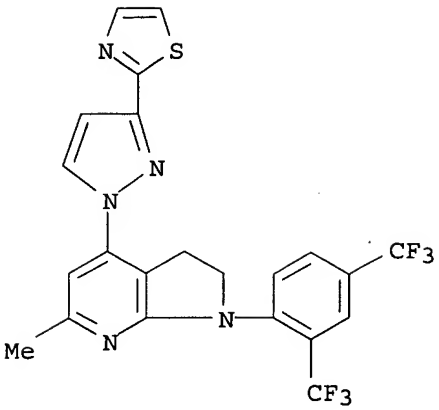
II

AB Pyrazolyl- pyrrolo[2,3-b]pyridines and tetrahydro[1,8]naphthyridines [I; wherein R = (substituted) aryl, heteroaryl; R1 = H, (C1-C6)alkyl, (C2-C6)alkenyl, (C2-C6)alkynyl, halo(C1-C6)alkyl, halo(C1-C6)alkoxy, halogen, amino, or cyano; R2 = H, (C3-C7)cycloalkyl; R3 = (C3-C7)cycloalkyl; or R2 and R3 together with N form a (substituted) 5-14 membered heterocycle; R4 = H, (C1-C6)alkyl, halo, halo(C1-C6)alkyl; X = C, N; n = 1 or 2] were prepared For example, compound (II) was prepared by the provided method. The prepared compds. are useful in the treatment of conditions mediated by corticotropin-releasing factor (CRF) (no data).

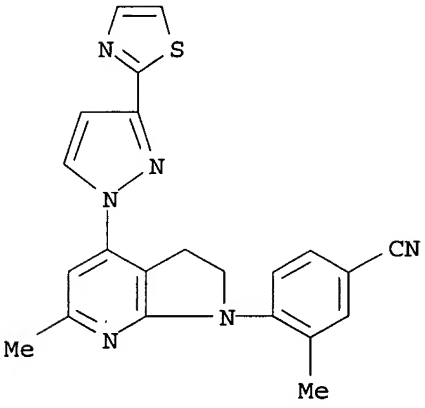
IT **491864-38-1P 491864-40-5P 491864-41-6P**
491864-42-7P 491864-46-1P 491865-57-7P
491865-58-8P 491865-59-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolyl- pyrrolo[2,3-b]pyridines and tetrahydro[1,8]naphthyridines as CRF receptor antagonists)

RN 491864-38-1 HCAPLUS

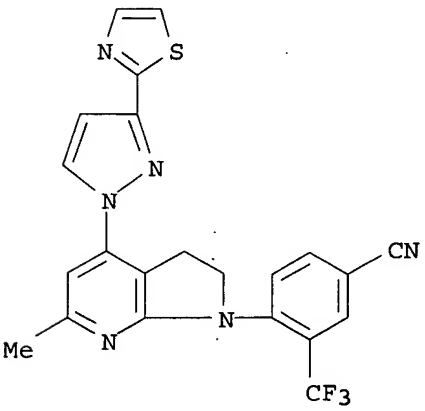
CN 1H-Pyrrolo[2,3-b]pyridine, 1-[2,4-bis(trifluoromethyl)phenyl]-2,3-dihydro-6-methyl-4-[3-(2-thiazolyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



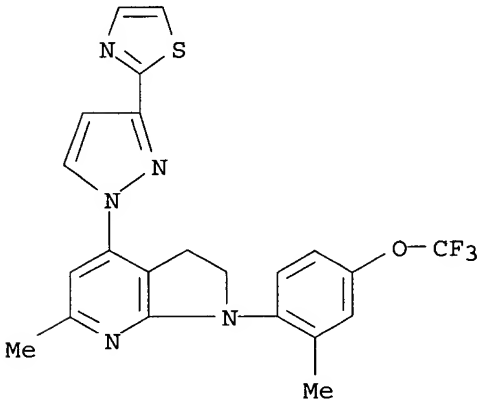
RN 491864-40-5 HCAPLUS
CN Benzonitrile, 4-[2,3-dihydro-6-methyl-4-[3-(2-thiazolyl)-1H-pyrazol-1-yl]-1H-pyrrolo[2,3-b]pyridin-1-yl]-3-methyl- (9CI) (CA INDEX NAME)



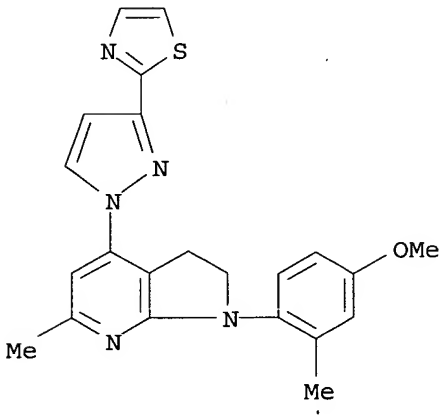
RN 491864-41-6 HCAPLUS
CN Benzonitrile, 4-[2,3-dihydro-6-methyl-4-[3-(2-thiazolyl)-1H-pyrazol-1-yl]-1H-pyrrolo[2,3-b]pyridin-1-yl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



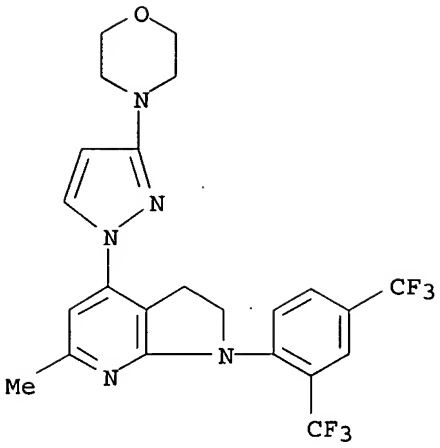
RN 491864-42-7 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 2,3-dihydro-6-methyl-1-[2-methyl-4-(trifluoromethoxy)phenyl]-4-[3-(2-thiazolyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



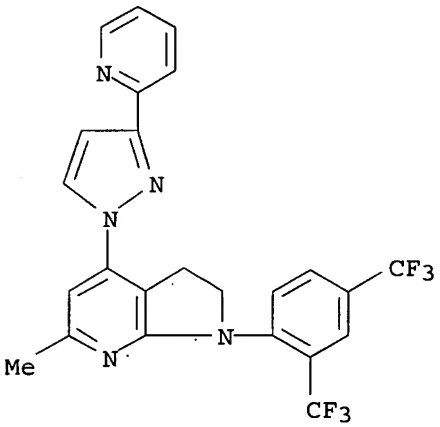
RN 491864-46-1 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 2,3-dihydro-1-(4-methoxy-2-methylphenyl)-6-methyl-4-[3-(2-thiazolyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



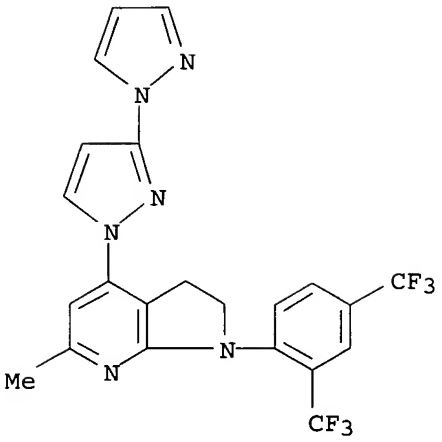
RN 491865-57-7 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-[2,4-bis(trifluoromethyl)phenyl]-2,3-dihydro-6-methyl-4-[3-(4-morpholinyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



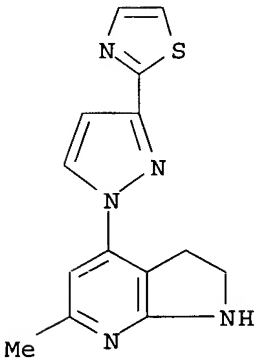
RN 491865-58-8 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-[2,4-bis(trifluoromethyl)phenyl]-2,3-dihydro-6-methyl-4-[3-(2-pyridinyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



RN 491865-59-9 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 4-[1,3'-bi-1H-pyrazol]-1'-yl-1-[2,4-bis(trifluoromethyl)phenyl]-2,3-dihydro-6-methyl- (9CI) (CA INDEX NAME)



IT **491865-06-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazolyl- pyrrolo[2,3-b]pyridines and tetrahydro[1,8]naphthyridines as CRF receptor antagonists)
RN 491865-06-6 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 2,3-dihydro-6-methyl-4-[3-(2-thiazolyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



L20 ANSWER 18 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:777925 HCAPLUS
DOCUMENT NUMBER: 137:294881
TITLE: A spiroisoquinoline compound, useful as an SK channel blocker and acetylcholinesterase inhibitor, for treatment of, e.g., constipation, a method for preparing the same, and an intermediate thereof
INVENTOR(S): Takamuro, Iwao; Homma, Koichi; Ishida, Akihiko; Taniguchi, Hiroyuki; Onoda, Yuichi
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 464 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002079189	A2	20021010	WO 2002-JP3051	20020328
WO 2002079189	A3	20030703		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2003252871	A2	20030910	JP 2002-92220	20020328
EP 1373247	A2	20040102	EP 2002-708702	20020328
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004106635	A1	20040603	US 2003-473064	20030926
PRIORITY APPLN. INFO.:			JP 2001-94710 A	20010329
			JP 2001-189010 A	20010622
			JP 2001-326866 A	20011024
			WO 2002-JP3051 W	20020328

OTHER SOURCE(S): MARPAT 137:294881
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention provides a novel spiroisoquinoline derivative, which has a small-conductance potassium channel (SK) blocking activity and is useful as a medicament, a method for preparing the same, and an intermediate thereof. Specifically, the invention provides spirocyclic compds. I and their pharmaceutically acceptable salts [wherein: the benzo ring of the isoquinoline subunit is optionally substituted; R1 = H or -ZR; R = H, optionally substituted lower alkyl,or optionally substituted lower alkenyl; Z = CH2 or CO; R2 = H or optionally substituted heterocyclic group; X = N or CH; R3 =optionally substituted amino or N-containing aliphatic heterocyclic group; Y = CH2 or CO]. The compds. are useful for prophylaxis or treatment of conditions treatable with SK channel blockers, including constipation, irritable bowel syndrome, gastroesophageal reflux disease, and post-operative ileus. They are also useful for treatment of conditions responsive to compds. with both SK channel-blocking and acetylcholinesterase-inhibiting activities, such as gastrointestinal motility disorders, CNS disorders, memory and learning disorders (including Alzheimer's disease), emotional disorders, myotonic muscular dystrophy, and sleep apnea. Over 900 specific examples of I are given. For instance, di-Et malonate was bis-alkylated with tert-Bu acrylate and partially hydrolyzed, giving 4,4-bis(ethoxycarbonyl)pimelic acid. This was bis-amidated with 2 equiv of homoveratrylamine, and the diamide was bis-cyclized using POCl3 to give spirocyclic intermediate II. The latter was converted in 7 steps to acid III, which was condensed with 2-amino-4-(piperazin-1-yl)pyridine to give title compound IV. Selected compds. I inhibited 125I-apamine binding to guinea pig colon membrane cells with IC50 values of 0.004 to 0.06 μM. Other compds. I inhibited

acetylcholinesterase in vitro with IC50 values of 0.00008 to 0.06 μM. The oral ED of selected I for promoting evacuation in guinea pigs was 0.1 to 1 mg/kg.

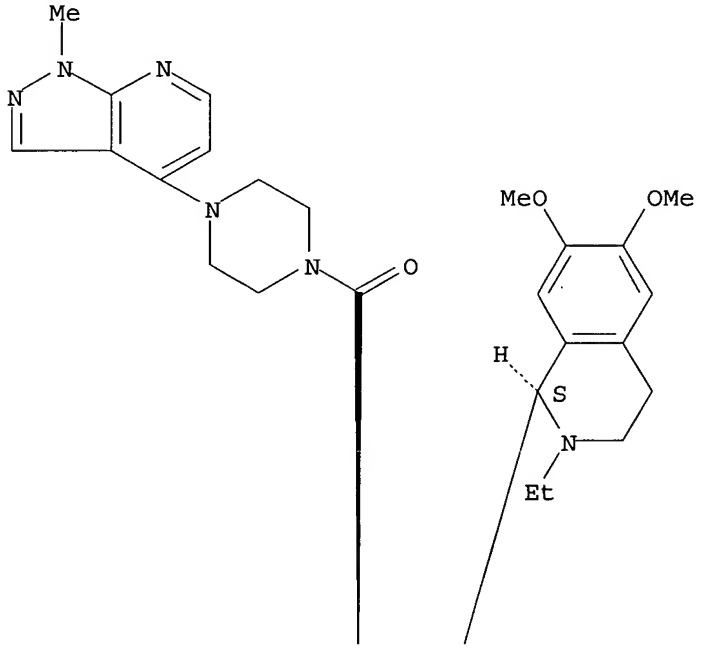
IT **470428-25-2P 470428-94-5P 470430-30-9P 470430-35-4P 470438-19-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of spiroisoquinoline compds. as SK channel blockers and acetylcholinesterase inhibitors for treatment of constipation)

RN 470428-25-2 HCAPLUS

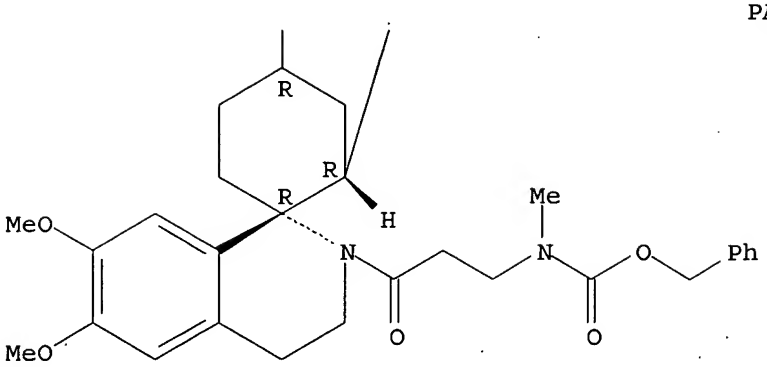
CN Carbamic acid, [3-[(1R,2R,4R)-2-[(1S)-2-ethyl-1,2,3,4-tetrahydro-6,7-dimethoxy-1-isoquinolinyl]-3',4'-dihydro-6',7'-dimethoxy-4-[[4-(1-methyl-1H-pyrazolo[3,4-b]pyridin-4-yl)-1-piperazinyl]carbonyl]spiro[cyclohexane-1,1'(2'H)-isoquinolin]-2'-yl]-3-oxopropyl]methyl-, phenylmethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



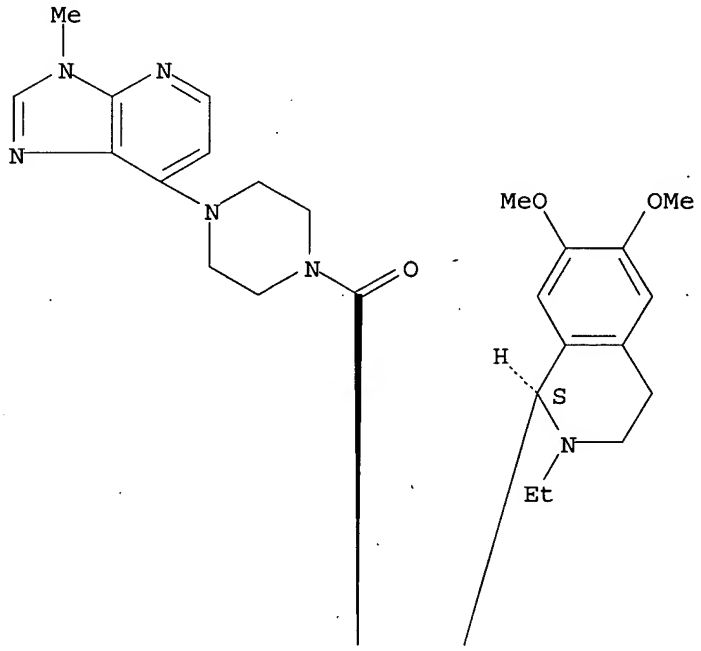
PAGE 2-A



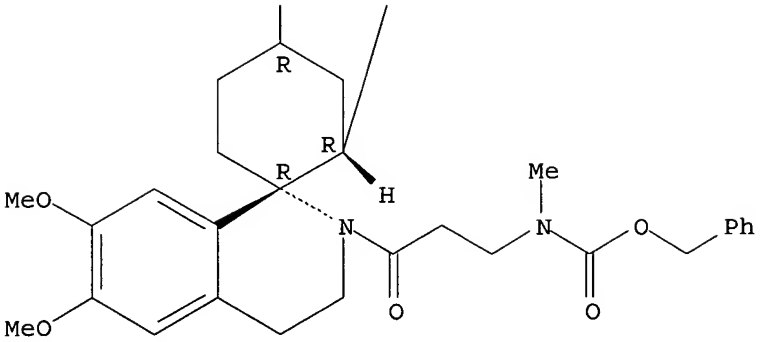
RN 470428-94-5 HCAPLUS
CN Carbamic acid, [3-[(1R,2R,4R)-2-[(1S)-2-ethyl-1,2,3,4-tetrahydro-6,7-dimethoxy-1-isoquinolinyl]-3',4'-dihydro-6',7'-dimethoxy-4-[[4-(3-methyl-3H-imidazo[4,5-b]pyridin-7-yl)-1-piperazinyl]carbonyl]spiro[cyclohexane-1,1'(2'H)-isoquinolin]-2'-yl]-3-oxopropyl]methyl-, phenylmethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



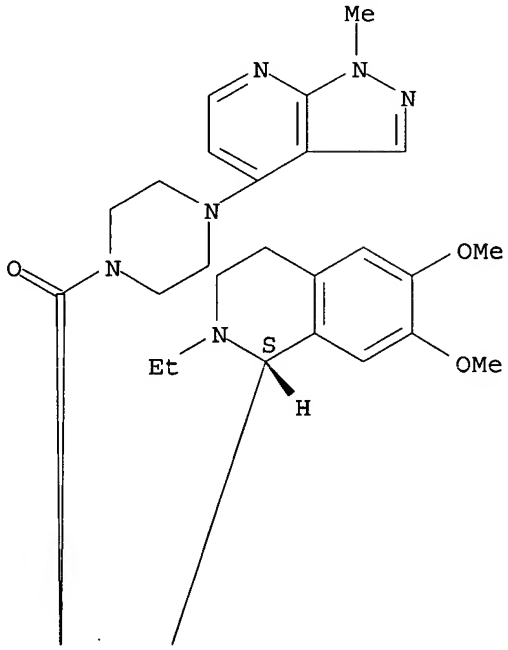
PAGE 2-A



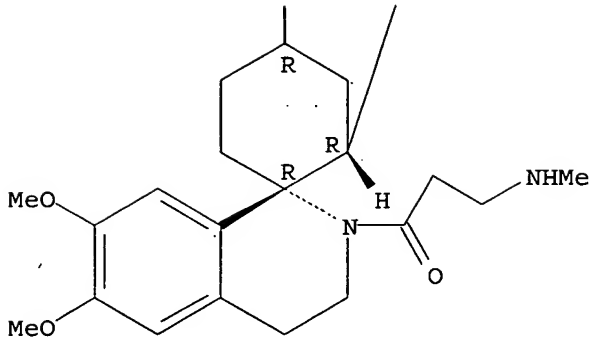
RN 470430-30-9 HCAPLUS
CN Spiro[cyclohexane-1,1'(2'H)-isoquinoline], 2-[(1R)-2-ethyl-1,2,3,4-tetrahydro-6,7-dimethoxy-1-isoquinolinyl]-3',4'-dihydro-6',7'-dimethoxy-2'-[3-(methylamino)-1-oxopropyl]-4-[[4-(1-methyl-1H-pyrazolo[3,4-b]pyridin-4-yl)-1-piperazinyl]carbonyl]-, (1S,2S,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



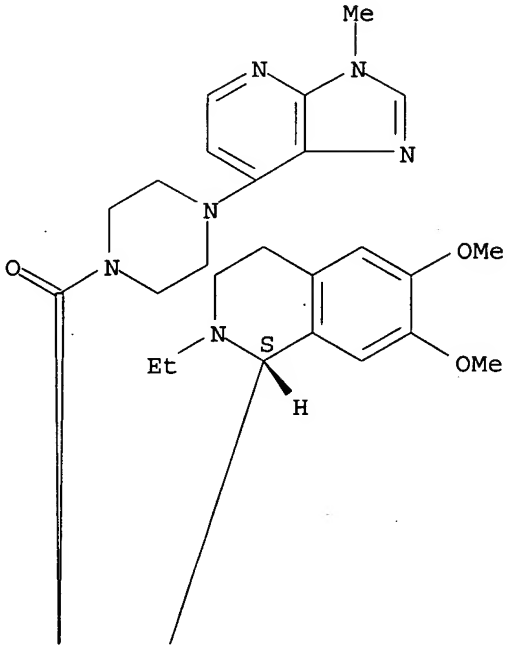
PAGE 2-A



RN 470430-35-4 HCAPLUS
CN Spiro[cyclohexane-1,1'(2'H)-isoquinoline], 2-[(1R)-2-ethyl-1,2,3,4-tetrahydro-6,7-dimethoxy-1-isoquinolinyl]-3',4'-dihydro-6',7'-dimethoxy-2'-[3-(methylamino)-1-oxopropyl]-4-[[4-(3-methyl-3H-imidazo[4,5-b]pyridin-7-yl)-1-piperazinyl]carbonyl]-, (1S,2S,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



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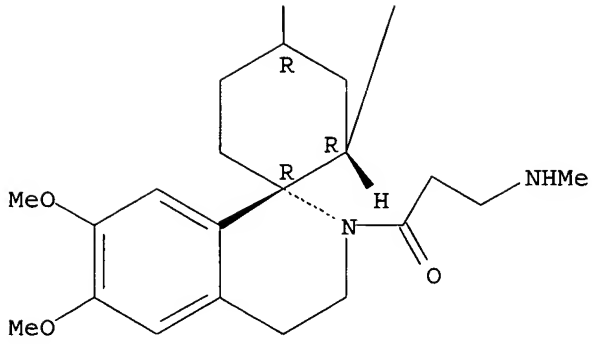


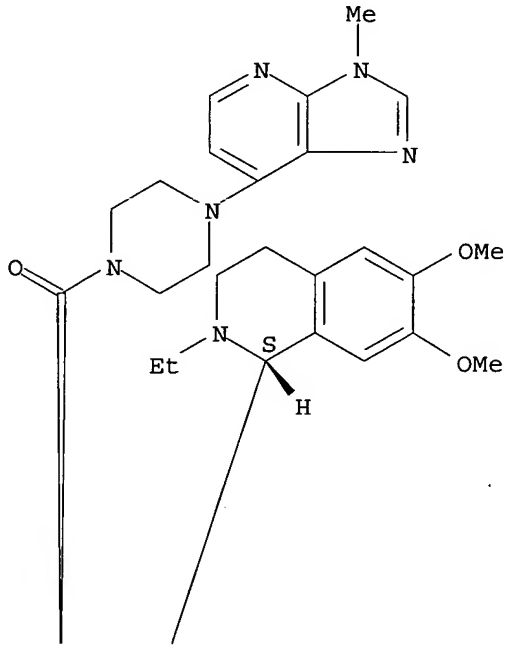
RN 470438-19-8 HCAPLUS
CN Spiro[cyclohexane-1,1'(2'H)-isoquinoline], 2-[(1R)-2-ethyl-1,2,3,4-tetrahydro-6,7-dimethoxy-1-isoquinolinyl]-3',4'-dihydro-6',7'-dimethoxy-2'-[3-(methylamino)-1-oxopropyl]-4-[[4-(3-methyl-3H-imidazo[4,5-b]pyridin-7-yl)-1-piperazinyl]carbonyl]-, (1S,2S,4S)-rel-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

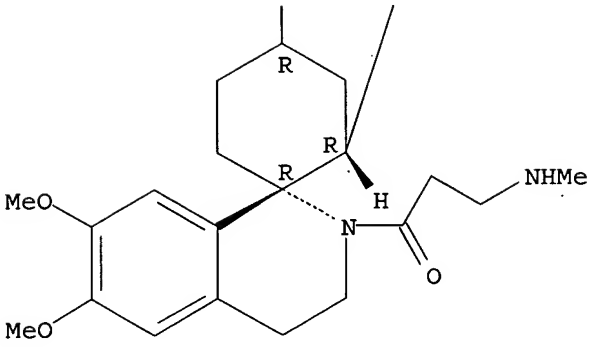
CM 1

CRN 470430-35-4
CMF C45 H60 N8 O6

Relative stereochemistry.

PAGE 1-A

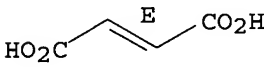




CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



L20 ANSWER 19 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:720128 HCAPLUS
DOCUMENT NUMBER: 137:379680
TITLE: Synthesis, Molecular Modeling Studies, and Pharmacological Activity of Selective A1 Receptor Antagonists
AUTHOR(S): Bondavalli, Francesco; Botta, Maurizio; Bruno, Olga; Ciacci, Andrea; Corelli, Federico; Fossa, Paola; Lucacchini, Antonio; Manetti, Fabrizio; Martini, Claudia; Menozzi, Giulia; Mosti, Luisa; Ranise, Angelo; Schenone, Silvia; Tafi, Andrea; Trincavelli, Maria Letizia
CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Universita degli Studi di Genova, Genoa, I-16132, Italy
SOURCE: Journal of Medicinal Chemistry (2002), 45(22), 4875-4887
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:379680

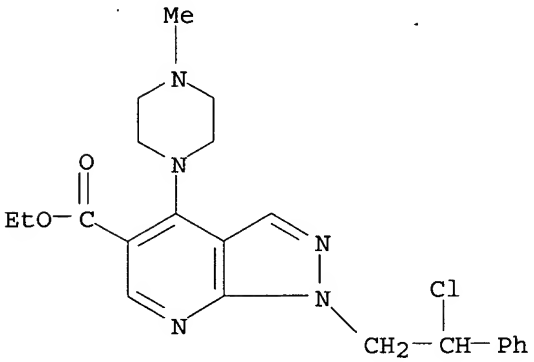
AB We present a combined computational study aimed at identifying the three-dimensional structural properties required for different classes of compds. to show antagonistic activity toward the A1 adenosine receptor (AR). Particularly, an approach combining pharmacophore mapping, mol. alignment, and pseudoreceptor generation was applied to derive a hypothesis of the interaction pathway between a set of A1 AR antagonists taken from the literature and a model of the putative A1 receptor. The pharmacophore model consists of seven features and represents an improvement of the N6-C8 model, generally reported as the most probable pharmacophore model for A1 AR agonists and antagonists. It was used to

build up a pseudoreceptor model able to rationalize the relationships between structural properties and biol. data of, and external to, the training set. In fact, to further assess its statistical significance and predictive power, the pseudoreceptor was employed to predict the free energy of binding associated with compds. constituting a test set. While part of these mols. was also taken from the literature, the remaining compds. were designed and synthesized by our research group. All of the new compds. were tested for their affinity toward A1, A2a, and A3 AR, showing interesting antagonistic activity and A1 selectivity.

IT **383911-79-3P**
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(synthesis, mol. modeling studies, and pharmacol. activity of selective A1 receptor antagonists)

RN 383911-79-3 HCAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 1-(2-chloro-2-phenylethyl)-4-(4-methyl-1-piperazinyl)-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 20 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:594846 HCAPLUS
DOCUMENT NUMBER: 137:154931
TITLE: Preparation of pyrazolo[5,4-b]pyridin-5-yl carboxamides as antagonists of MCP-1
INVENTOR(S): Laborde, Edgardo; Robinson, Louise; Meng, Fanying; Peterson, Brian T.; Villar, Hugo O.; Anuskiewicz, Steven E.; Ishiwata, Yoshiro; Yokochi, Shoji; Matsumoto, Yukiharu; Kakigami, Takuji; Inagaki, Hideaki; Jomori, Takahito; Matsushima, Kouji
PATENT ASSIGNEE(S): Telik, Inc., USA; Sanwa Kaguku Kenkyusho Co., Ltd.
SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2002060900 A2 20020808 WO 2002-US3016 20020130
WO 2002060900 A3 20020926
WO 2002060900 C1 20031106
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
CA 2432997 AA 20020808 CA 2002-2432997 20020130
EP 1358188 A2 20031105 EP 2002-707672 20020130
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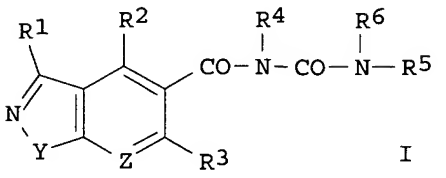
BR 2002006839 A 20040629 BR 2002-6839 20020130
JP 2004524301 T2 20040812 JP 2002-561468 20020130
TW 222971 B1 20041101 TW 2002-91101636 20020131
PRIORITY APPLN. INFO.: US 2001-265841P P 20010131
WO 2002-US3016 W 20020130
OTHER SOURCE(S): CASREACT 137:154931; MARPAT 137:154931

GI



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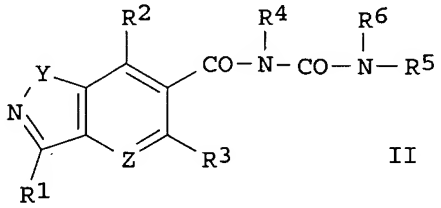

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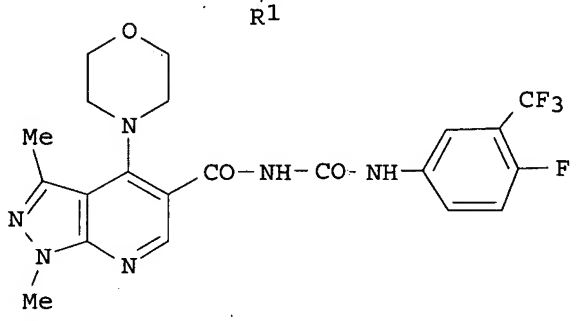

III

AB Title compds. I, II [Y = O, S, NR7; Z = N, CR8; R1-R8 = H, alkyl, alkenyl, etc.], their pharmaceutical acceptable salts and formulations were prepared For example, condensation of 1,3-dimethyl-4-morpholin-4-ylpyrazolo[5,4-b]pyridine-5-carboxamide and 4-fluoro-3-(trifluoromethyl)phenyl isocyanate provided claimed pyrazolopyridine III. Pyrazolopyridine III inhibited MCP-1 induced chemotaxis at an IC50 of 10 μM, an addnl. 45 examples are provided, ranging in IC50 values from 20-0.09 μM. Compds. I are antagonists of MCP-1 function and are useful in the prevention or treatment of chronic or acute inflammatory or autoimmune diseases, especially those associated with aberrant lymphocyte or monocyte accumulation.

IT **445495-19-2P 445495-20-5P 445495-21-6P 445495-25-0P 445495-32-9P 445495-33-0P**

445495-34-1P 445495-35-2P 445495-36-3P
445495-37-4P 445495-38-5P 445495-39-6P
445495-40-9P 445495-41-0P 445495-42-1P
445495-43-2P 445495-44-3P 445495-45-4P
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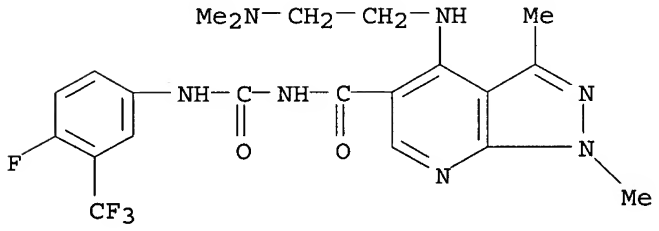
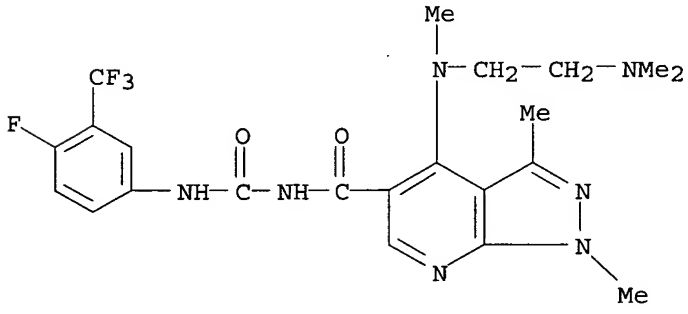
445496-18-4P 445496-19-5P 445496-20-8P
445496-21-9P 445496-22-0P 445496-23-1P
445496-24-2P 445496-25-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrazolo[5,4-b]pyridin-5-yl carboxamides as antagonists of MCP-1 function)

RN 445495-19-2 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 4-[[2-(dimethylamino)ethyl]amino]-N-[[[4-fluoro-3-(trifluoromethyl)phenyl]amino]carbonyl]-1,3-dimethyl- (9CI) (CA INDEX NAME)

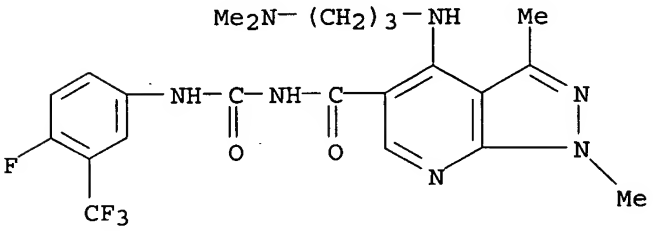


RN 445495-20-5 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 4-[[2-(dimethylamino)ethyl]methylamino]-N-[[[4-fluoro-3-(trifluoromethyl)phenyl]amino]carbonyl]-1,3-dimethyl- (9CI) (CA INDEX NAME)

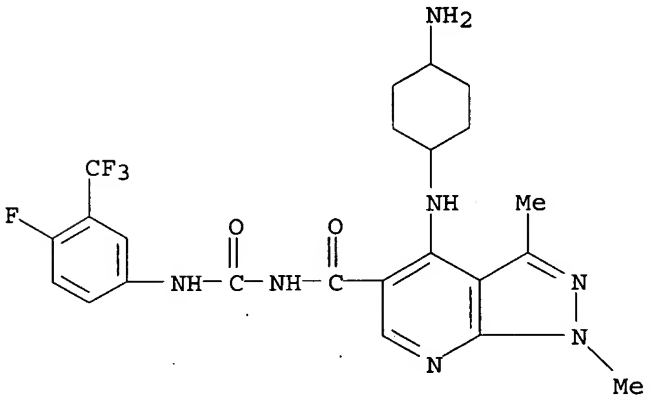


RN 445495-21-6 HCAPLUS

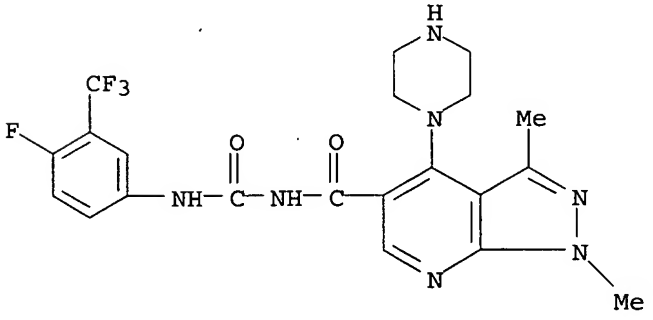
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 4-[[3-(dimethylamino)propyl]amino]-N-[[[4-fluoro-3-(trifluoromethyl)phenyl]amino]carbonyl]-1,3-dimethyl- (9CI) (CA INDEX NAME)



RN 445495-25-0 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 4-[(4-aminocyclohexyl)amino]-N-[[[4-fluoro-3-(trifluoromethyl)phenyl]amino]carbonyl]-1,3-dimethyl- (9CI) (CA INDEX NAME)

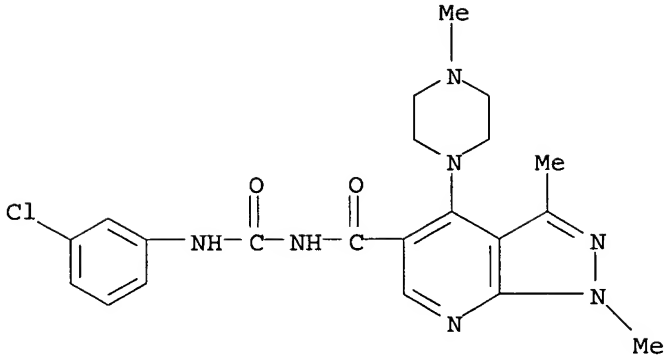


RN 445495-32-9 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[[4-fluoro-3-(trifluoromethyl)phenyl]amino]carbonyl]-1,3-dimethyl-4-(1-piperazinyl)- (9CI) (CA INDEX NAME)

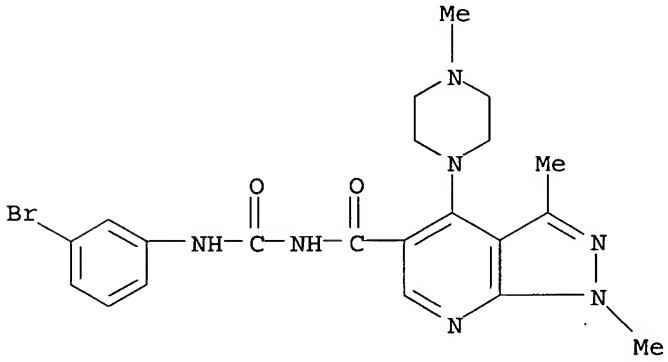


RN 445495-33-0 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[(3-chlorophenyl)amino]carbonyl]-1,3-dimethyl-4-(4-methyl-1-piperazinyl)-

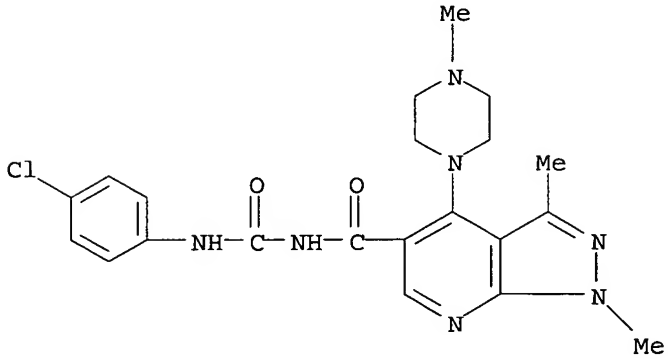
(9CI) (CA INDEX NAME)



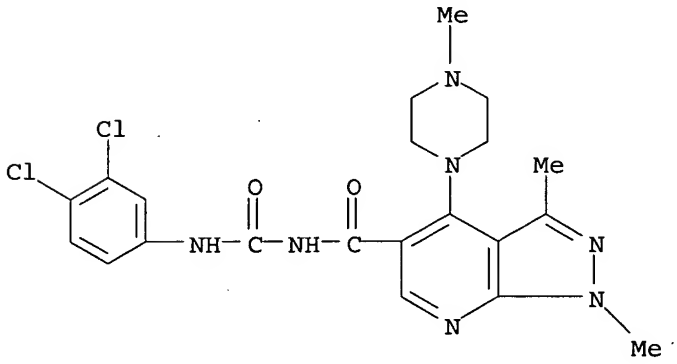
RN 445495-34-1 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[(3-bromophenyl)amino]carbonyl]-1,3-dimethyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



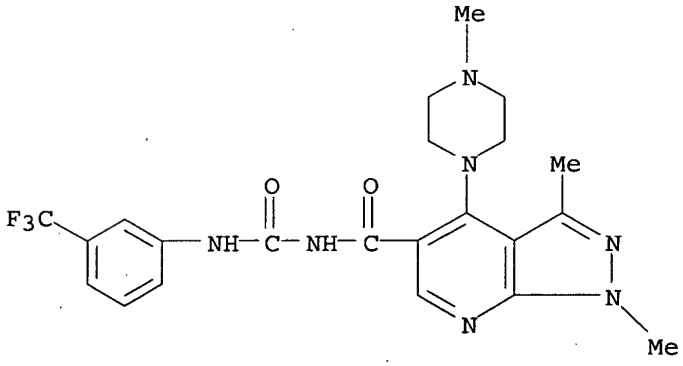
RN 445495-35-2 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[(4-chlorophenyl)amino]carbonyl]-1,3-dimethyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



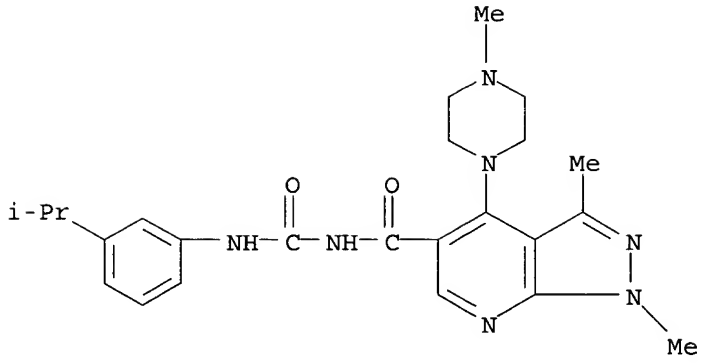
RN 445495-36-3 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[(3,4-dichlorophenyl)amino]carbonyl]-1,3-dimethyl-4-(4-methyl-1-piperazinyl)-(9CI) (CA INDEX NAME)



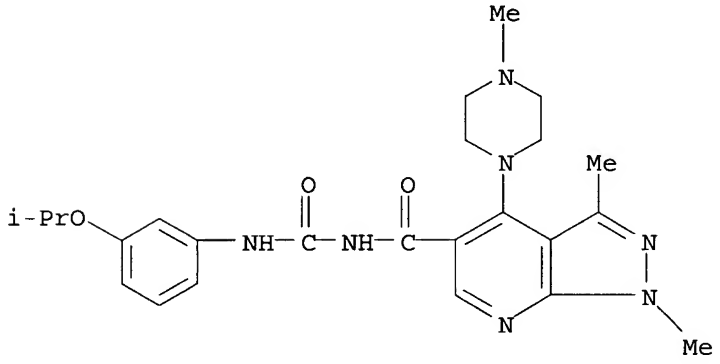
RN 445495-37-4 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 1,3-dimethyl-4-(4-methyl-1-piperazinyl)-N-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



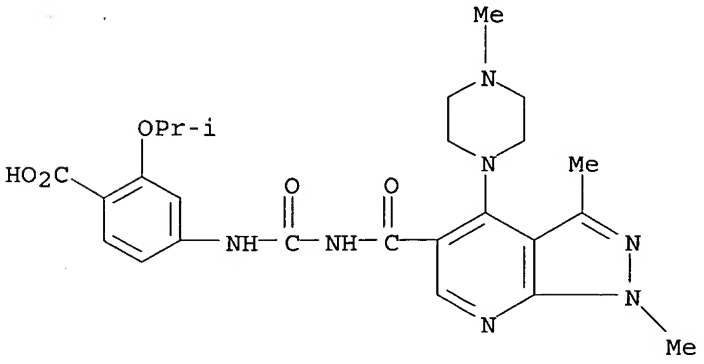
RN 445495-38-5 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 1,3-dimethyl-N-[[[3-(1-methylethyl)phenyl]amino]carbonyl]-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 445495-39-6 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 1,3-dimethyl-N-[[[3-(1-methylethoxy)phenyl]amino]carbonyl]-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

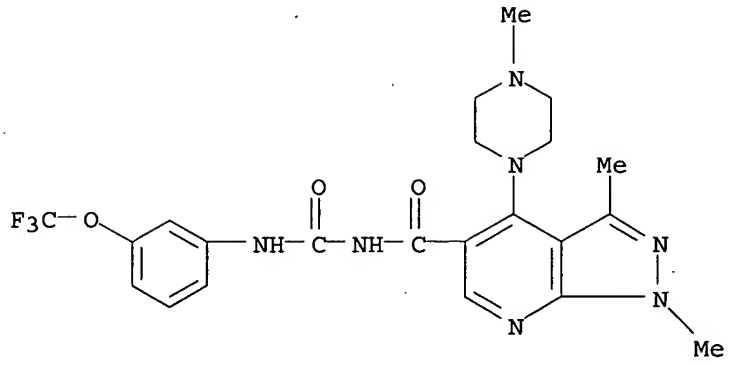


RN 445495-40-9 HCAPLUS
CN Benzoic acid, 4-[[[[[1,3-dimethyl-4-(4-methyl-1-piperazinyl)-1H-pyrazolo[3,4-b]pyridin-5-yl]carbonyl]amino]carbonyl]amino]-2-(1-methylethoxy)- (9CI) (CA INDEX NAME)

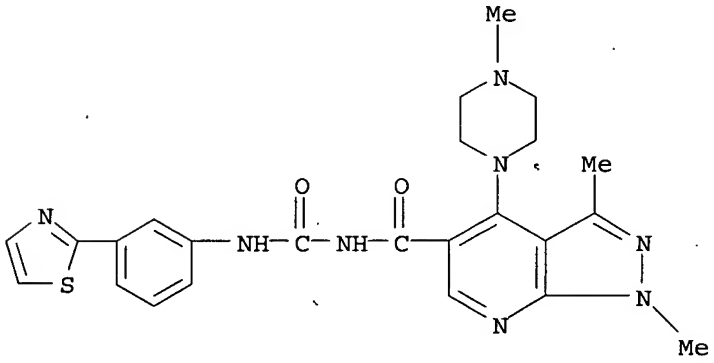


RN 445495-41-0 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 1,3-dimethyl-4-(4-methyl-1-

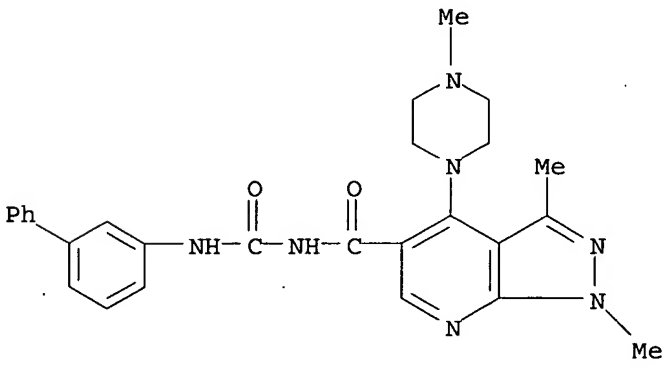
piperazinyl)-N-[[[3-(trifluoromethoxy)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



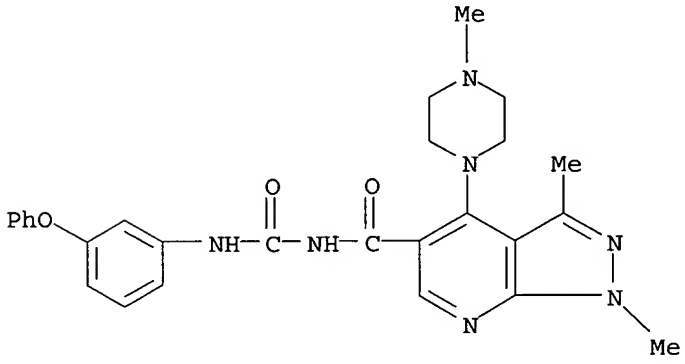
RN 445495-42-1 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 1,3-dimethyl-4-(4-methyl-1-piperazinyl)-N-[[[3-(2-thiazolyl)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



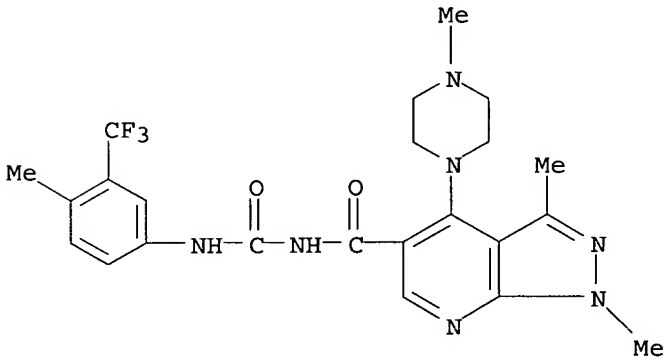
RN 445495-43-2 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[([1,1'-biphenyl]-3-ylamino)carbonyl]-1,3-dimethyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



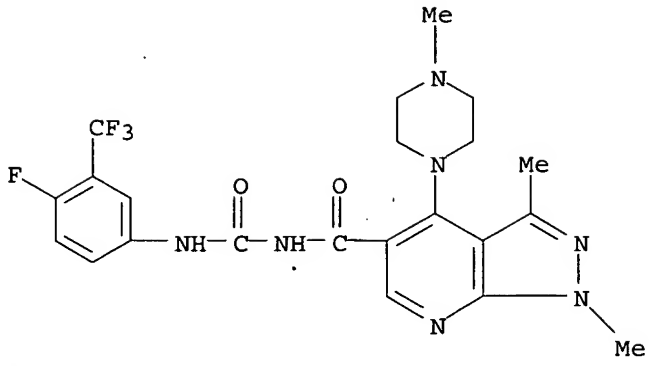
RN 445495-44-3 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 1,3-dimethyl-4-(4-methyl-1-piperazinyl)-N-[[(3-phenoxyphenyl)amino]carbonyl]- (9CI) (CA INDEX NAME)



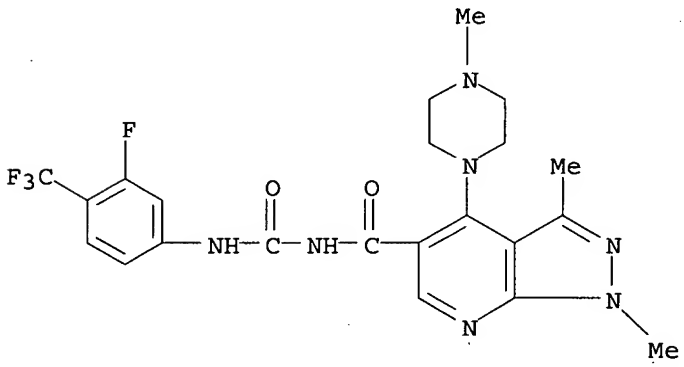
RN 445495-45-4 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 1,3-dimethyl-4-(4-methyl-1-piperazinyl)-N-[[[4-methyl-3-(trifluoromethyl)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



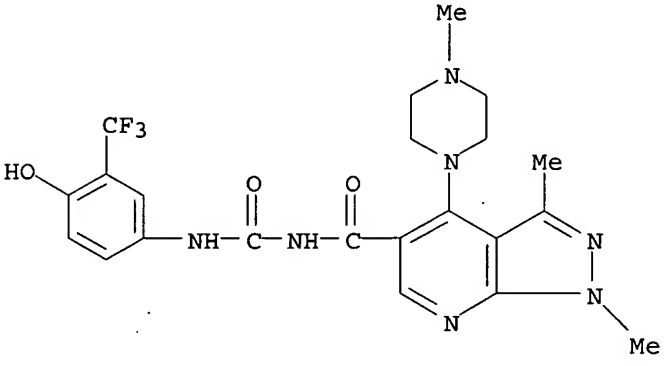
RN 445495-46-5 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[[4-fluoro-3-(trifluoromethyl)phenyl]amino]carbonyl]-1,3-dimethyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 445495-47-6 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[[3-fluoro-4-(trifluoromethyl)phenyl]amino]carbonyl]-1,3-dimethyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

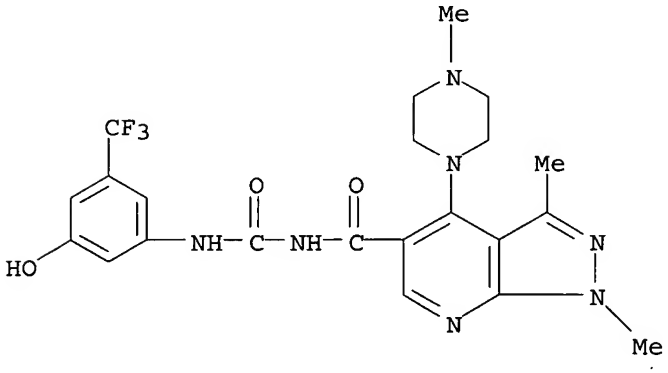


RN 445495-48-7 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[[4-hydroxy-3-(trifluoromethyl)phenyl]amino]carbonyl]-1,3-dimethyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

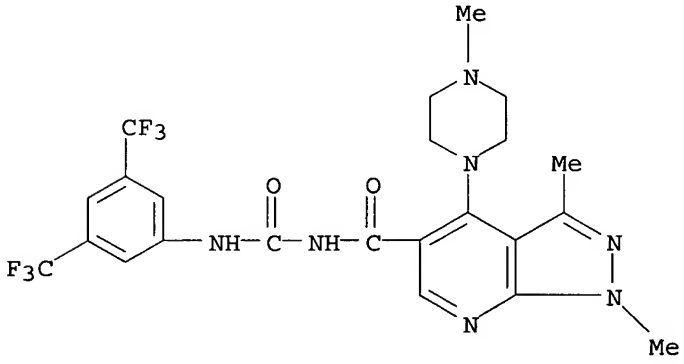


RN 445495-49-8 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[[3-hydroxy-5-

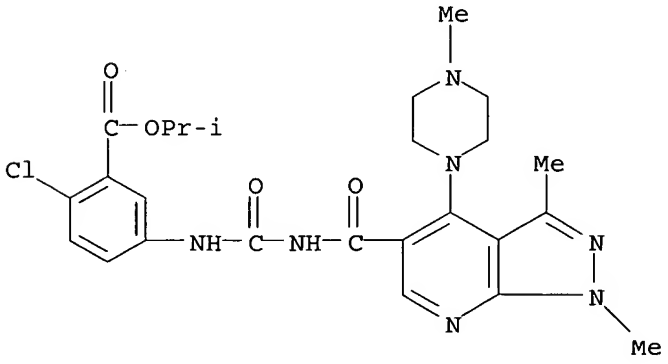
(trifluoromethyl)phenyl]amino]carbonyl]-1,3-dimethyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



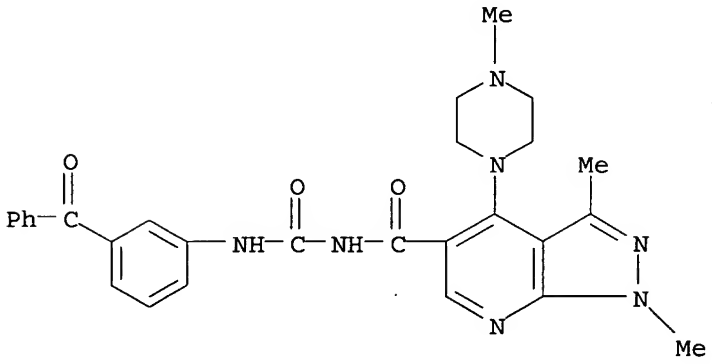
RN 445495-50-1 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[[3,5-bis(trifluoromethyl)phenyl]amino]carbonyl]-1,3-dimethyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



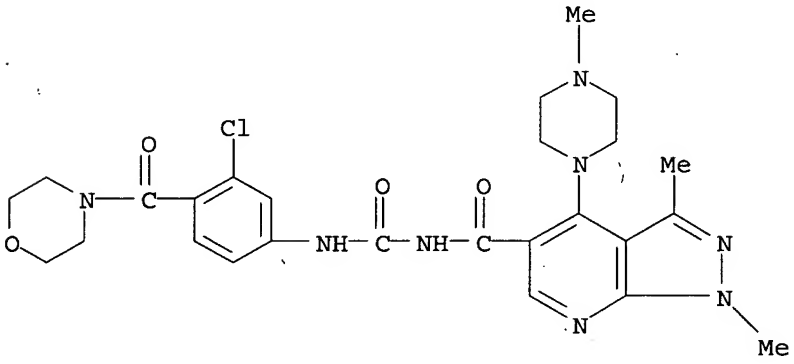
RN 445495-51-2 HCAPLUS
CN Benzoic acid, 2-chloro-5-[[[[[1,3-dimethyl-4-(4-methyl-1-piperazinyl)-1H-pyrazolo[3,4-b]pyridin-5-yl]carbonyl]amino]carbonyl]amino]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



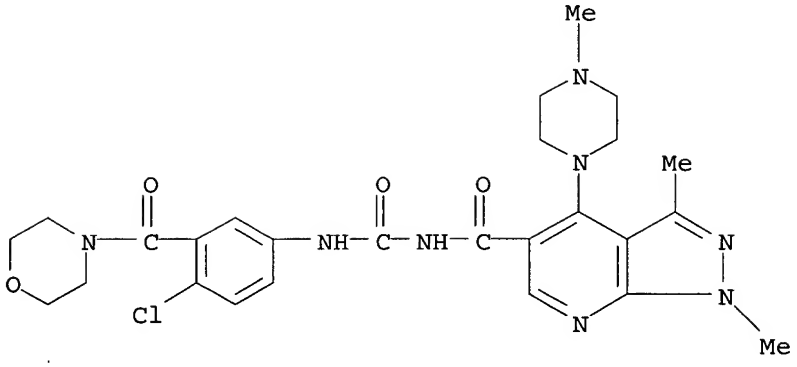
RN 445495-52-3 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[(3-benzoylphenyl)amino]carbonyl]-1,3-dimethyl-4-(4-methyl-1-piperazinyl)-(9CI) (CA INDEX NAME)



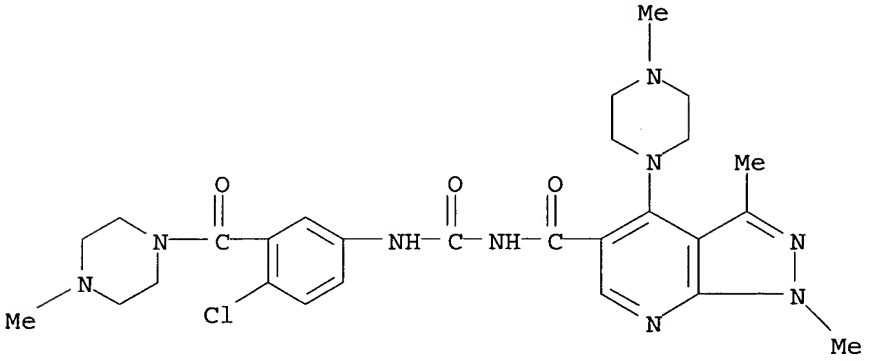
RN 445495-53-4 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[[3-chloro-4-(4-morpholinylcarbonyl)phenyl]amino]carbonyl]-1,3-dimethyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



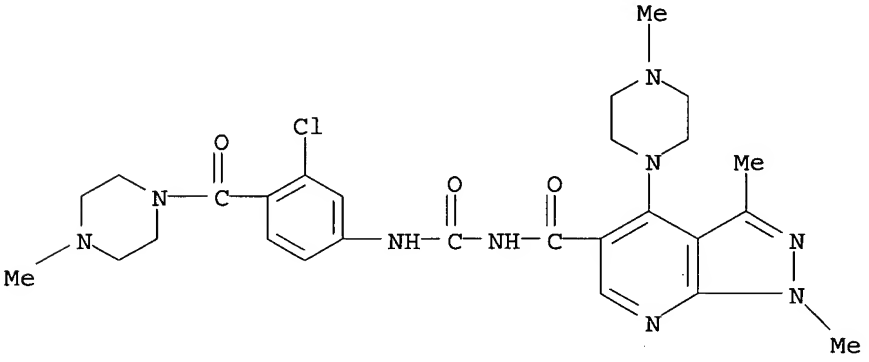
RN 445495-54-5 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[[4-chloro-3-(4-morpholinylcarbonyl)phenyl]amino]carbonyl]-1,3-dimethyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 445495-55-6 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[[4-chloro-3-[(4-methyl-1-piperazinyl)carbonyl]phenyl]amino]carbonyl]-1,3-dimethyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

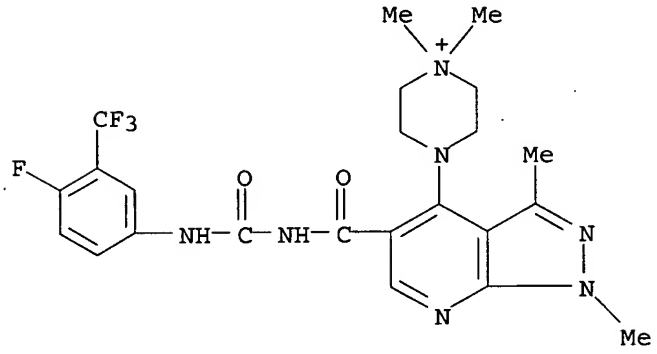


RN 445495-56-7 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[[3-chloro-4-[(4-methyl-1-piperazinyl)carbonyl]phenyl]amino]carbonyl]-1,3-dimethyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

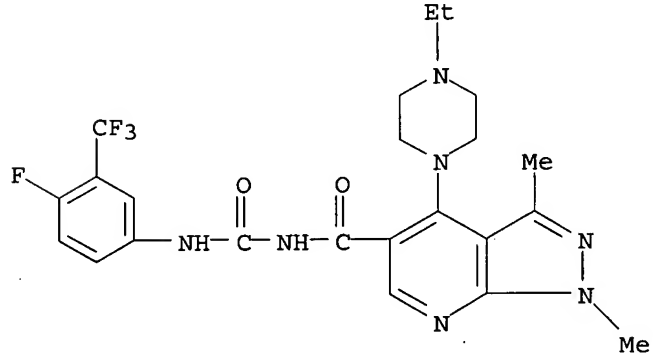


RN 445495-57-8 HCAPLUS
CN Piperazinium, 4-[5-[[[[[4-fluoro-3-(trifluoromethyl)phenyl]amino]carbonyl]

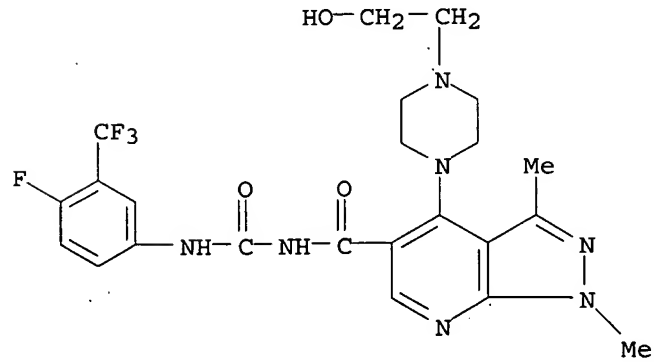
amino]carbonyl]-1,3-dimethyl-1H-pyrazolo[3,4-b]pyridin-4-yl]-1,1-dimethyl- (9CI) (CA INDEX NAME)



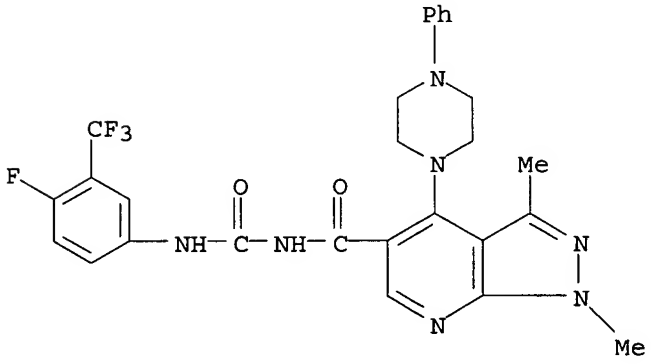
RN 445495-58-9 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 4-(4-ethyl-1-piperazinyl)-N-[[[4-fluoro-3-(trifluoromethyl)phenyl]amino]carbonyl]-1,3-dimethyl- (9CI) (CA INDEX NAME)



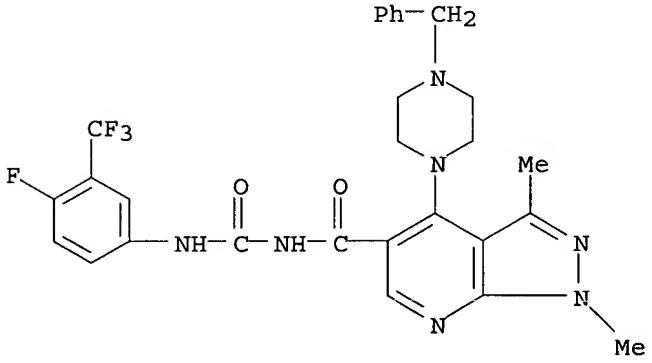
RN 445495-59-0 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[[4-fluoro-3-(trifluoromethyl)phenyl]amino]carbonyl]-4-[4-(2-hydroxyethyl)-1-piperazinyl]-1,3-dimethyl- (9CI) (CA INDEX NAME)



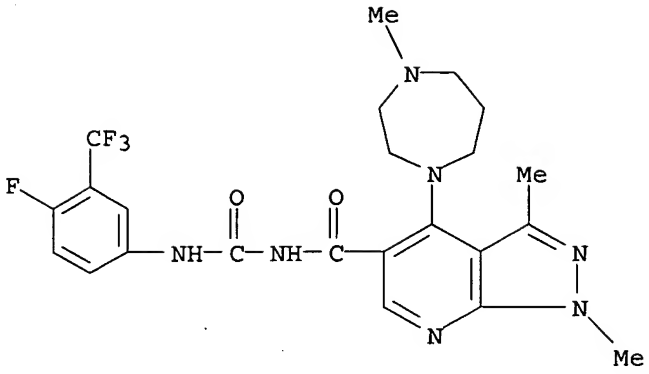
RN 445495-60-3 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[[4-fluoro-3-(trifluoromethyl)phenyl]amino]carbonyl]-1,3-dimethyl-4-(4-phenyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



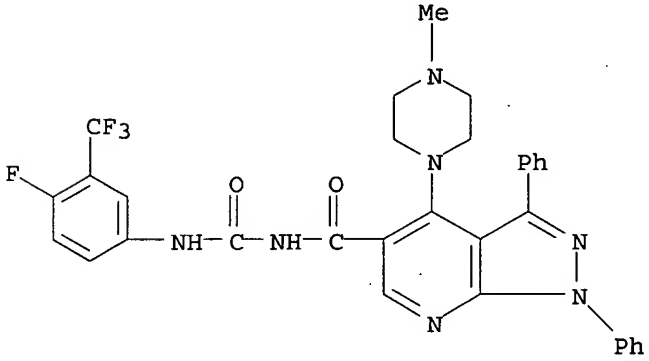
RN 445495-61-4 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[[4-fluoro-3-(trifluoromethyl)phenyl]amino]carbonyl]-1,3-dimethyl-4-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



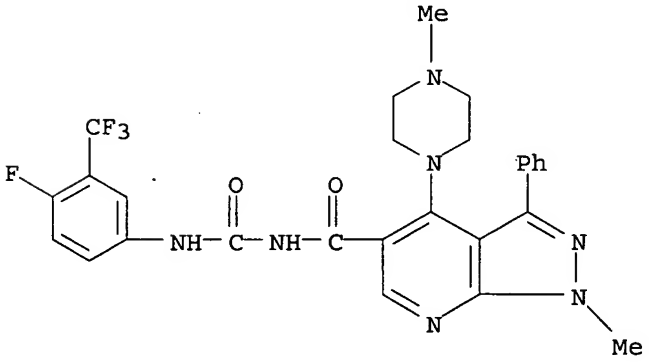
RN 445495-62-5 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[[4-fluoro-3-(trifluoromethyl)phenyl]amino]carbonyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-1,3-dimethyl- (9CI) (CA INDEX NAME)



RN 445495-78-3 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[[4-fluoro-3-(trifluoromethyl)phenyl]amino]carbonyl]-4-(4-methyl-1-piperazinyl)-1,3-diphenyl- (9CI) (CA INDEX NAME)

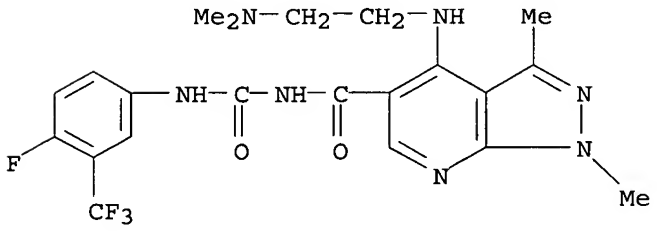


RN 445495-79-4 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[[4-fluoro-3-(trifluoromethyl)phenyl]amino]carbonyl]-1-methyl-4-(4-methyl-1-piperazinyl)-3-phenyl- (9CI) (CA INDEX NAME)



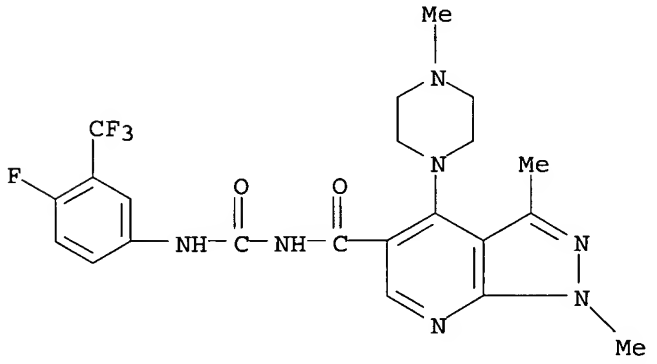
RN 445495-93-2 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 4-[[2-

(dimethylamino)ethyl]amino]-N-[[[4-fluoro-3-(trifluoromethyl)phenyl]amino]carbonyl]-1,3-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)



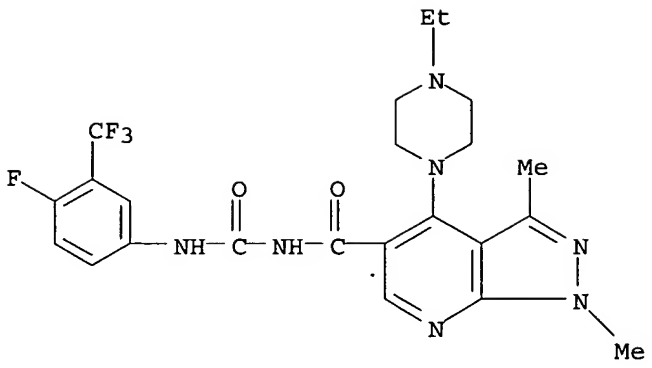
●x HCl

RN 445495-95-4 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[[4-fluoro-3-(trifluoromethyl)phenyl]amino]carbonyl]-1,3-dimethyl-4-(4-methyl-1-piperazinyl)-, hydrochloride (9CI) (CA INDEX NAME)



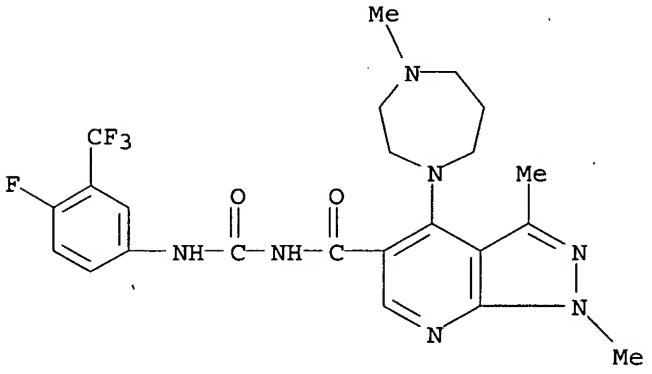
●x HCl

RN 445495-96-5 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 4-(4-ethyl-1-piperazinyl)-N-[[[4-fluoro-3-(trifluoromethyl)phenyl]amino]carbonyl]-1,3-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)



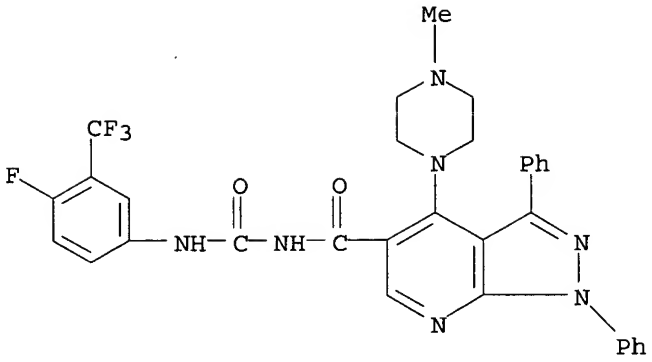
●x HCl

RN 445495-98-7 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[[4-fluoro-3-(trifluoromethyl)phenyl]amino]carbonyl]-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-1,3-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)



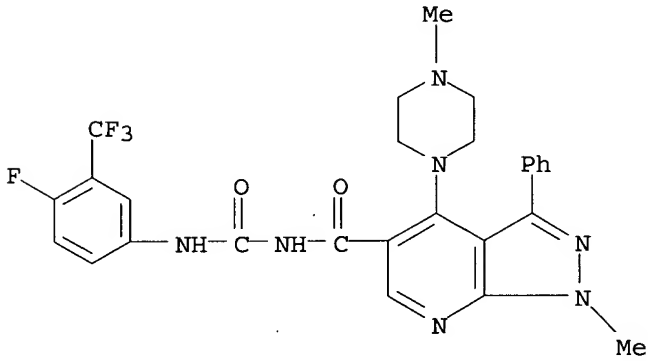
●x HCl

RN 445496-07-1 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[[4-fluoro-3-(trifluoromethyl)phenyl]amino]carbonyl]-4-(4-methyl-1-piperazinyl)-1,3-diphenyl-, hydrochloride (9CI) (CA INDEX NAME)



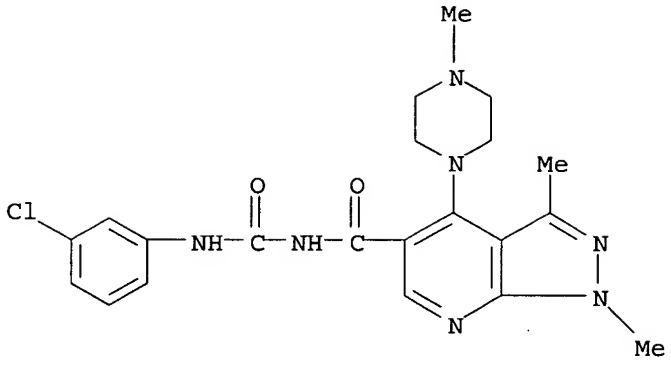
●x HCl

RN 445496-08-2 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[[4-fluoro-3-(trifluoromethyl)phenyl]amino]carbonyl]-1-methyl-4-(4-methyl-1-piperazinyl)-3-phenyl-, hydrochloride (9CI) (CA INDEX NAME)



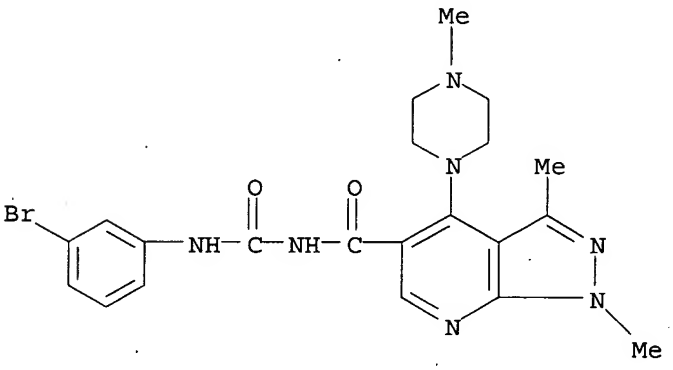
●x HCl

RN 445496-13-9 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[(3-chlorophenyl)amino]carbonyl]-1,3-dimethyl-4-(4-methyl-1-piperazinyl)-, hydrochloride (9CI) (CA INDEX NAME)



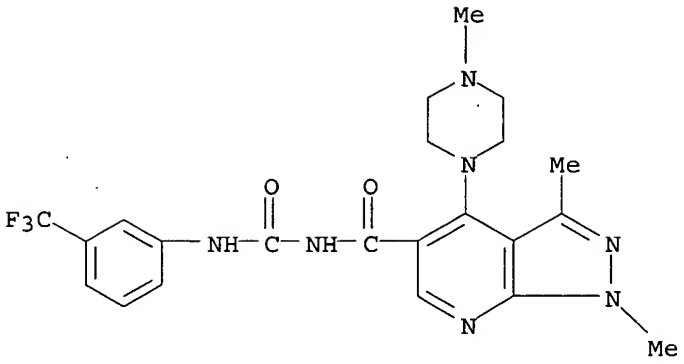
●x HCl

RN 445496-14-0 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[(3-bromophenyl)amino]carbonyl]-1,3-dimethyl-4-(4-methyl-1-piperazinyl)-, hydrochloride (9CI) (CA INDEX NAME)



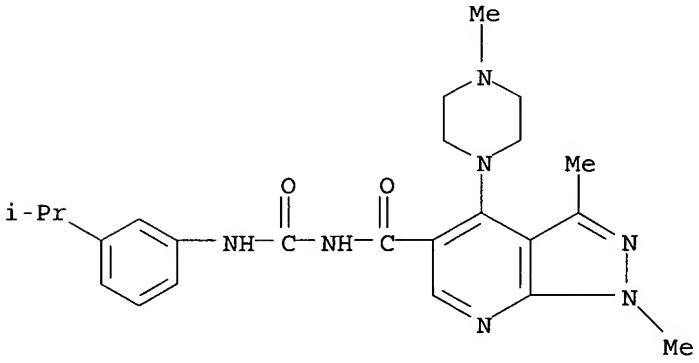
●x HCl

RN 445496-15-1 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 1,3-dimethyl-4-(4-methyl-1-piperazinyl)-N-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]-, hydrochloride (9CI) (CA INDEX NAME)



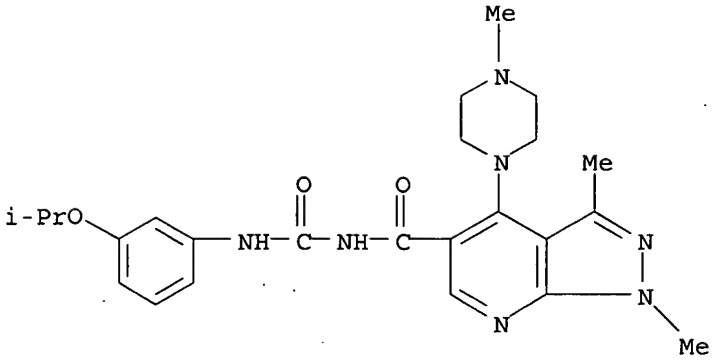
●x HCl

RN 445496-16-2 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 1,3-dimethyl-N-[[[3-(1-methylethyl)phenyl]amino]carbonyl]-4-(4-methyl-1-piperazinyl)-, hydrochloride (9CI) (CA INDEX NAME)



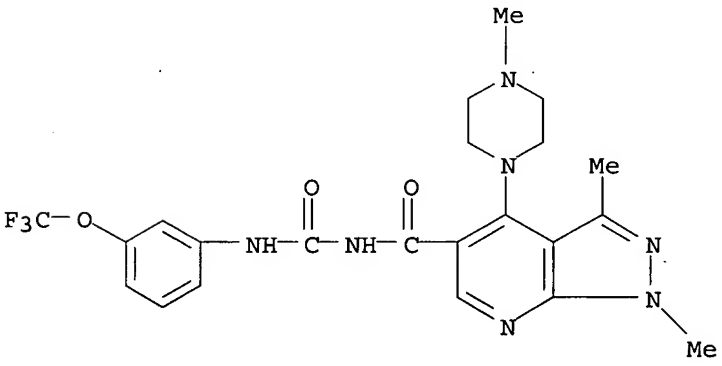
●x HCl

RN 445496-17-3 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 1,3-dimethyl-N-[[[3-(1-methylethoxy)phenyl]amino]carbonyl]-4-(4-methyl-1-piperazinyl)-, hydrochloride (9CI) (CA INDEX NAME)



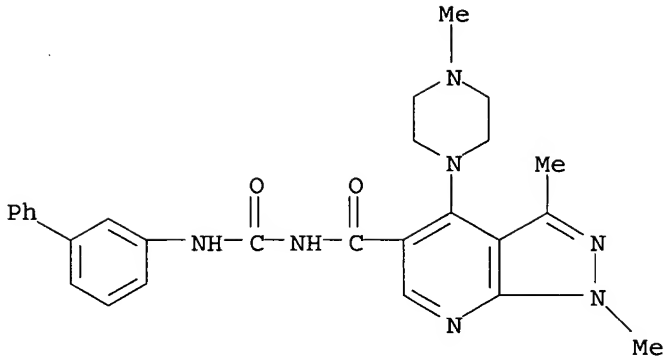
●x HCl

RN 445496-18-4 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 1,3-dimethyl-4-(4-methyl-1-piperazinyl)-N-[[[3-(trifluoromethoxy)phenyl]amino]carbonyl]-, hydrochloride (9CI) (CA INDEX NAME)



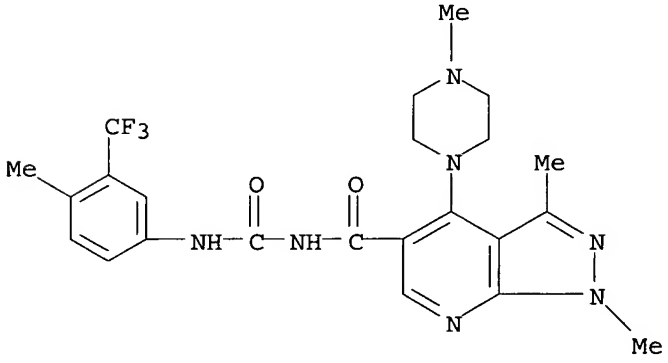
●x HCl

RN 445496-19-5 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[([1,1'-biphenyl]-3-ylamino)carbonyl]-1,3-dimethyl-4-(4-methyl-1-piperazinyl)-, hydrochloride (9CI) (CA INDEX NAME)



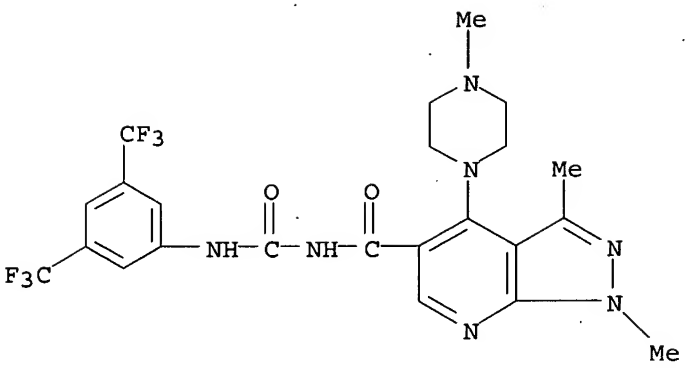
●x HCl

RN 445496-20-8 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 1,3-dimethyl-4-(4-methyl-1-piperazinyl)-N-[[[4-methyl-3-(trifluoromethyl)phenyl]amino]carbonyl]-, hydrochloride (9CI) (CA INDEX NAME)



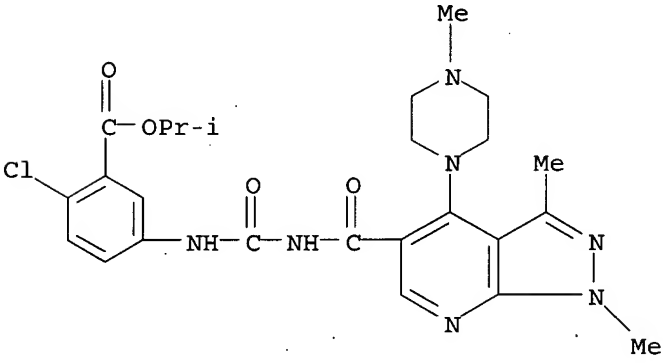
●x HCl

RN 445496-21-9 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[[3,5-bis(trifluoromethyl)phenyl]amino]carbonyl]-1,3-dimethyl-4-(4-methyl-1-piperazinyl)-, hydrochloride (9CI) (CA INDEX NAME)



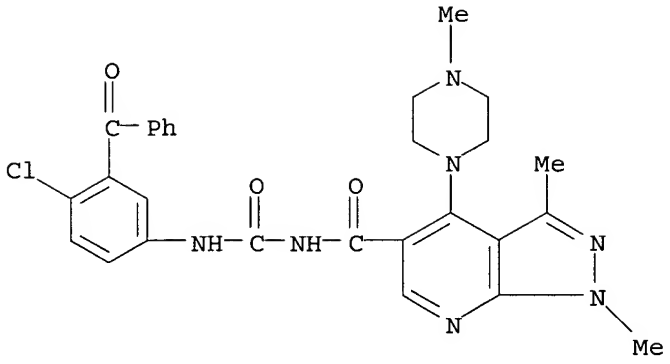
●x HCl

RN 445496-22-0 HCAPLUS
CN Benzoic acid, 2-chloro-5-[[[[[1,3-dimethyl-4-(4-methyl-1-piperazinyl)-1H-pyrazolo[3,4-b]pyridin-5-yl]carbonyl]amino]carbonyl]amino]-, 1-methylethyl ester, hydrochloride (9CI) (CA INDEX NAME)



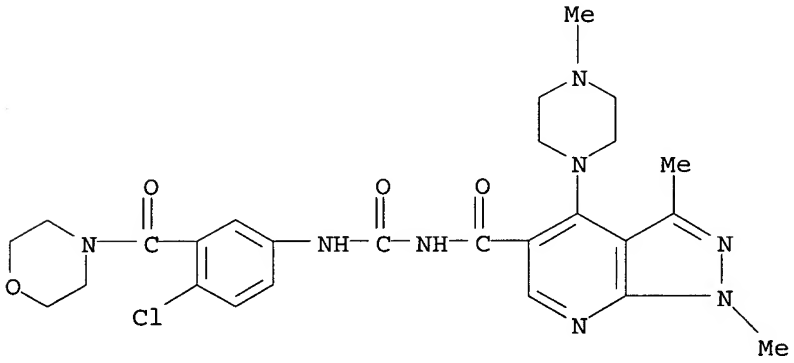
●x HCl

RN 445496-23-1 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[(3-benzoyl-4-chlorophenyl)amino]carbonyl]-1,3-dimethyl-4-(4-methyl-1-piperazinyl)-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 445496-24-2 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[[4-chloro-3-(4-morpholinylcarbonyl)phenyl]amino]carbonyl]-1,3-dimethyl-4-(4-methyl-1-piperazinyl)-, hydrochloride (9CI) (CA INDEX NAME)

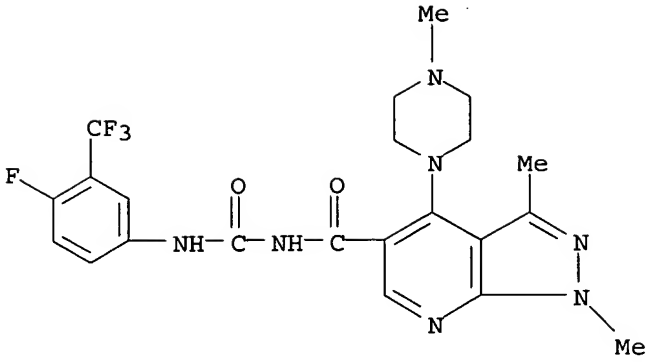


●x HCl

RN 445496-25-3 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-[[[4-fluoro-3-(trifluoromethyl)phenyl]amino]carbonyl]-1,3-dimethyl-4-(4-methyl-1-piperazinyl)-, compd. with iodomethane (1:1) (9CI) (CA INDEX NAME)

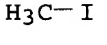
CM 1

CRN 445495-46-5
CMF C22 H23 F4 N7 O2

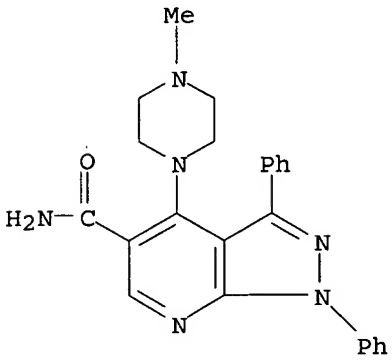


CM 2

CRN 74-88-4
CMF C H3 I



IT **445496-28-6P**, 1,3-Diphenylpyrazolo[5,4-b]pyridine-5-carboxamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(reactant; preparation of pyrazolo[5,4-b]pyridin-5-yl carboxamides as antagonists of MCP-1 function)
RN 445496-28-6 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 4-(4-methyl-1-piperazinyl)-1,3-diphenyl- (9CI) (CA INDEX NAME)



L20 ANSWER 21 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:184863 HCAPLUS
DOCUMENT NUMBER: 136:221516
TITLE: Hair growth stimulants containing CRF1 receptor antagonists
INVENTOR(S): Ikeda, Akiko; Okuyama, Shigeru; Shibasaki, Tamotsu; Kawana, Seiji; Kaneko, Katsumi
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

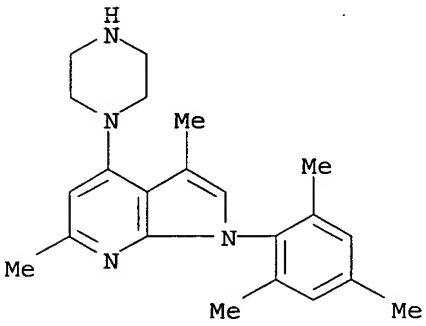
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002019975	A1	20020314	WO 2001-JP7537	20010831
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001084417	A5	20020322	AU 2001-84417	20010831
PRIORITY APPLN. INFO.:			JP 2000-269291 A	20000905
			WO 2001-JP7537 W	20010831

OTHER SOURCE(S): MARPAT 136:221516

AB Disclosed are hair growth stimulants containing a corticotropin release factor (CRF) 1 receptor antagonist as the active ingredient. A CRF1 receptor antagonist 2-[N-(2-methylthio-4-isopropylphenyl)-N-ethylamino]-4-[4-(3-fluorophenyl)-1,2,3,6-tetrahydropyridine-1-yl]-6-methylpyrimidine showed keratinocyte cell proliferation promoting effect in cultured human epidermal keratinocyte cells.

IT **246044-44-0**
RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)
(hair growth stimulants containing CRF1 receptor antagonists)

RN 246044-44-0 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 3,6-dimethyl-4-(1-piperazinyl)-1-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



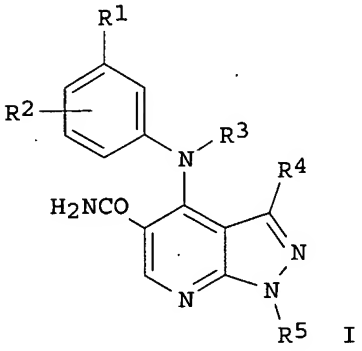
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 22 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:63503 HCAPLUS
DOCUMENT NUMBER: 136:102381
TITLE: Preparation of pyrazolopyridines as phosphodiesterase 4 (PDE4) inhibitors for treatment of diseases

INVENTOR(S): Nakai, Hisao; Kishikawa, Katsuya
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 37 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002020386	A2	20020123	JP 2000-206030	20000707
PRIORITY APPLN. INFO.:			JP 2000-206030	20000707

OTHER SOURCE(S): MARPAT 136:102381
GI

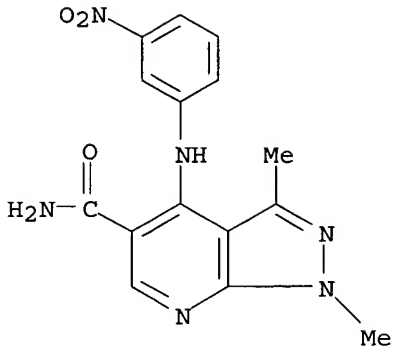


I

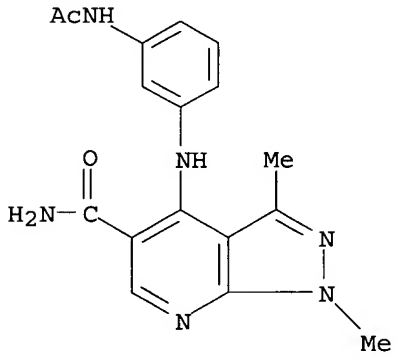
AB Pyrazolopyridines I [R1 = OH, C1-8 alkoxy, SH, C1-8 alkylthio, C2-8 alkynyl, NO2, cyano, Ph, etc.; R2 = H, C1-8 alkoxy; R3 = H, C1-8 alkyl; R4 = H, C1-8 alkyl, C3-7 cycloalkyl, (un)substituted Ph, heterocyclyl, etc.; R5 = H, C1-8 alkyl, (un)substituted Ph, etc.] or their nontoxic salts are prepared The compds. are useful for prophylactic and therapeutic treatment of inflammation, diabetes, allergy, autoimmune disease, osteoporosis, obesity, etc. Thus, refluxing 1,3-dimethyl-4-chloropyrazolo[5,4-b]pyridine-5-carboxamide with 3-methoxyaniline for 6 h gave I (R1 = OMe, R2 = R3 = H, R4 = R5 = Me), which inhibited PDE4 with IC50 value of 0.004 μM.

IT **389058-12-2P 389058-18-8P 389058-25-7P 389058-44-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyridines as phosphodiesterase 4 inhibitors for treatment of diseases)

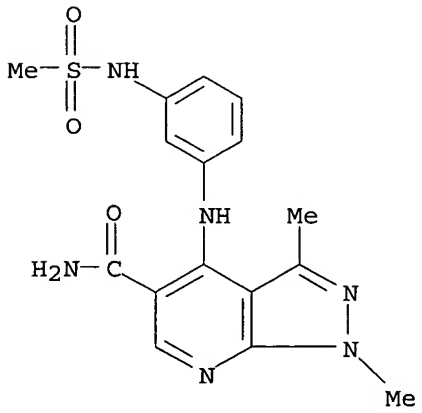
RN 389058-12-2 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 1,3-dimethyl-4-[(3-nitrophenyl)amino]- (9CI) (CA INDEX NAME)



RN 389058-18-8 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 4-[[3-(acetylamino)phenyl]amino]-1,3-dimethyl- (9CI) (CA INDEX NAME)

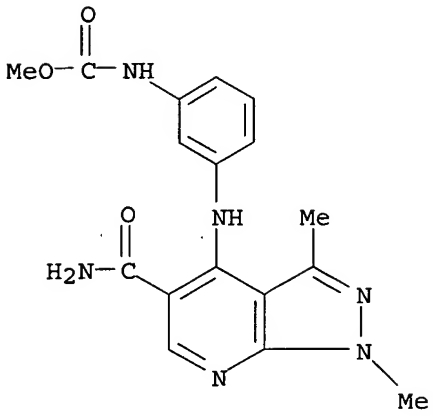


RN 389058-25-7 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 1,3-dimethyl-4-[[3-[(methylsulfonyl)amino]phenyl]amino]- (9CI) (CA INDEX NAME)



RN 389058-44-0 HCAPLUS
CN Carbamic acid, [3-[[5-(aminocarbonyl)-1,3-dimethyl-1H-pyrazolo[3,4-

b]pyridin-4-yl]amino]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



L20 ANSWER 23 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:935602 HCAPLUS
DOCUMENT NUMBER: 136:69741
TITLE: Preparation of azaindoles as antitumor agents
INVENTOR(S): Longo, Antonio; Brasca, Maria Gabriella; Orsini, Paolo; Traquandi, Gabriella; Pittala, Valeria; Vulpetti, Anna; Varasi, Mario; Pevarello, Paolo
PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy
SOURCE: PCT Int. Appl., 150 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

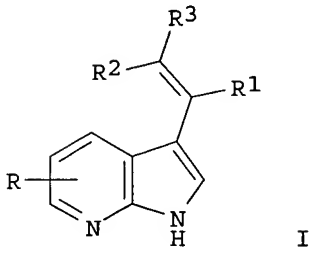
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098299	A1	20011227	WO 2001-EP6890	20010613
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6335342	B1	20020101	US 2000-597274	20000619
CA 2411865	AA	20011227	CA 2001-2411865	20010613
AU 2001066079	A5	20020102	AU 2001-66079	20010613
EP 1309590	A1	20030514	EP 2001-943522	20010613
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004501152	T2	20040115	JP 2002-504255	20010613
NZ 523002	A	20040924	NZ 2001-523002	20010613
US 6486322	B2	20021126	US 2001-968042	20011002
US 2003004350	A1	20030102		

PRIORITY APPLN. INFO.: US 2000-597274 A 20000619

WO 2001-EP6890 W 20010613

OTHER SOURCE(S): MARPAT 136:69741

GI



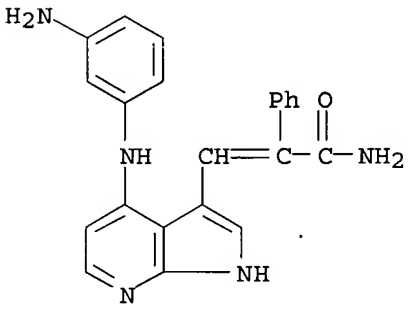
I

AB The title 1H-pyrrolo[2,3-b]pyridines [I; R = H, halo, CN, etc.; R1 = H, alkyl; R2 = alkyl, aryl; R3 = H, CONR4R5, CO2R4, CONHOR4, SO2NHR4, alkylsulfonylaminocarbonyl, perfluorinated alkylsulfonylaminocarbonyl; R4, R5 = H, alkyl, aryl, etc.] or their pharmaceutically acceptable salts, useful for treating cell proliferative disorders associated with an altered cell cycle dependent kinase activity (no data given), were prepared Thus, reacting phenylacetic acid with 1H-pyrrolo[2,3-b]pyridine-3-carbaldehyde in the presence of Ac2O and Et3N afforded 44% I [R, R1 = H; R2 = Ph; R3 = CO2H].

IT **383870-19-7P 383872-21-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azaindoles as antitumor agents)

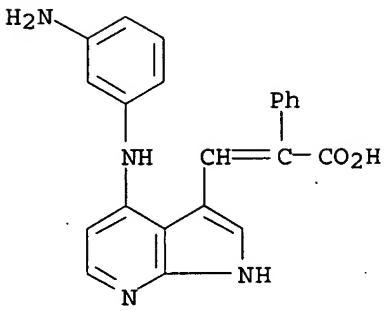
RN 383870-19-7 HCAPLUS

CN Benzeneacetamide, α-[[4-[(3-aminophenyl)amino]-1H-pyrrolo[2,3-b]pyridin-3-yl]methylene]- (9CI) (CA INDEX NAME)



RN 383872-21-7 HCAPLUS

CN Benzeneacetic acid, α-[[4-[(3-aminophenyl)amino]-1H-pyrrolo[2,3-b]pyridin-3-yl]methylene]- (9CI) (CA INDEX NAME)



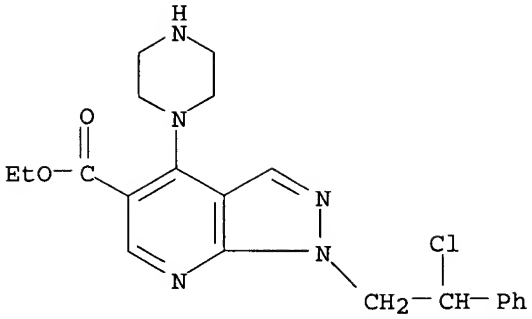
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 24 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:651020 HCAPLUS
DOCUMENT NUMBER: 136:69767
TITLE: Synthesis and biological data of 4-amino-1-(2-chloro-2-phenylethyl)-1H-pyrazolo[3,4-b]pyridine-5-carboxylic acid ethyl esters, a new series of A1-adenosine receptor (A1AR) ligands
AUTHOR(S): Schenone, S.; Bruno, O.; Fossa, P.; Ranise, A.; Menozzi, G.; Mosti, L.; Bondavalli, F.; Martini, C.; Trincavelli, L.
CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Facolta di Farmacia dell'Universita degli Studi di Genova, Genoa, 16132, Italy
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(18), 2529-2531
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:69767

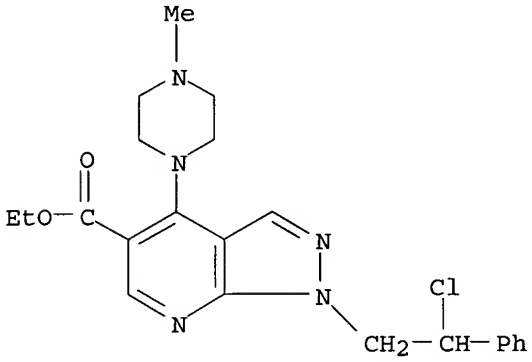
AB The synthesis of a new family of A1-adenosine receptor (A1AR) ligands has was performed in a straightforward way. Affinity data at A1AR, A2AAR and A3AR in bovine membranes show that these new compds. bind the A1AR in a selective way over A2AAR and A3AR and one of them presents a very high affinity, probably due to the phenethylamine substituent at C-4.

IT **383911-78-2P 383911-79-3P**
RL: BCP (Biochemical process); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(preparation and activity of 4-amino-1-(2-chloro-2-phenylethyl)-1H-pyrazolo[3,4-b]pyridine-5-carboxylate derivs. as A1-adenosine receptor ligands)

RN 383911-78-2 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 1-(2-chloro-2-phenylethyl)-4-(1-piperazinyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 383911-79-3 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 1-(2-chloro-2-phenylethyl)-4-(4-methyl-1-piperazinyl)-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 25 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:247338 HCAPLUS
DOCUMENT NUMBER: 134:280854
TITLE: Preparation of certain alkylene diamine-substituted heterocycles as NPY1 receptor inhibitors
INVENTOR(S): Horvath, Raymond F.; Tran, Jennifer; De, Lombaert Stephane; Hodgetts, Kevin Julian; Carpino, Philip A.; Griffith, David A.
PATENT ASSIGNEE(S): Neurogen Corporation, USA; Pfizer, Inc.; De Lombaert, Stephane
SOURCE: PCT Int. Appl., 211 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023389	A2	20010405	WO 2000-US26886	20000929
WO 2001023389	A3	20020510		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,

HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2379640	AA	20010405	CA 2000-2379640	20000929
EP 1224187	A2	20020724	EP 2000-967133	20000929
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
US 6506762	B1	20030114	US 2000-676941	20000929
JP 2003510327	T2	20030318	JP 2001-526541	20000929
NZ 517575	A	20040430	NZ 2000-517575	20000929
BG 106508	A	20030228	BG 2002-106508	20020311
NO 2002001358	A	20020527	NO 2002-1358	20020319
ZA 2002002518	A	20030630	ZA 2002-2518	20020328
US 2003158197	A1	20030821	US 2002-291446	20021108
US 6696445	B2	20040224		
US 2004229870	A1	20041118	US 2003-705446	20031110
PRIORITY APPLN. INFO.:			US 1999-156870P	P 19990930
			US 2000-676941	A3 20000929
			WO 2000-US26886	W 20000929
			US 2002-291446	A3 20021108

OTHER SOURCE(S): MARPAT 134:280854
GI

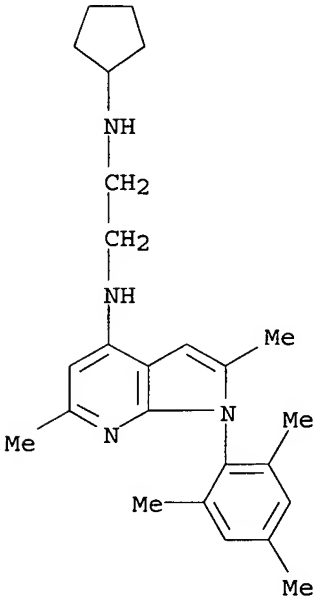
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I-III, etc.; X = N, CR14; W = S, O, NR15; Y = N, CR3; E, F, G = CR3, N; R1 = H, alkyl, etc.; R2 = H, alkyl, cycloalkyl, etc.; A = (un)substituted (CH2)m (wherein m = 1-3); A and B form a (un)substituted carbocycle; A and R2, or B and R2 form (un)substituted aminocarbocycle, aminoheterocycle; B = (un)substituted (CH2)n (n = 1-3); R3, R16 = H, alkyl, etc.; R4 = (un)substituted aryl, heteroaryl; R5 = (cycloalkyl)alkyl, alkenyl, etc.; R6 = H, alkyl, etc.] which are potent antagonists at the NPY1 receptor, and are useful in treating physiol. disorders associated with an excess of neuropeptide Y, including eating disorders, such as, for example, obesity and bulimia, and certain cardiovascular diseases, for example, hypertension, were prepared E.g., a multi-step synthesis of IV was described. The compds. I showed Ki of 0.1 nM - 10 μM against NPY1 receptor binding.

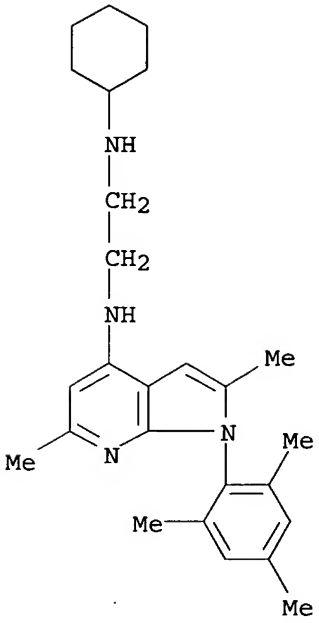
IT **332140-96-2P 332140-97-3P 332140-98-4P**
332140-99-5P 332141-04-5P 332141-05-6P
332141-06-7P 332141-07-8P 332141-29-4P
332141-30-7P 332141-31-8P 332141-32-9P
332141-37-4P 332141-38-5P 332141-39-6P
332141-40-9P 332141-45-4P 332141-46-5P
332141-47-6P 332141-48-7P 332141-53-4P
332141-54-5P 332141-56-7P 332141-58-9P
332141-97-6P 332141-98-7P 332141-99-8P
332142-00-4P 332142-05-9P 332142-06-0P
332142-07-1P 332142-08-2P 332142-13-9P
332142-14-0P 332142-15-1P 332142-16-2P
332142-21-9P 332142-22-0P 332142-23-1P
332142-24-2P 332142-45-7P 332142-46-8P

332142-47-9P 332142-48-0P 332142-53-7P
332142-54-8P 332142-55-9P 332142-56-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of certain alkylene diamine-substituted heterocycles as NPY1 receptor inhibitors)

RN 332140-96-2 HCAPLUS
CN 1,2-Ethanediamine, N-cyclopentyl-N'-[2,6-dimethyl-1-(2,4,6-trimethylphenyl)-1H-pyrrolo[2,3-b]pyridin-4-yl]- (9CI) (CA INDEX NAME)



RN 332140-97-3 HCAPLUS
CN 1,2-Ethanediamine, N-cyclohexyl-N'-[2,6-dimethyl-1-(2,4,6-trimethylphenyl)-1H-pyrrolo[2,3-b]pyridin-4-yl]- (9CI) (CA INDEX NAME)



RN 332140-98-4 HCAPLUS
CN 1,2-Ethanediamine, N-[2-(3,4-dimethoxyphenyl)ethyl]-N'-[2,6-dimethyl-1-(2,4,6-trimethylphenyl)-1H-pyrrolo[2,3-b]pyridin-4-yl]- (9CI) (CA INDEX NAME)

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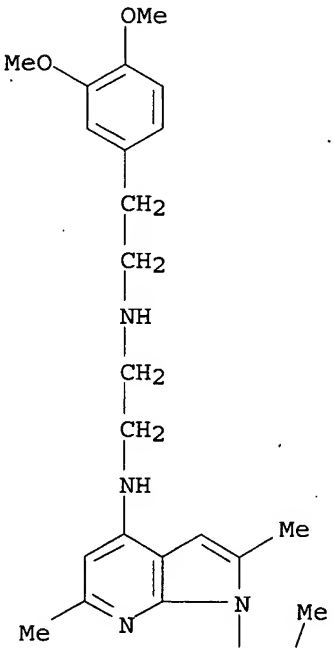

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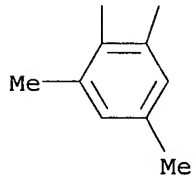

RN 332140-99-5 HCAPLUS
CN 1,2-Ethanediamine, N-[2,6-dimethyl-1-(2,4,6-trimethylphenyl)-1H-pyrrolo[2,3-b]pyridin-4-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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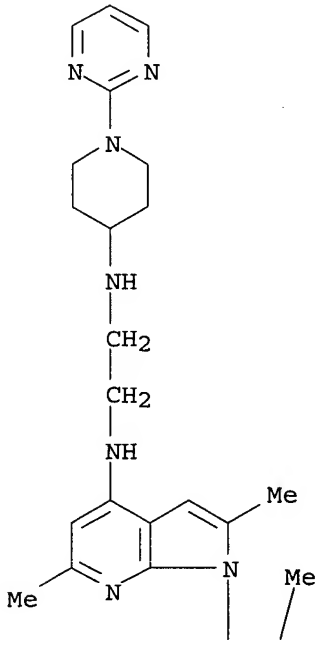

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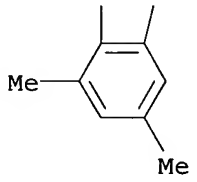
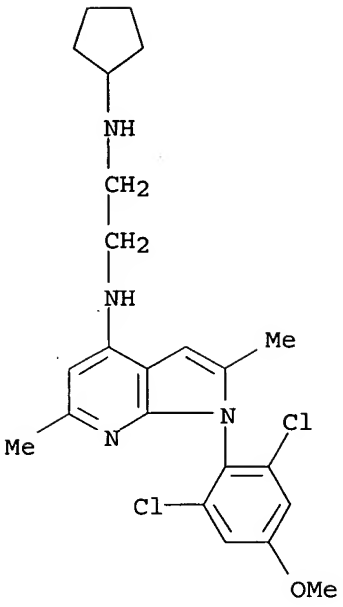
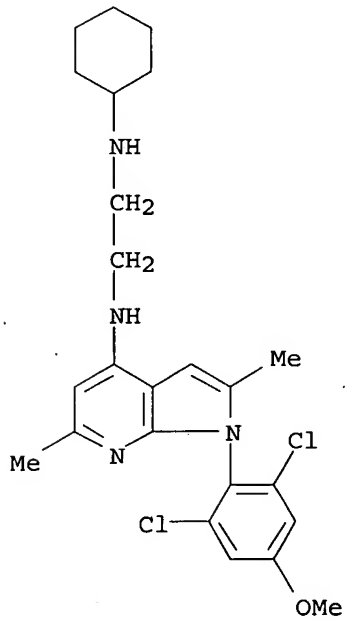


RN 332141-04-5 HCAPLUS
CN 1,2-Ethanediamine, N-cyclopentyl-N'-[1-(2,6-dichloro-4-methoxyphenyl)-2,6-dimethyl-1H-pyrrolo[2,3-b]pyridin-4-yl]- (9CI) (CA INDEX NAME)



RN 332141-05-6 HCAPLUS
CN 1,2-Ethanediamine, N-cyclohexyl-N'-[1-(2,6-dichloro-4-methoxyphenyl)-2,6-dimethyl-1H-pyrrolo[2,3-b]pyridin-4-yl]- (9CI) (CA INDEX NAME)



RN 332141-06-7 HCAPLUS
CN 1,2-Ethanediamine, N-[1-(2,6-dichloro-4-methoxyphenyl)-2,6-dimethyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-N'-[2-(3,4-dimethoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

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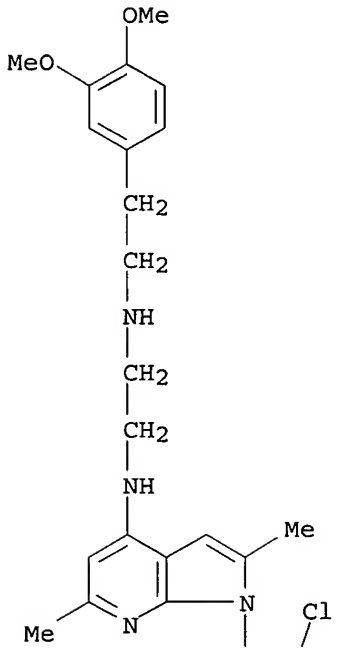

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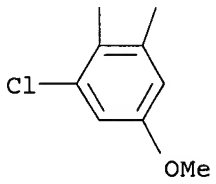

RN 332141-07-8 HCAPLUS
CN 1,2-Ethanediamine, N-[1-(2,6-dichloro-4-methoxyphenyl)-2,6-dimethyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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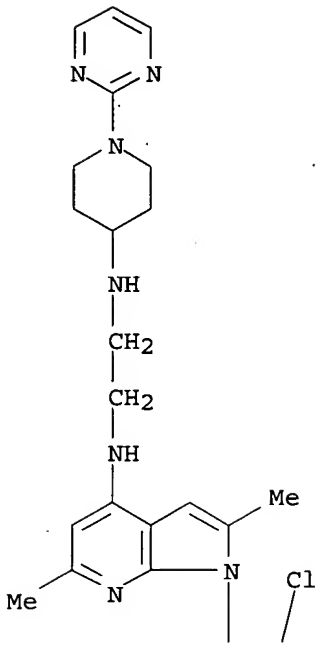

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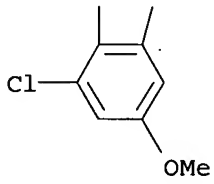
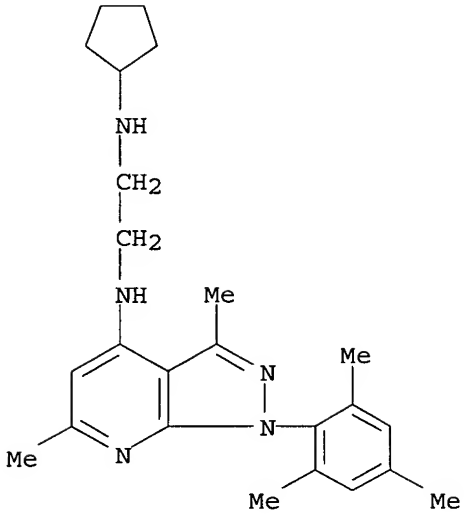
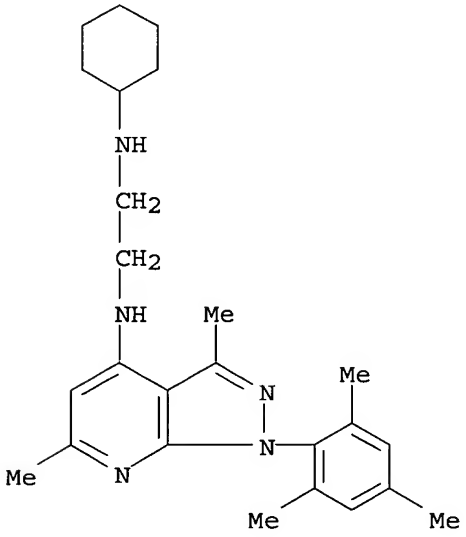


RN 332141-29-4 HCAPLUS
CN 1,2-Ethanediamine, N-cyclopentyl-N'-[3,6-dimethyl-1-(2,4,6-trimethylphenyl)-1H-pyrazolo[3,4-b]pyridin-4-yl]- (9CI) (CA INDEX NAME)



RN 332141-30-7 HCAPLUS
CN 1,2-Ethanediamine, N-cyclohexyl-N'-[3,6-dimethyl-1-(2,4,6-trimethylphenyl)-1H-pyrazolo[3,4-b]pyridin-4-yl]- (9CI) (CA INDEX NAME)



RN 332141-31-8 HCAPLUS
CN 1,2-Ethanediamine, N-[2-(3,4-dimethoxyphenyl)ethyl]-N'-[3,6-dimethyl-1-(2,4,6-trimethylphenyl)-1H-pyrazolo[3,4-b]pyridin-4-yl]- (9CI) (CA INDEX NAME)

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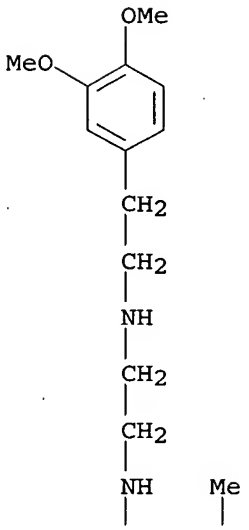

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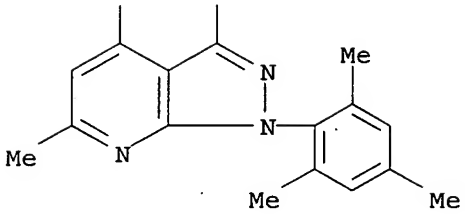

RN 332141-32-9 HCAPLUS
CN 1,2-Ethanediamine, N-[3,6-dimethyl-1-(2,4,6-trimethylphenyl)-1H-pyrazolo[3,4-b]pyridin-4-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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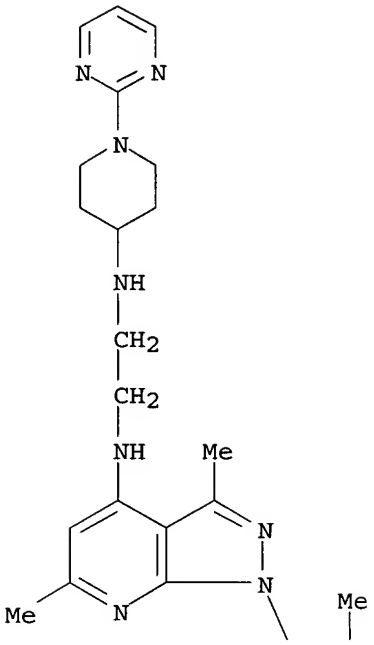

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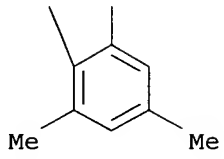
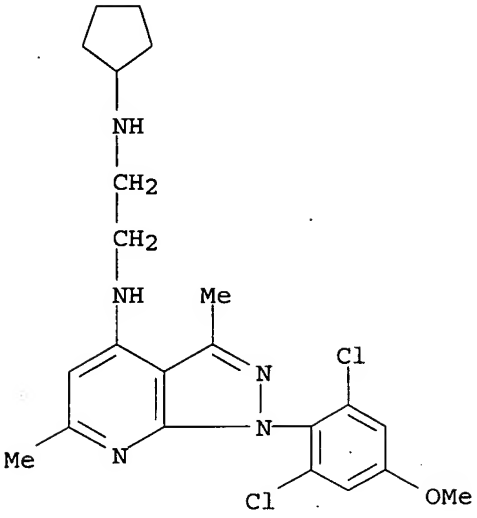
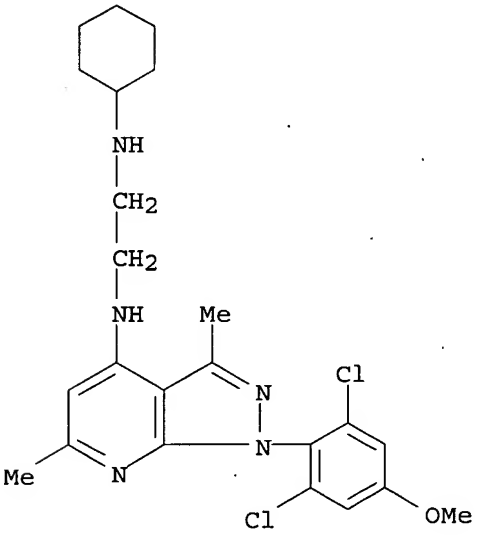


RN 332141-37-4 HCAPLUS
CN 1,2-Ethanediamine, N-cyclopentyl-N'-[1-(2,6-dichloro-4-methoxyphenyl)-3,6-dimethyl-1H-pyrazolo[3,4-b]pyridin-4-yl]- (9CI) (CA INDEX NAME)



RN 332141-38-5 HCAPLUS
CN 1,2-Ethanediamine, N-cyclohexyl-N'-[1-(2,6-dichloro-4-methoxyphenyl)-3,6-dimethyl-1H-pyrazolo[3,4-b]pyridin-4-yl]- (9CI) (CA INDEX NAME)



RN 332141-39-6 HCAPLUS
CN 1,2-Ethanediamine, N-[1-(2,6-dichloro-4-methoxyphenyl)-3,6-dimethyl-1H-pyrazolo[3,4-b]pyridin-4-yl]-N'-[2-(3,4-dimethoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

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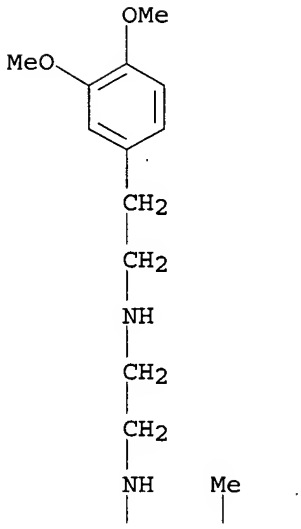

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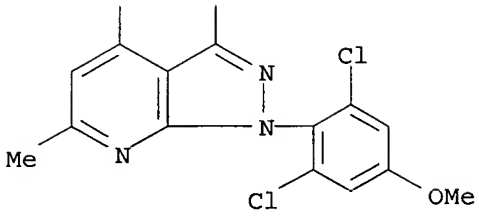

RN 332141-40-9 HCAPLUS
CN 1,2-Ethanediamine, N-[1-(2,6-dichloro-4-methoxyphenyl)-3,6-dimethyl-1H-pyrazolo[3,4-b]pyridin-4-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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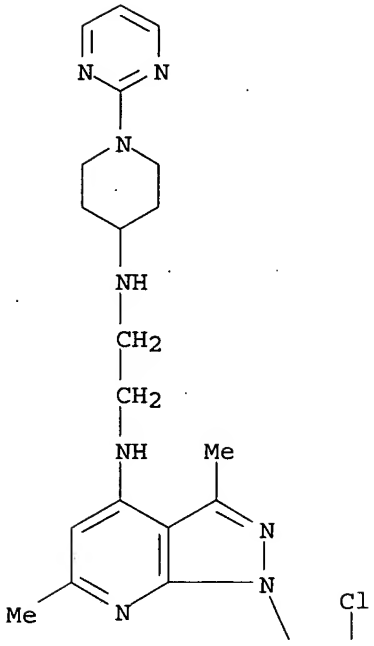

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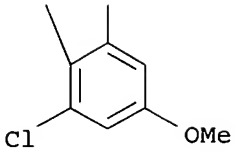
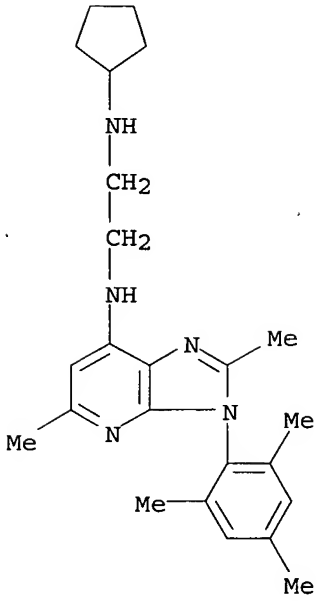
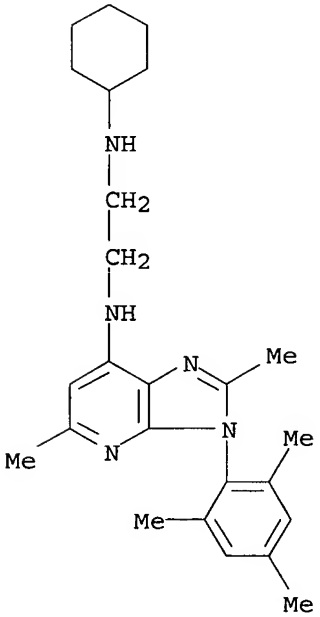


RN 332141-45-4 HCAPLUS
CN 1,2-Ethanediamine, N-cyclopentyl-N'-[2,5-dimethyl-3-(2,4,6-trimethylphenyl)-3H-imidazo[4,5-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)



RN 332141-46-5 HCAPLUS
CN 1,2-Ethanediamine, N-cyclohexyl-N'-[2,5-dimethyl-3-(2,4,6-trimethylphenyl)-3H-imidazo[4,5-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)



RN 332141-47-6 HCAPLUS
CN 1,2-Ethanediamine, N-[2-(3,4-dimethoxyphenyl)ethyl]-N'-[2,5-dimethyl-3-(2,4,6-trimethylphenyl)-3H-imidazo[4,5-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)

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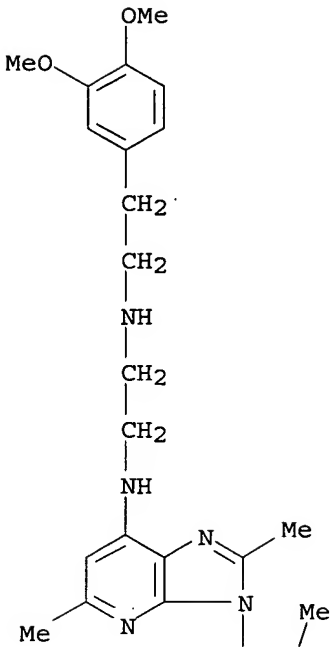

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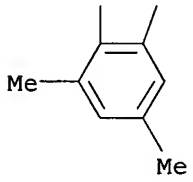

RN 332141-48-7 HCAPLUS
CN 1,2-Ethanediamine, N-[2,5-dimethyl-3-(2,4,6-trimethylphenyl)-3H-imidazo[4,5-b]pyridin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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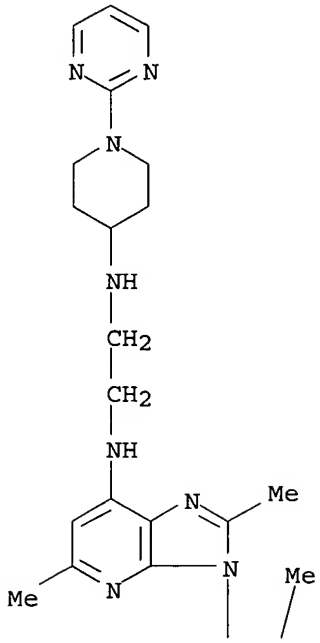

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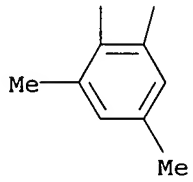
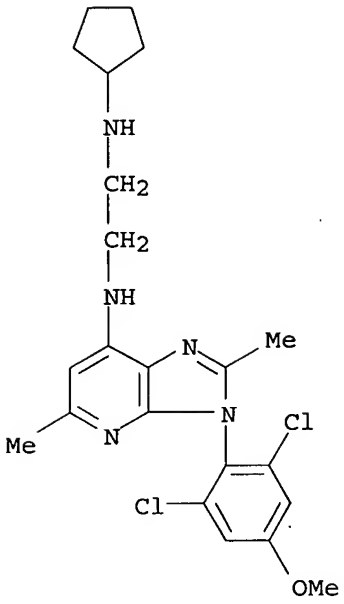
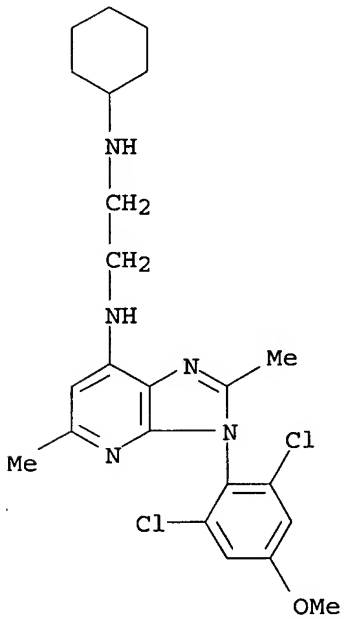


RN 332141-53-4 HCAPLUS
CN 1,2-Ethanediamine, N-cyclopentyl-N'-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethyl-3H-imidazo[4,5-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)



RN 332141-54-5 HCAPLUS
CN 1,2-Ethanediamine, N-cyclohexyl-N'-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethyl-3H-imidazo[4,5-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)



RN 332141-56-7 HCAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethyl-3H-imidazo[4,5-b]pyridin-7-yl]-N'-[2-(3,4-dimethoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

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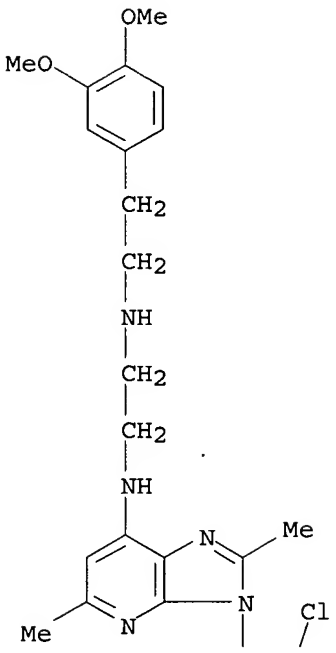

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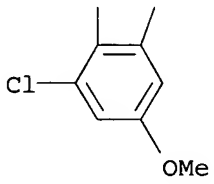

RN 332141-58-9 HCAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethyl-3H-imidazo[4,5-b]pyridin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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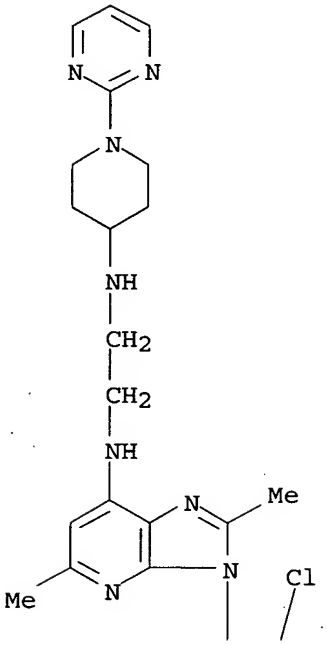

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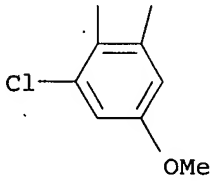
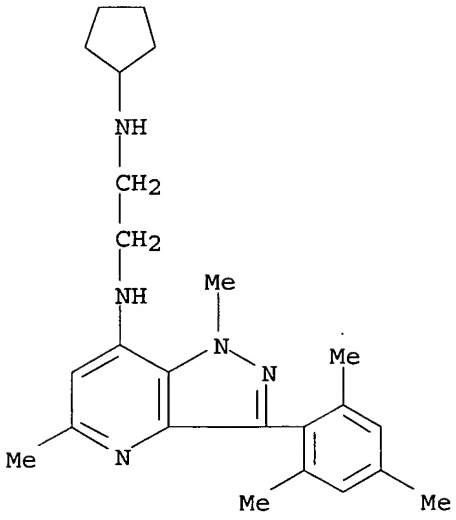
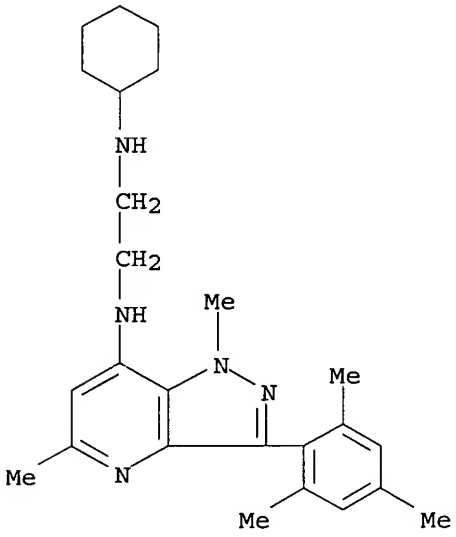


RN 332141-97-6 HCAPLUS
CN 1,2-Ethanediamine, N-cyclopentyl-N'-[1,5-dimethyl-3-(2,4,6-trimethylphenyl)-1H-pyrazolo[4,3-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)



RN 332141-98-7 HCAPLUS
CN 1,2-Ethanediamine, N-cyclohexyl-N'-[1,5-dimethyl-3-(2,4,6-trimethylphenyl)-1H-pyrazolo[4,3-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)



RN 332141-99-8 HCAPLUS
CN 1,2-Ethanediamine, N-[2-(3,4-dimethoxyphenyl)ethyl]-N'-[1,5-dimethyl-3-(2,4,6-trimethylphenyl)-1H-pyrazolo[4,3-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)

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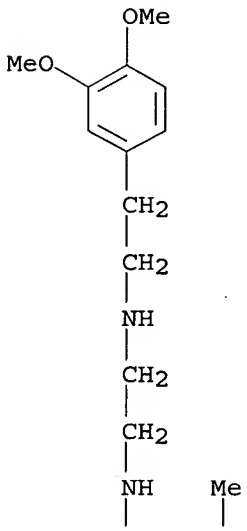

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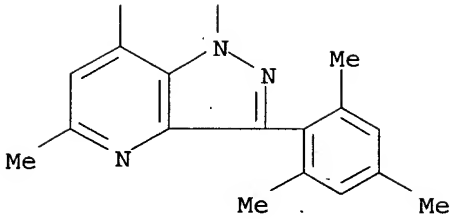

RN 332142-00-4 HCAPLUS
CN 1,2-Ethanediamine, N-[1,5-dimethyl-3-(2,4,6-trimethylphenyl)-1H-pyrazolo[4,3-b]pyridin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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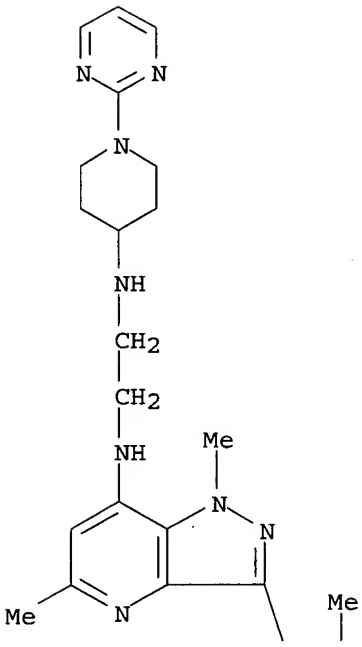

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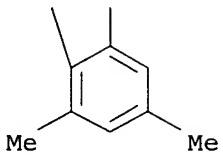
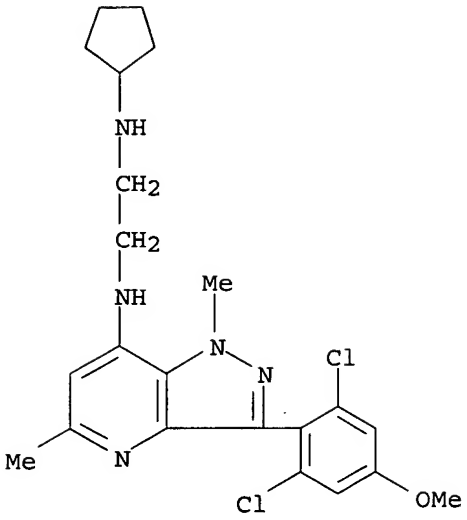
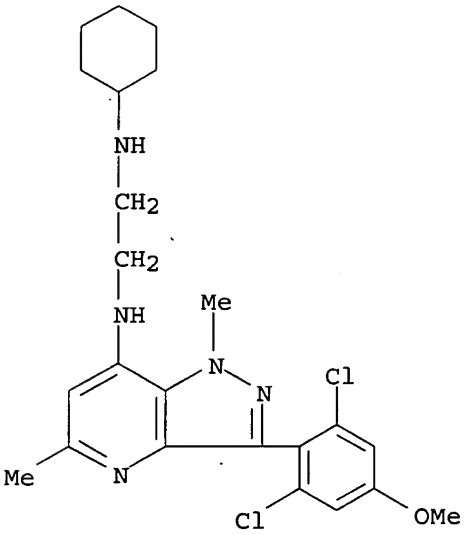


RN 332142-05-9 HCAPLUS
CN 1,2-Ethanediamine, N-cyclopentyl-N'-[3-(2,6-dichloro-4-methoxyphenyl)-1,5-dimethyl-1H-pyrazolo[4,3-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)



RN 332142-06-0 HCAPLUS
CN 1,2-Ethanediamine, N-cyclohexyl-N'-[3-(2,6-dichloro-4-methoxyphenyl)-1,5-dimethyl-1H-pyrazolo[4,3-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)



RN 332142-07-1 HCAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-1,5-dimethyl-1H-pyrazolo[4,3-b]pyridin-7-yl]-N'-[2-(3,4-dimethoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

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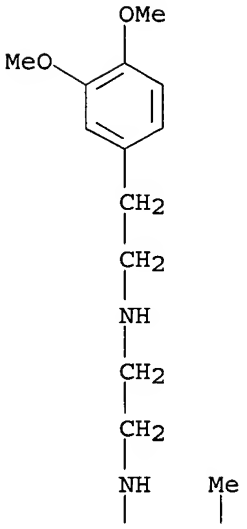

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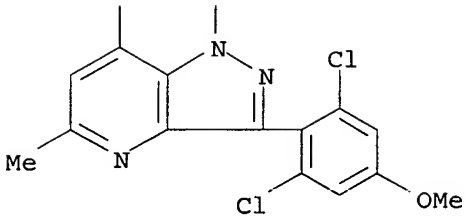

RN 332142-08-2 HCAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-1,5-dimethyl-1H-pyrazolo[4,3-b]pyridin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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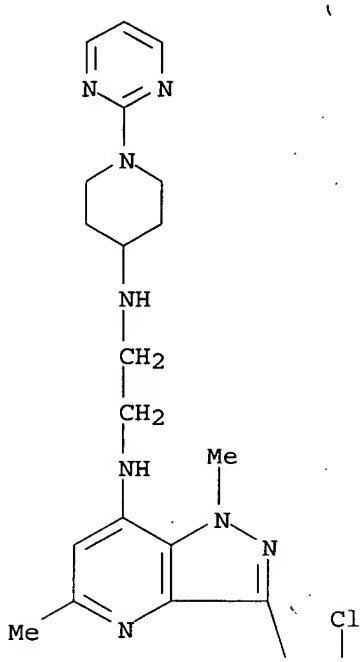

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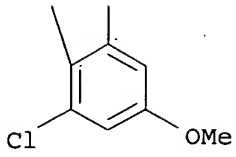
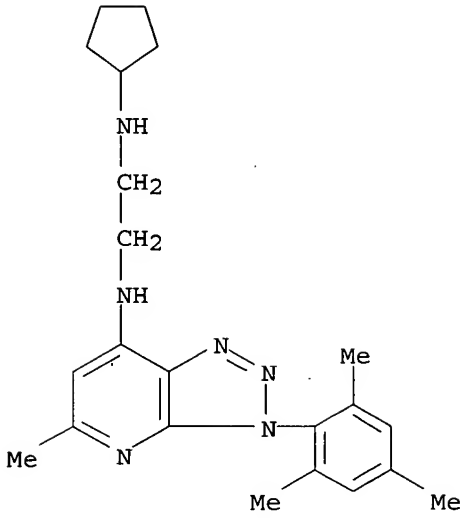
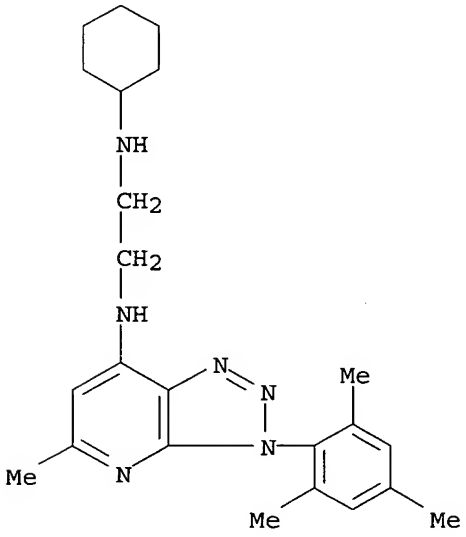


RN 332142-13-9 HCAPLUS
CN 1,2-Ethanediamine, N-cyclopentyl-N'-[5-methyl-3-(2,4,6-trimethylphenyl)-3H-1,2,3-triazolo[4,5-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)



RN 332142-14-0 HCAPLUS
CN 1,2-Ethanediamine, N-cyclohexyl-N'-[5-methyl-3-(2,4,6-trimethylphenyl)-3H-1,2,3-triazolo[4,5-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)



RN 332142-15-1 HCAPLUS
CN 1,2-Ethanediamine, N-[2-(3,4-dimethoxyphenyl)ethyl]-N'-[5-methyl-3-(2,4,6-trimethylphenyl)-3H-1,2,3-triazolo[4,5-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)

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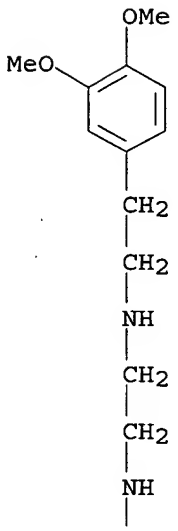

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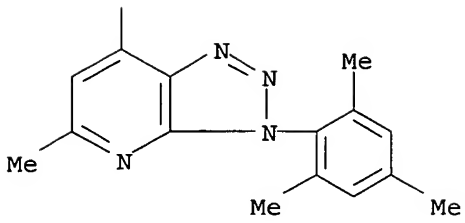

RN 332142-16-2 HCAPLUS
CN 1,2-Ethanediamine, N-[5-methyl-3-(2,4,6-trimethylphenyl)-3H-1,2,3-triazolo[4,5-b]pyridin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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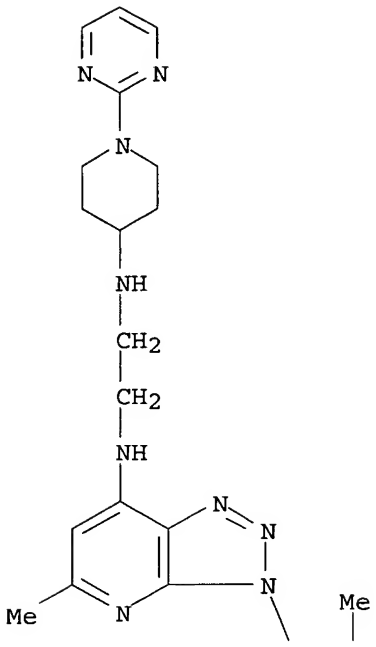

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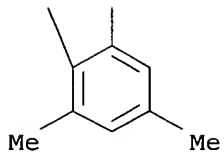
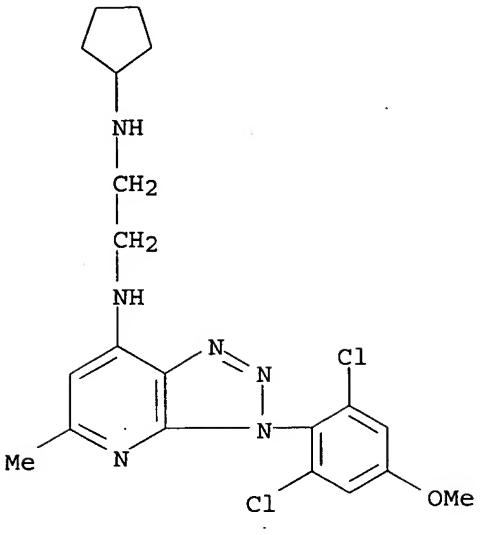
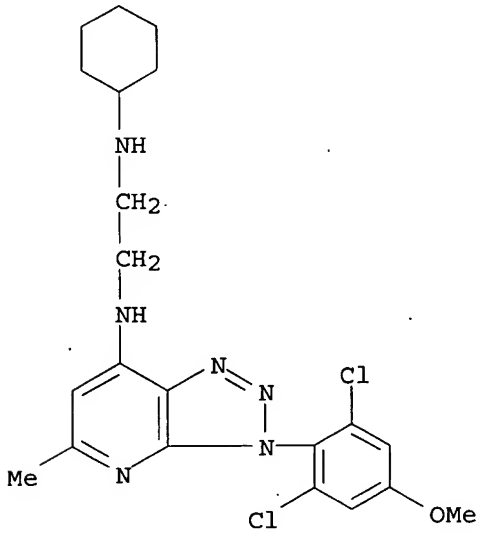


RN 332142-21-9 HCAPLUS
CN 1,2-Ethanediamine, N-cyclopentyl-N'-[3-(2,6-dichloro-4-methoxyphenyl)-5-methyl-3H-1,2,3-triazolo[4,5-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)



RN 332142-22-0 HCAPLUS
CN 1,2-Ethanediamine, N-cyclohexyl-N'-[3-(2,6-dichloro-4-methoxyphenyl)-5-methyl-3H-1,2,3-triazolo[4,5-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)



RN 332142-23-1 HCAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-5-methyl-3H-1,2,3-triazolo[4,5-b]pyridin-7-yl]-N'-[2-(3,4-dimethoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

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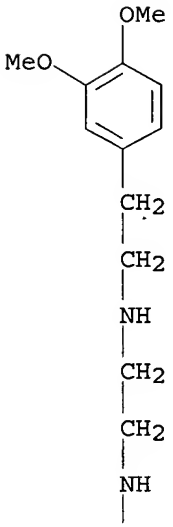

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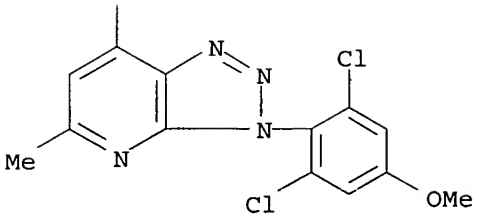

RN 332142-24-2 HCAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-5-methyl-3H-1,2,3-triazolo[4,5-b]pyridin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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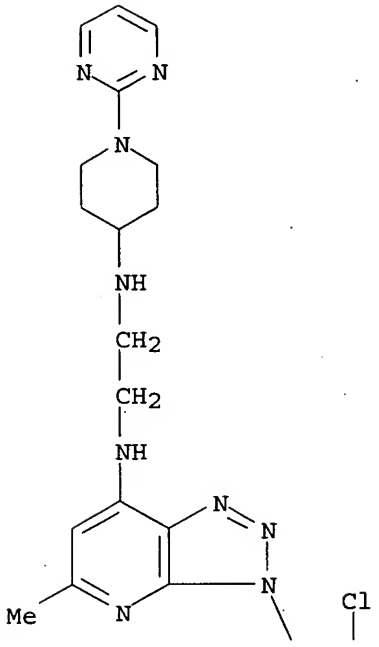

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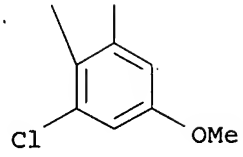
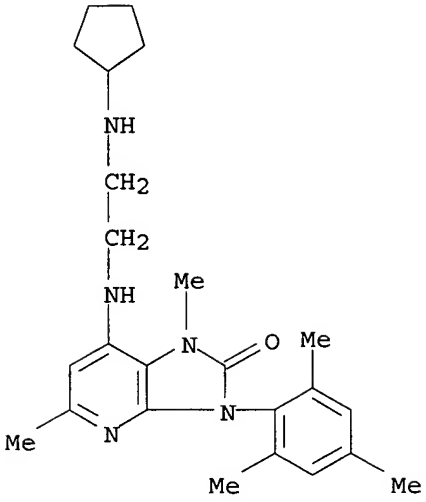
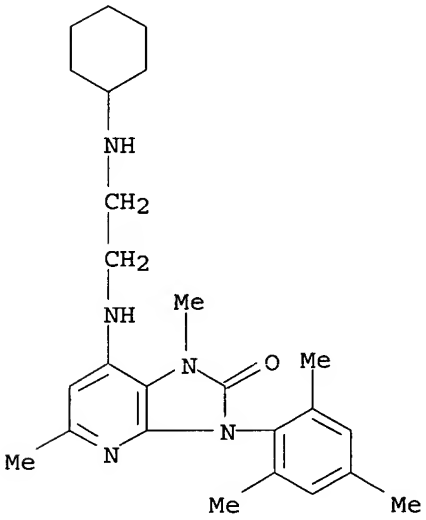


RN 332142-45-7 HCAPLUS
CN 2H-Imidazo[4,5-b]pyridin-2-one, 7-[[2-(cyclopentylamino)ethyl]amino]-1,3-dihydro-1,5-dimethyl-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



RN 332142-46-8 HCAPLUS
CN 2H-Imidazo[4,5-b]pyridin-2-one, 7-[[2-(cyclohexylamino)ethyl]amino]-1,3-dihydro-1,5-dimethyl-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



RN 332142-47-9 HCAPLUS
CN 2H-Imidazo[4,5-b]pyridin-2-one, 7-[[2-[[2-(3,4-dimethoxyphenyl)ethyl]amino]ethyl]amino]-1,3-dihydro-1,5-dimethyl-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

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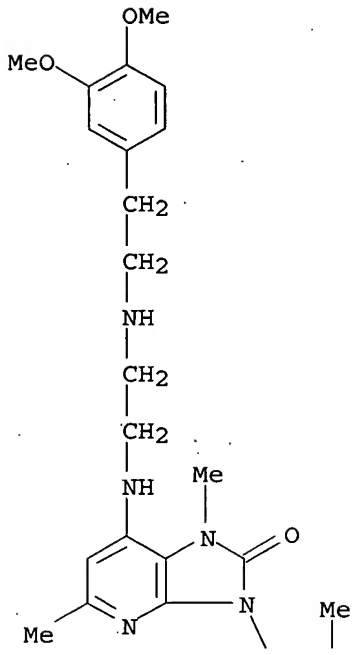

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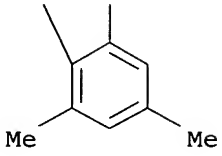

RN 332142-48-0 HCAPLUS
CN 2H-Imidazo[4,5-b]pyridin-2-one, 1,3-dihydro-1,5-dimethyl-7-[[2-[[1-(2-pyrimidinyl)-4-piperidinyl]amino]ethyl]amino]-3-(2,4,6-trimethylphenyl)-(9CI) (CA INDEX NAME)

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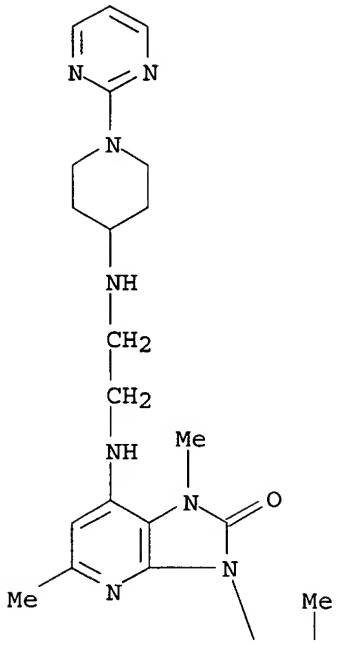

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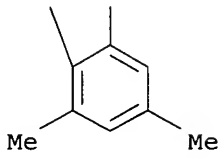
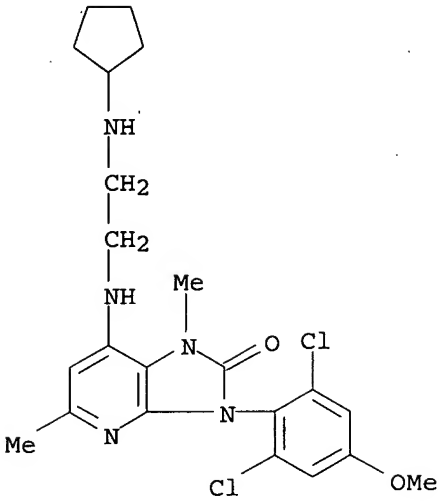


RN 332142-53-7 HCAPLUS
CN 2H-Imidazo[4,5-b]pyridin-2-one, 7-[[2-(cyclopentylamino)ethyl]amino]-3-(2,6-dichloro-4-methoxyphenyl)-1,3-dihydro-1,5-dimethyl- (9CI) (CA INDEX NAME)



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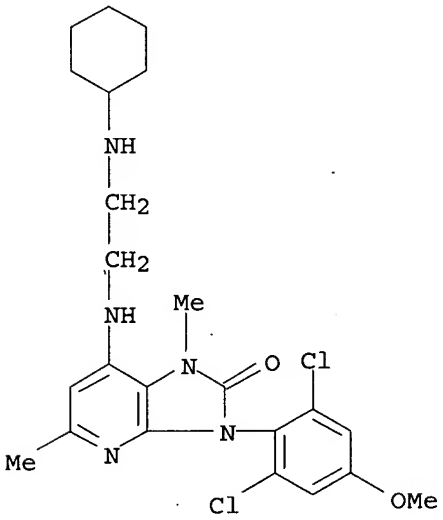
CN 2H-Imidazo[4,5-b]pyridin-2-one, 7-[[2-(cyclohexylamino)ethyl]amino]-3-(2,6-dichloro-4-methoxyphenyl)-1,3-dihydro-1,5-dimethyl- (9CI) (CA INDEX NAME)



RN 332142-55-9 HCAPLUS
CN 2H-Imidazo[4,5-b]pyridin-2-one, 3-(2,6-dichloro-4-methoxyphenyl)-7-[[2-[[2-(3,4-dimethoxyphenyl)ethyl]amino]ethyl]amino]-1,3-dihydro-1,5-dimethyl- (9CI) (CA INDEX NAME)

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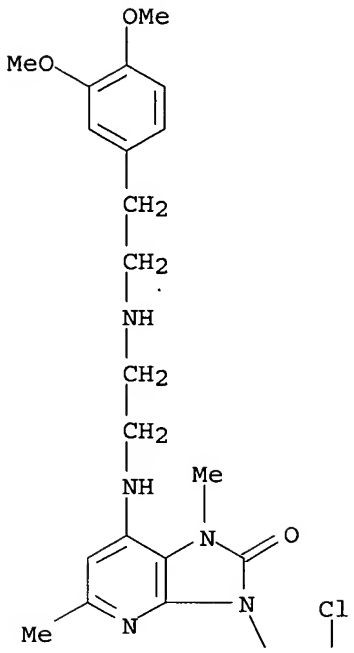

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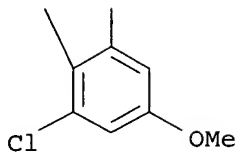

RN 332142-56-0 HCAPLUS
CN 2H-Imidazo[4,5-b]pyridin-2-one, 3-(2,6-dichloro-4-methoxyphenyl)-1,3-dihydro-1,5-dimethyl-7-[[2-[[1-(2-pyrimidinyl)-4-piperidinyl]amino]ethyl]amino]- (9CI) (CA INDEX NAME)

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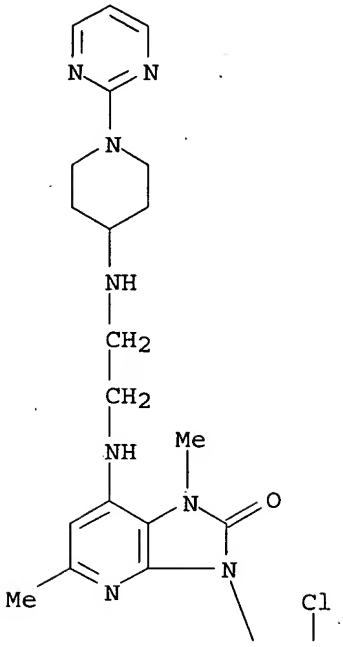

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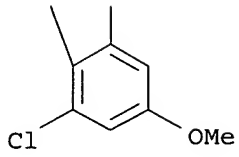

L20 ANSWER 26 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:806616 HCAPLUS
DOCUMENT NUMBER: 133:350243
TITLE: Preparation of aminoalkyl substituted 9H-pyrido[2,3-b]indoles and 9H-pyrimido[4,5-b]indoles as CRF1 and neuropeptide Y1 receptors antagonists
INVENTOR(S): Horvath, Raymond F.; Darrow, James W.; Maynard, George D.
PATENT ASSIGNEE(S): Neurogen Corporation, USA
SOURCE: U.S., 28 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6147085	A	20001114	US 1999-283409	19990401

JP 2002510688	T2	20020409	JP 2000-542321	19990401
US 6362186	B1	20020326	US 2000-707387	20001106
PRIORITY APPLN. INFO.:			US 1998-80451P	P 19980402
			US 1999-283409	A1 19990401
			WO 1999-US7254	W 19990401

OTHER SOURCE(S): MARPAT 133:350243
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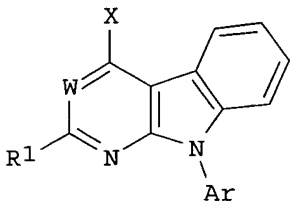


I

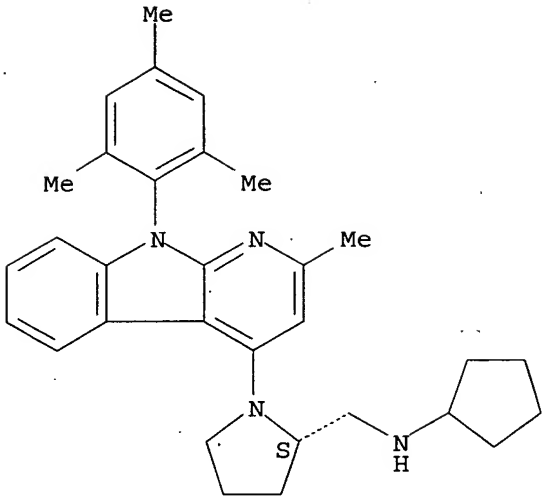
AB The title compds. [I; Ar = substituted Ph; R1 = H, halo, CF3, etc.; W = N, CH, C(alkyl); X = disubstituted NH2, piperazino, 4-triazolyl, etc.] which are (1) antagonists at CRF1 receptors and are, therefore, useful in the diagnosis and treatment of stress related disorders such as post traumatic stress disorder (PTSD) as well as depression, headache and anxiety, and (2) are neuropeptide Y1 receptor antagonists, and are therefore useful in the treatment of a variety of clin. conditions which are characterized by the presence of an excess of neuropeptide Y, were prepared E.g., a multi-step synthesis of I [W = CH; Ar = 2,4,6-Me3C6H2; R1 = Me; X = N-(2-pyrrolidinoethyl)-N-(cyclopropylmethyl)amino] was given. The binding affinities for the compds. I towards the CRF1 receptor and towards the NPY1 receptor were expressed as IC50 values and were less than 10 μM.

IT **245734-32-1P 245734-33-2P 245734-37-6P**
245734-38-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminoalkyl substituted 9H-pyrido[2,3-b]indoles and 9H-pyrimido[4,5-b]indoles as CRF1 and neuropeptide Y1 receptors antagonists)

RN 245734-32-1 HCAPLUS

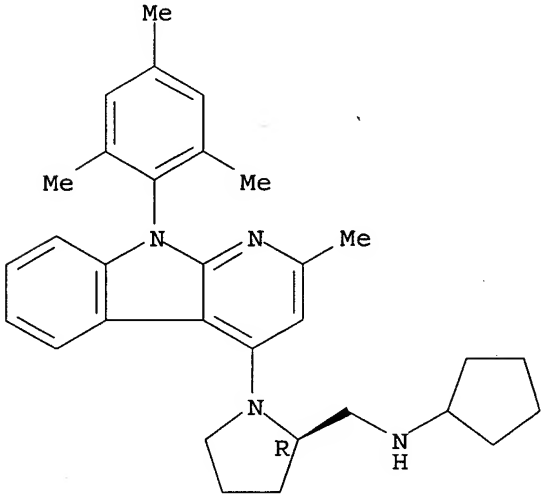
CN 2-Pyrrolidinemethanamine, N-cyclopentyl-1-[2-methyl-9-(2,4,6-trimethylphenyl)-9H-pyrido[2,3-b]indol-4-yl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



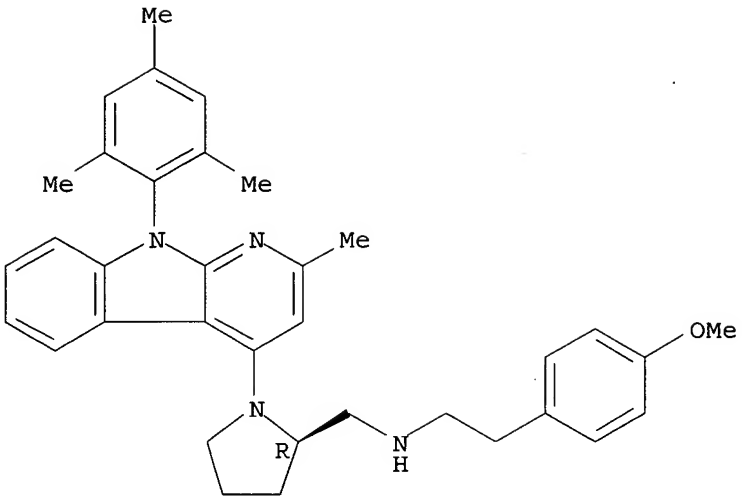
RN 245734-33-2 HCAPLUS
CN 2-Pyrrolidinemethanamine, N-cyclopentyl-1-[2-methyl-9-(2,4,6-trimethylphenyl)-9H-pyrido[2,3-b]indol-4-yl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



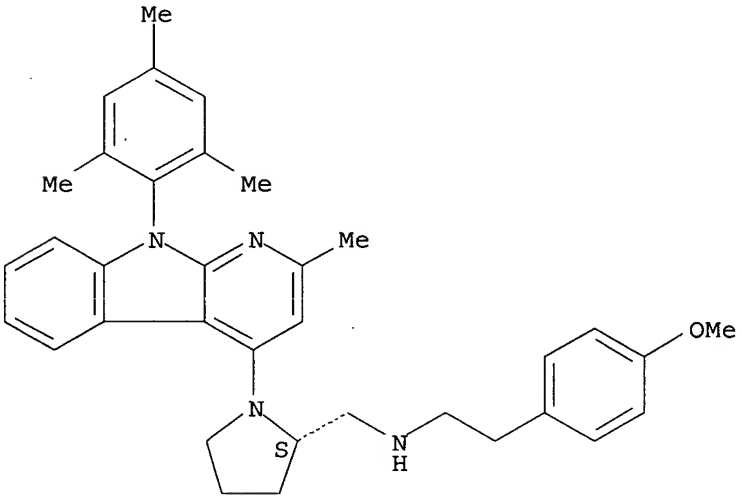
RN 245734-37-6 HCAPLUS
CN 2-Pyrrolidinemethanamine, N-[2-(4-methoxyphenyl)ethyl]-1-[2-methyl-9-(2,4,6-trimethylphenyl)-9H-pyrido[2,3-b]indol-4-yl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 245734-38-7 HCAPLUS
CN 2-Pyrrolidinemethanamine, N-[2-(4-methoxyphenyl)ethyl]-1-[2-methyl-9-(2,4,6-trimethylphenyl)-9H-pyrido[2,3-b]indol-4-yl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

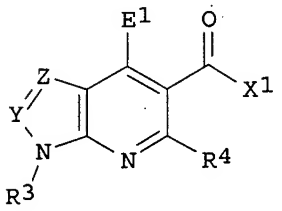
L20 ANSWER 27 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:190924 HCAPLUS
DOCUMENT NUMBER: 132:237088
TITLE: Preparation of fused pyridine inhibitors of cGMP phosphodiesterase
INVENTOR(S): Macor, John E.; Yu, Guixue
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

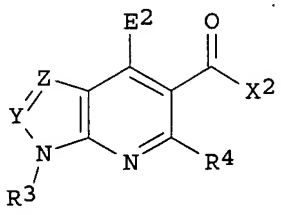
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000015222	A1	20000323	WO 1999-US21070	19990913
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6326379	B1	20011204	US 1999-393833	19990910
CA 2342583	AA	20000323	CA 1999-2342583	19990913
AU 9961438	A1	20000403	AU 1999-61438	19990913
AU 751486	B2	20020815		
EP 1113796	A1	20010711	EP 1999-948211	19990913
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1998-100665P P 19980916
WO 1999-US21070 W 19990913

OTHER SOURCE(S): MARPAT 132:237088
GI



I



II

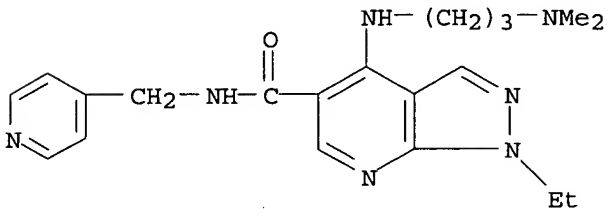
AB The title compds. [I or II; E1 = OR1, SR1, NH-A1-cycloalkyl, etc.; E2 = NH-A1-alkoxy, NH-A1-CO2alkyl, NH-A1-aryl, etc.; R1 = A1-cycloalkyl, A1-alkoxy, A1-aryl, etc.; X1 = OA1R2, OR9, NR9R10, etc.; X2 = OA1R25, N(R5)A2R25. etc.; X3 = OR9, OA1OR9, NR9R10, etc.; A1 = (un)substituted alkylene; Y = N, CR6; Z = N, CR7 with the proviso that at least one of Y and Z = N; R3 = H, alkyl, cycloalkyl, etc.; R6, R7 = H, alkyl, cycloalkyl, etc.; R4 = H, 1- or 3-imidazolyl, etc.; A2 = a direct bond, alkylene, alkenyl, etc.; R2 = cycloalkyl, aryl, heteroaryl, etc.; R25 = cycloalkyl, aryl, heteroaryl, etc.; R5 = H, alkyl, cycloalkyl, etc.; R9, R10 = H, alkyl, cycloalkyl, etc.], useful for treating a cGMP PDE (especially type V) associated condition such as erectile dysfunction, were prepared Thus, reacting 4-{[(3-chloro-4-methoxyphenyl)methyl]amino}-1-ethyl-1H-pyrazolo[3,4-b]pyridine-5-carboxylic acid with 4-aminomethylpyridine in the presence of EDAC.HCl, 1-hydroxybenzotriazole and Et3N in THF afforded 90% II [Y = N; Z = CH; E2 = 3-Cl-4-MeOC6H3CH2NH; X2 = 4-pyridynylmethylamino; R3 = Et; R4 = H]. Compds. I are effective at 0.05-100 mg/kg/day.

IT **261771-09-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused pyridine inhibitors of cGMP phosphodiesterase)

RN 261771-09-9 HCAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 4-[[3-(dimethylamino)propyl]amino]-1-ethyl-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

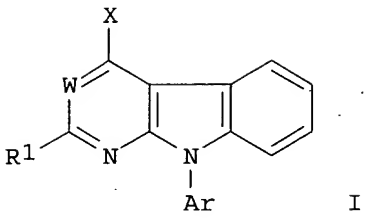
L20 ANSWER 28 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:659383 HCAPLUS
DOCUMENT NUMBER: 131:271871
TITLE: Preparation of aminoalkyl-substituted 9H-pyridino[2,3-b]indole and 9H-pyrimidino[4,5-b]indole derivatives as CRF1 and NPY1 receptor antagonists
INVENTOR(S): Horvath, Raymond F.; Darrow, James W.; Maynard, George D.
PATENT ASSIGNEE(S): Neurogen Corporation, USA
SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9951600	A1	19991014	WO 1999-US7254	19990401
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2326606	AA	19991014	CA 1999-2326606	19990401
AU 9934645	A1	19991025	AU 1999-34645	19990401
EP 1068207	A1	20010117	EP 1999-916294	19990401
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

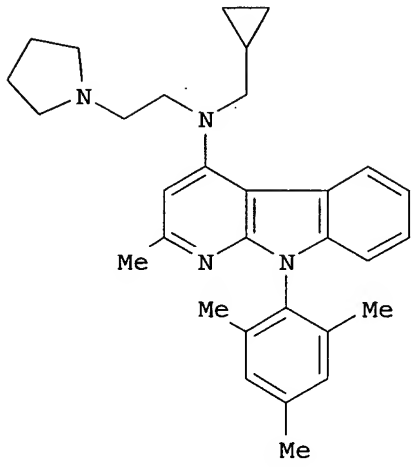
PRIORITY APPLN. INFO.: US 1998-80451P P 19980402
WO 1999-US7254 W 19990401

OTHER SOURCE(S): MARPAT 131:271871

GI



I



II

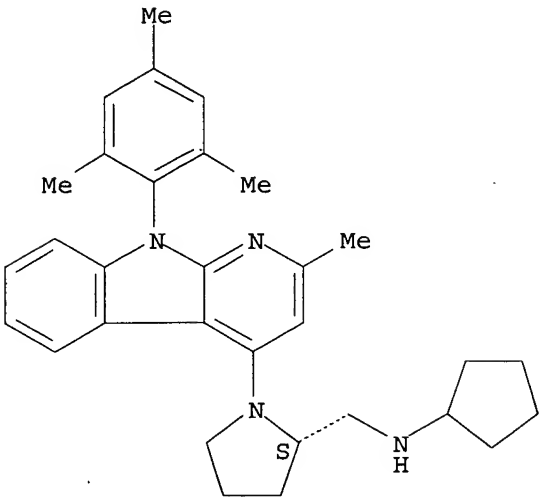
AB The title compds. I [where Ar = (un)substituted Ph, naphthyl, pyridyl, pyrimidinyl; R1 = H, halogen, CF3, (hydroxy)alkyl, alkoxyalkyl, alkylthioalkyl; W = N, CH, or alkyl-substituted C; X = disubstituted amino] were prepared as corticotropin-releasing factor (CRF1) and neuropeptide Y (NPY1) receptor antagonists. For example, 2-amino-4,5,6,7-tetrahydro-1-(2,4,6-trimethylphenyl)-1H-indole-3-carbonitrile was formed by reaction of 2,4,6-trimethylaniline and adipoin in toluene followed by addition of malonitrile and ammonium acetate. The carbonitrile was cyclized with 2-methoxypropene in dichloroethane and reduced over Pd/C to yield the 4-amino-9H-pyridino[2,3-b]indole. Addition of cyclopropanecarbonyl chloride followed by ClCH2COCl and pyrrolidine produced the disubstituted amino title compound II. The CRF1 receptor binding affinity for compds. of the invention was measured on membrane pellets containing CRF1 receptors and in IMR-32 cells; IC50 values ranged from 0.5 nM to 10 μM and < 10 μM, resp. Invention compds. were assayed for NPY1 receptor binding activity using NPY Y1 receptors harvested from baculovirus-infected Sf9 cells and showed IC50 values < 10 μM. The aminoalkyl-substituted 9H-pyridino[2,3-b]indole and 9H-pyrimidino[4,5-b]indole derivs. are claimed to be useful for the diagnosis and treatment of stress related disorders such as post traumatic stress disorder (PTSD), depression, headache, and anxiety, as well as a variety of clin. conditions characterized by the presence of an excess of neuropeptide Y.

IT **245734-32-1P 245734-33-2P 245734-37-6P**
245734-38-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of aminoalkyl-substituted 9H-pyridino[2,3-b]indole and 9H-pyrimidino[4,5-b]indole derivs. as CRF1 and NPY1 receptor antagonists for the treatment stress-related disorders and conditions resulting from excess NPY1)

RN 245734-32-1 HCAPLUS

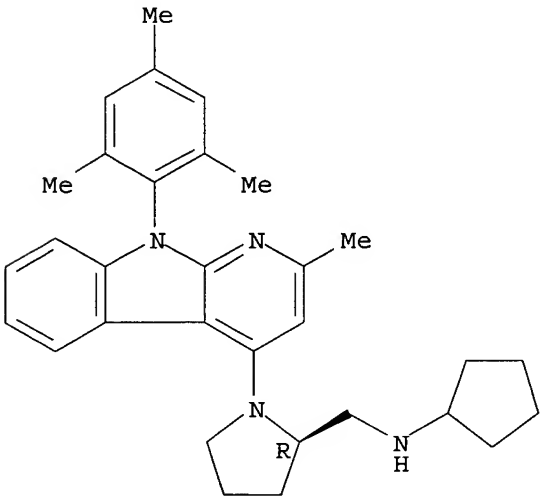
CN 2-Pyrrolidinemethanamine, N-cyclopentyl-1-[2-methyl-9-(2,4,6-trimethylphenyl)-9H-pyrido[2,3-b]indol-4-yl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



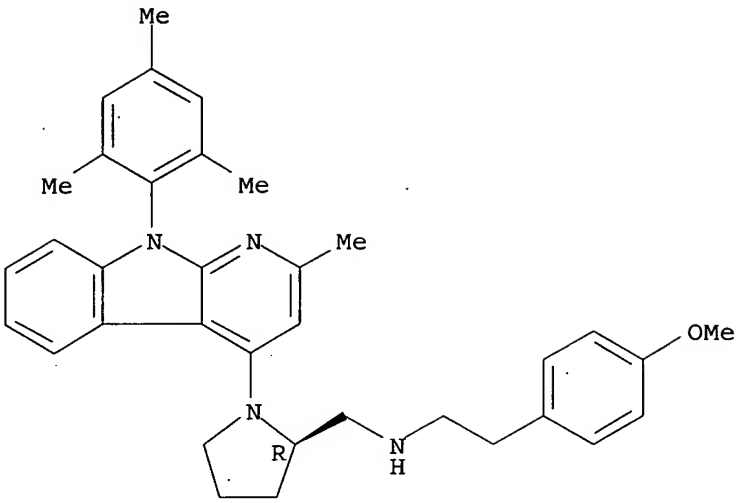
RN 245734-33-2 HCAPLUS
CN 2-Pyrrolidinemethanamine, N-cyclopentyl-1-[2-methyl-9-(2,4,6-trimethylphenyl)-9H-pyrido[2,3-b]indol-4-yl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



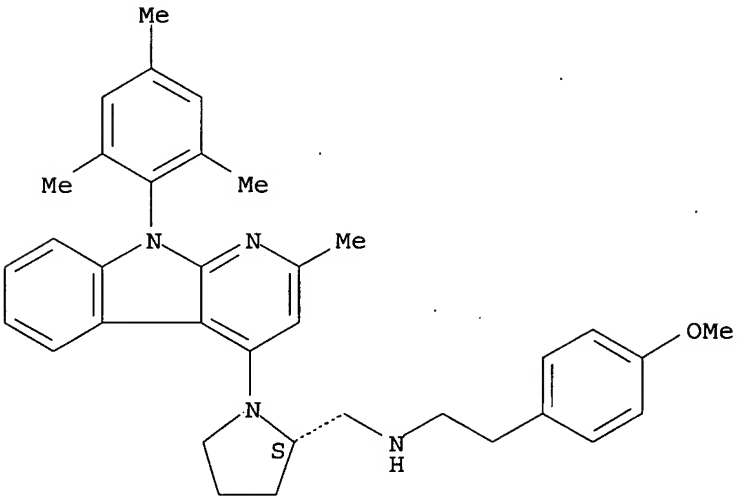
RN 245734-37-6 HCAPLUS
CN 2-Pyrrolidinemethanamine, N-[2-(4-methoxyphenyl)ethyl]-1-[2-methyl-9-(2,4,6-trimethylphenyl)-9H-pyrido[2,3-b]indol-4-yl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 245734-38-7 HCAPLUS
CN 2-Pyrrolidinemethanamine, N-[2-(4-methoxyphenyl)ethyl]-1-[2-methyl-9-(2,4,6-trimethylphenyl)-9H-pyrido[2,3-b]indol-4-yl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

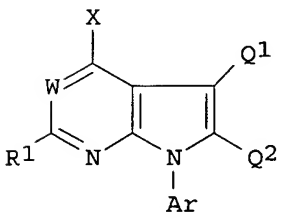
L20 ANSWER 29 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:659382 HCAPLUS
DOCUMENT NUMBER: 131:286502
TITLE: Aminoalkyl-substituted pyrrolo[2,3-b]pyridine and pyrrolo[2,3-d]pyrimidine derivatives as modulators of CRF1 receptors
INVENTOR(S): Ge, Ping; Horvath, Raymond F.; De Lombaert, Stephane
PATENT ASSIGNEE(S): Neurogen Corporation, USA; De Lombaert, Stephane
SOURCE: PCT Int. Appl., 70 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

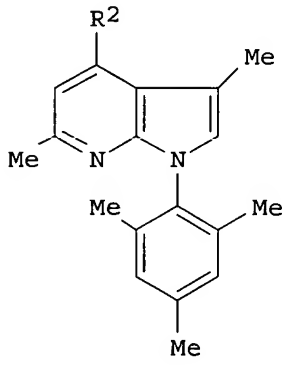
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9951599	A1	19991014	WO 1999-US7253		19990401
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2326383	AA	19991014	CA 1999-2326383		19990401
AU 9933787	A1	19991025	AU 1999-33787		19990401
EP 1068206	A1	20010117	EP 1999-915221		19990401
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
US 6310063	B1	20011030	US 1999-283410		19990401
JP 2002510687	T2	20020409	JP 2000-542320		19990401
US 6436932	B1	20020820	US 2001-947045		20010904

PRIORITY APPLN. INFO.:
US 1998-80434P P 19980402
US 1999-283410 A3 19990401
WO 1999-US7253 W 19990401

OTHER SOURCE(S): MARPAT 131:286502
GI



I



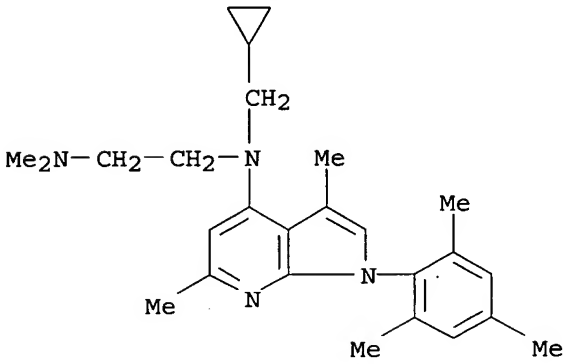
II

AB Title compds. I [Ar = (un)substituted Ph, naphthyl, pyridyl, pyrimidinyl; R1 = H, halogen, CF3, alkyl, hydroxyalkyl, alkoxyalkyl, mercaptoalkyl, alkylthioalkyl; Q1 = H, alkyl, halogen alkoxy, NH2, NHMe, NMe2, CH2OH, alkylthio, alkylsulfinyl, alkylsulfonyl, CN, OH, acyl, alkoxycarbonyl; Q2 = H, alkyl, halogen, CH2OH, CH2OMe, alkoxy; X = substituted NH2; W = N, CR2; R2 = H, alkyl] are water-soluble CRF1 receptor antagonists, and are therefore useful for the treatment of psychiatric disorders and neurol. diseases, including major depression, anxiety-related disorders, post-traumatic stress disorder, supranuclear palsy and feeding disorders, as well as treatment of immunol., cardiovascular or heart-related diseases

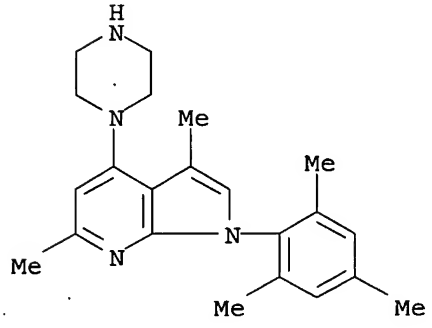
and colonic hypersensitivity associated with psychopathol. disturbance and stress (no data). Thus, II [R3 = NH2] was treated with cyclopropanecarbonyl chloride, followed by BH3-Me2S reduction The product was then treated with ClCH2COCl followed by BH3-Me2S reduction to give II [R3 = N-cycloropanecarbonyl-N-(2-chloroethyl)amino].

IT **246044-41-7P 246044-44-0P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminoalkyl-substituted pyrrolo[2,3-b]pyridines and pyrrolo[2,3-d]pyrimidines as modulators of CRF1 receptors)

RN 246044-41-7 HCAPLUS
CN 1,2-Ethanediamine, N-(cyclopropylmethyl)-N-[3,6-dimethyl-1-(2,4,6-trimethylphenyl)-1H-pyrrolo[2,3-b]pyridin-4-yl]-N',N'-dimethyl- (9CI) (CA INDEX NAME)



RN 246044-44-0 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 3,6-dimethyl-4-(1-piperazinyl)-1-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

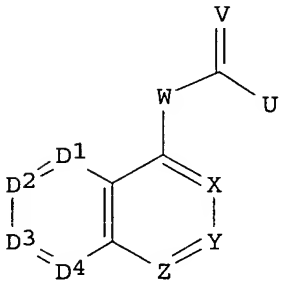
L20 ANSWER 30 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:659367 HCAPLUS
DOCUMENT NUMBER: 131:271888
TITLE: Preparation of nitrogenous heterocyclic compounds for inhibiting phosphorylation of PDGF receptors
INVENTOR(S): Matsuno, Kenji; Nomoto, Yuji; Ichimura, Michio; Ide,

Shin-ichi; Oda, Shoji
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9951582	A1	19991014	WO 1999-JP1665	19990331
W: AU, BG, BR, CA, CN, CZ, HU, ID, IL, IN, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2326324	AA	19991014	CA 1999-2326324	19990331
AU 9930539	A1	19991025	AU 1999-30539	19990331
EP 1067123	A1	20010110	EP 1999-912061	19990331
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
US 6423716	B1	20020723	US 2000-647490	20000929

PRIORITY APPLN. INFO.: JP 1998-87514 A 19980331
WO 1999-JP1665 W 19990331

OTHER SOURCE(S): MARPAT 131:271888
GI



I

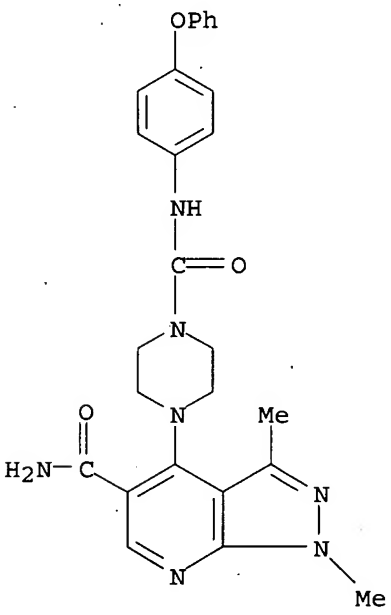
AB Nitrogenous heterocyclic compds. [I; W = 1,4-piperazinediyl, etc.; U = NR1R2 (wherein R1 = H, (un)substituted alkyl, etc.; R2 = H, etc.), OR4 or SR5 (wherein R4, R5 = (un)substituted alkyl, alicyclic alkyl, heterocyclic, etc.); V = O, S, NR6, or CR7R8 (wherein R6 = R1, cyano, OH, NO2, etc.; R7, R8 = H, cyano, NO2, etc.); at least one of X, Y, and Z = N and the remainder are the same or different and each represents N or CRA (wherein RA = R1, halo, cyano, NO2, etc.); and D1, D2, D3, and D4 each independently = N, O, S, CRB (wherein RB = RA), etc. or any adjacent two of D1-D4 in combination = N, O, S, etc.] or pharmacol. acceptable salts thereof, effective in inhibiting phosphorylation of PDGF receptors and in treating cell proliferation diseases such as arteriosclerosis, vascular reocclusion, cancers, glomerulosclerosis, etc., are prepared CF3CO2H was added to a solution of tert-Bu 4-[(4-phenoxyphenyl)carbamoyl]-1-piperazinecarboxylate in CH2Cl2 with stirring under cooling, the concentrate was dissolved in DMF containing Et3N and the solution was treated with 6-chloropurine

under Ar at room temperature to give 71% N-(4-phenoxyphenyl)-4-(6-purinyl)-1-piperazinecarboxamide, which showed IC50 of 0.29 μM against phosphorylation of PDGF receptor. Four addnl. I showed 66-95% inhibition. Tablet, powder and syrup formulations were given.

IT **245449-34-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nitrogenous heterocyclic compds. for inhibiting phosphorylation of PDGF receptors)

RN 245449-34-7 HCAPLUS

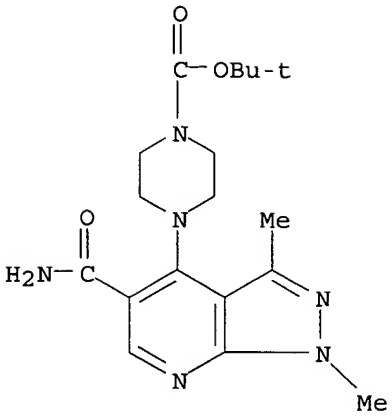
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 1,3-dimethyl-4-[4-[[(4-phenoxyphenyl)amino]carbonyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



IT **245449-96-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of nitrogenous heterocyclic compds. for inhibiting phosphorylation of PDGF receptors)

RN 245449-96-1 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[5-(aminocarbonyl)-1,3-dimethyl-1H-pyrazolo[3,4-b]pyridin-4-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



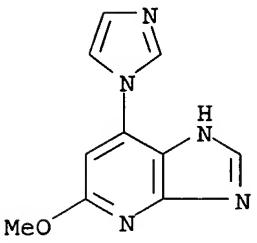
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 31 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:216710 HCAPLUS
DOCUMENT NUMBER: 130:352253
TITLE: Reactions of 2,3-dihydrospiro[1H-4- and 5-azabenzimidazole-2,1'-cyclohexane] with nucleophiles: a potential route to some substituted aromatic heterocycles
AUTHOR(S): Reizner, Ralf; Kramer, Walter; Neidlein, Richard; Suschitzky, Hans
CORPORATE SOURCE: Pharmazeutisch-Chemisches Institut der Universitat Heidelberg, Heidelberg, D-69120, Germany
SOURCE: Journal of Heterocyclic Chemistry (1999), 36(1), 117-128
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:352253

AB The readily available title compds. react with pseudo-halogens (cyanide, azide), carbon and heterocyclic N-nucleophiles in the presence of manganese dioxide to give corresponding substituted azaisobenzimidazoles or dihydroazabenzimidazoles. Suitable starting materials were 1',3'-dihydrospiro[cyclohexane-1,2'-[2H]imidazo[4,5-b]pyridine], 1',3'-dihydro-6'-bromospiro[cyclohexane-1,2'-[2H]imidazo[4,5-b]pyridine] and 1',3'-dihydrospiro[cyclohexane-1,2'-[2H]imidazo[4,5-c]pyridine]. Treatment of 6'-bromo-2,3-dihydro-4-azabenzimidazole with morpholine or piperidine results in loss of a bromine atom presumably by an AEa-mechanism. Reduction of the substituted azaisobenzimidazoles with sodium hydrosulfite followed by fission of the cyclohexane ring leads to substituted o-diaminopyridines. They were cyclized in situ with various condensing agents to give new heterocyclic systems. Equimolar mixts. of some azaisobenzimidazoles and dihydroazabenzimidazoles lead to the formation of colored charge transfer complexes stable only in the solid state. Owing to poor electron-acceptor properties the complex dissocs. in solution

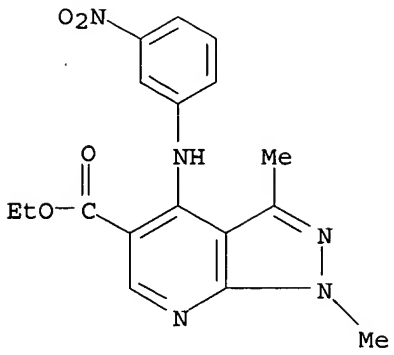
IT **224193-76-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 224193-76-4 HCAPLUS

CN 1H-Imidazo[4,5-b]pyridine, 7-(1H-imidazol-1-yl)-5-methoxy- (9CI) (CA INDEX NAME)



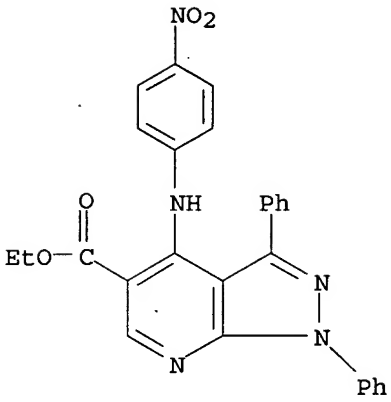
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 32 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:82851 HCAPLUS
DOCUMENT NUMBER: 130:196605
TITLE: New derivatives of 1H-pyrazolo[3,4-b]pyridine heterocyclic system: synthesis and hydrogen and carbon assignments by 1D and 2D NMR
AUTHOR(S): De Mello, Heloisa; Da Silva, Edson Fernandes; Echevarria, Aurea; De Carvalho, Mario Geraldo
CORPORATE SOURCE: Departamento de Quimica - Instituto de Ciencias Exatas, Universidade Federal Rural do Rio de Janeiro, Seropedica, 23851-970, Brazil
SOURCE: Quimica Nova (1999), 22(1), 26-30
CODEN: QUNODK; ISSN: 0100-4042
PUBLISHER: Sociedade Brasileira de Quimica
DOCUMENT TYPE: Journal
LANGUAGE: Portuguese
AB The synthesis and NMR anal. of seven new 4-(aryl)amino-5-carboethoxy-1,3-dimethyl-1H-pyrazolo[3,4-b]pyridines are described. The synthetic approach used involved the reaction of 5-amino-1,3-dimethylpyrazole with EtOCH:C(CO2Et)2, cyclization of the enamine with POCl3, and amination. The structures of the new heterocyclic compds. and their precursors were assigned on the basis of spectral anal. including 1D and 2D NMR expts. [1H; 13C(1H) and DEPT; 1H + 1H - COSY; 1H + 13C - COSY, nJCH, n = 1, 2 or 3 (HETECOR and COLOC)].
IT **220855-79-8P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and NMR of anilinopyrazolopyridinecarboxylates)
RN 220855-79-8 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 1,3-dimethyl-4-[(3-nitrophenyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



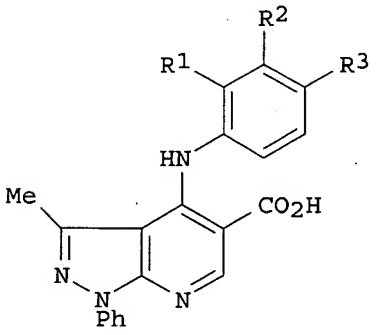
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 33 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:722684 HCAPLUS
DOCUMENT NUMBER: 126:31306
TITLE: Synthesis of new 1H-pyrazolo[3,4-b]pyridine derivatives
AUTHOR(S): Bernardino, Alice M. R.; Romerio, Gilberto A.; Mello, Heloisa; de Souza, Maria C. B. V.; Ferreira, Vitor F.
CORPORATE SOURCE: Inst. de Quimica, Univ. Federal Fluminense, Rio de Janeiro, 24020-150, Brazil
SOURCE: Heterocyclic Communications (1996), 2(5), 415-416
CODEN: HCOMEX; ISSN: 0793-0283
PUBLISHER: Freund
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of new 4-anilino-1H-pyrazolo[3,4]pyridine-5-carboxylic acid esters was synthesized as part of a program of study of potential antimalarial drugs. These compds. were obtained by a condensation reaction of 4-chloro-1H-pyrazolo[3,4-b]pyridine with several aniline derivs. Some of them were also obtained by an alternative pathway involving a Mannich-type reaction with the 4-anilino derivs.
IT **184580-23-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 184580-23-2 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-[(4-nitrophenyl)amino]-1,3-diphenyl-, ethyl ester (9CI) (CA INDEX NAME)

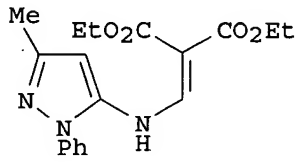


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

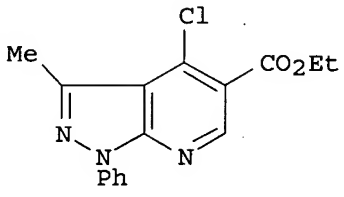
L20 ANSWER 34 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:594902 HCAPLUS
DOCUMENT NUMBER: 126:18816
TITLE: Synthesis of 4-anilino-1H-pyrazolo[3,4-b]pyridine derivatives and their in vitro antiviral activities
AUTHOR(S): Bernardino, A. M. R.; Ferreira, V. F.; Fontoura, G. A. T.; Frugulhetti, I. C. P. P.; Lee, M. Y.; Romeiro, G. A.; Souza, M. C. B.; Sa, P. M.
CORPORATE SOURCE: Inst. Quim., Univ. Federal Fluminense, Rio de Janeiro, 24020-150, Brazil .
SOURCE: Journal of the Brazilian Chemical Society (1996), 7(5), 273-277
CODEN: JOCSET; ISSN: 0103-5053
PUBLISHER: Sociedade Brasileira de Quimica
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



II



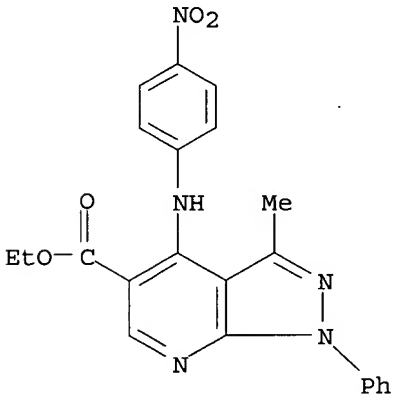
III

AB Five new 1H-pyrazolo[3,4-b]pyridine derivs. I [R1 = H, Me, Cl, R2 = R3 = H; R1 = R2 = H, R3 = Me, NO2] were prepared and evaluated for their effect on the catalytic activity of recombinant HIV-1 reverse transcriptase (RT) and on human DNA polymerases α and ε (DNAP). The preparation involved 4 steps: (1) condensation of 5-amino-3-methyl-1-phenylpyrazole with EtOCH:C(CO2Et)2; (2) cyclization of the resulting enamine II using POCl3; (3) condensation of the resulting chloropyrazolopyridine ester III with a corresponding aniline derivative; and (4) hydrolysis of the ester function using aqueous NaOH. Some I inhibited RT activity at micromolar concns., but they did not generally inhibit human placental DNAP α or ε at millimolar concns., thus indicating potentially low cytotoxicity.

IT **183546-46-5P**, 4-(4-Nitroanilino)-5-carbethoxy-3-methyl-1-phenyl-1H-pyrazolo[3,4-b]pyridine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of anilinopyrazolopyridines as antivirals)

RN 183546-46-5 HCAPLUS

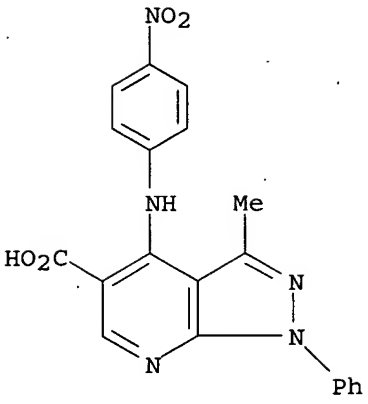
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 3-methyl-4-[(4-nitrophenyl)amino]-1-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



IT **183546-56-7P**, 4-(4-Nitroanilino)-3-methyl-1-phenyl-1H-pyrazolo[3,4-b]pyridine-5-carboxylic acid
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of anilinopyrazolopyridines as antivirals)

RN 183546-56-7 HCAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 3-methyl-4-[(4-nitrophenyl)amino]-1-phenyl- (9CI) (CA INDEX NAME)



L20 ANSWER 35 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:213024 HCAPLUS
DOCUMENT NUMBER: 118:213024
TITLE: The synthesis of heterocycles via addition-elimination reactions of 4- and 5-aminoimidazoles
AUTHOR(S): Al-Shaar, Adnan H. M.; Chambers, Robert K.; Gilmour, David W.; Lythgoe, David J.; McClenaghan, Ian; Ramsden, Christopher A.
CORPORATE SOURCE: Dagenham Res. Cent., Rhone-Poulenc Rorer Ltd., Dagenham/Essex, RM10 7XS, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1992), (21), 2789-811
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 118:213024
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

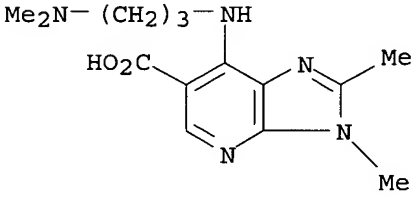
AB 4-Aminoimidazoles, e.g. I (R = H, R1 = H, Me, CH2Ph; R2 = H, Me, Et, CHMe2), undergo addition elimination reactions with electrophilic reagents to give exclusively N-adducts, which are useful intermediates for further synthetic transformations to novel heterocyclic systems. Thus, di-Et ethoxymethylenemalonate (II) and 4-amino-1-benzylimidazole give the adduct I [R = HC:C(CO2Et)2, R1 = CH2Ph, R2 = H], and subsequent acid-catalyzed cyclization gives the imidazo[4,5-b]pyridine III and a heterocyclic mesomeric betaine, which undergoes 1,3-dipolar cycloaddn. with di-Me acetylenedicarboxylate to give two products. When the 2-alkyl-4-aminoimidazoles I (R = R1 = H, R2 = Me, Et, CHMe2) are generated in situ in the presence of II, 5,5'-diimidazoles are significant products; a mechanism for this novel transformation is proposed. 4-Amino-3-cyanoimidazo[1,5-a]pyrimidines IV (R = H, Me) are formed by cyclization of the N-adduct of I (R = R1 = R2 = H) and CR3(OEt):C(CN)2 (R3 = H, Me). The use of X:NCN [V; X = CH(OEt), CMe(OEt), C(SMe)2] leads to novel 4-aminoimidazo[1,5-a]-1,3,5-triazine derivs. VI (R1 = H, Me, SMe; R2 = H, Me), whose chemical reactions with both electrophilic and nucleophilic reagents are reported. 5-Aminoimidazoles, e.g., VII (R = H, R1 = Me,

CH2CH2OH; R2 = Me, CHMe2), undergo addition-elimination reactions with the electrophilic reagents, e.g. II and V, to give N-adducts and/or C-adducts, depending upon the structure of the reagent. These stable addition-elimination products are usually obtained in good yield and are useful intermediates for further synthesis. Reaction of the amines VII with II gives mainly N-adducts VII [R = HC:C(CO2Et)2], which can be cyclized using phosphoryl chloride to give the versatile 7-chloroimidazo[4,5-b]pyridines VIII. With ethoxymethylenemalononitrile, the amines VII give C-adducts, which undergo thermal cyclization to give 5-amino-6-cyanoimidazo[4,5-b]pyridines IX, which are further transformed into novel heterocyclic systems, including the tricyclic imidazo[4',5':5,6]pyrido[2,3-d]pyrimidines X (R = H, Ph) and XI (R = H, Bu, CH2Ph, CH2CH2OH). Cyclization of the adducts obtained using V provides new synthetic route to aminopurine derivs., e.g. XII (R3 = H, NH2, SMe), and hypoxanthines. The preference of electrophilic reagents for N- or C-addition to VII is rationalized using Frontier MO theory.

IT **145838-12-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and decarboxylation of)

RN 145838-12-6 HCAPLUS

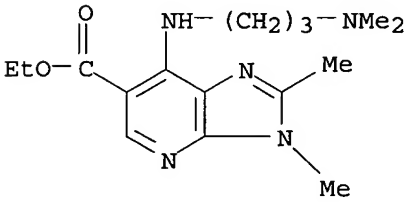
CN 3H-Imidazo[4,5-b]pyridine-6-carboxylic acid, 7-[[3-(dimethylamino)propyl]amino]-2,3-dimethyl- (9CI) (CA INDEX NAME)



IT **145837-93-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and saponification of)

RN 145837-93-0 HCAPLUS

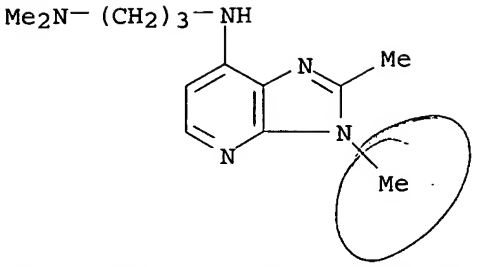
CN 3H-Imidazo[4,5-b]pyridine-6-carboxylic acid, 7-[[3-(dimethylamino)propyl]amino]-2,3-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)



IT **145838-20-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 145838-20-6 HCAPLUS

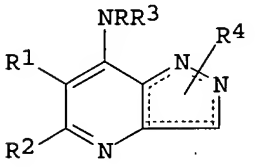
CN 1,3-Propanediamine, N'-(2,3-dimethyl-3H-imidazo[4,5-b]pyridin-7-yl)-N,N-dimethyl- (9CI) (CA INDEX NAME)



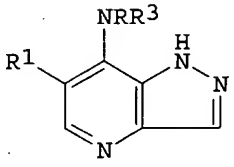
L20 ANSWER 36 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1988:94548 HCAPLUS
DOCUMENT NUMBER: 108:94548
TITLE: Preparation of pyrazolo[4,3-b]pyridinamines as antiinflammatories
INVENTOR(S): Hughes, Ian; Markwell, Roger Edward; Ward, Robert William
PATENT ASSIGNEE(S): Beecham Group PLC, UK
SOURCE: Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 243055	A2	19871028	EP 1987-303177	19870410
EP 243055	A3	19890531		
R: BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DK 8701999	A	19871018	DK 1987-1999	19870415
AU 8771565	A1	19871022	AU 1987-71565	19870415
ZA 8702702	A	19880727	ZA 1987-2702	19870415
US 4818754	A	19890404	US 1987-39540	19870416
JP 62289579	A2	19871216	JP 1987-93424	19870417

PRIORITY APPLN. INFO.: GB 1986-9421 A 19860417
GI



I



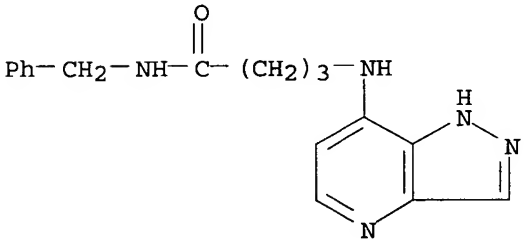
II

AB The title compds. [I; R, R1 = H, C1-6 alkyl; R2 = H, C2-5 alkanoyl, cyano, (un)modified CO2H, (un)substituted alkyl, Ph; R1R2 = alkyl-(un)substituted (CH2)3-6; R3 = carboxyalkyl, acyloxyalkyl, acylaminoalkyl, carbamoylalkyl, heterocyclylalkyl, etc.; R4 = H, C1-4 alkyl, (un)substituted PhCH2; dotted line indicates 2 double bonds present in pyrazole ring] were prepared as antiinflammatory agents. Et 7-chloro-1H-pyrazolo[4,3-b]pyridine-6-carboxylate was treated with H2N(CH2)3CO2Et.HCl to give aminopyrazolopyridine II [R = H, R1 = CO2Et, R3 = EtO2C(CH2)3 (Q)] which was saponified and thermally decarboxylated and cyclized to give II (RR3N =

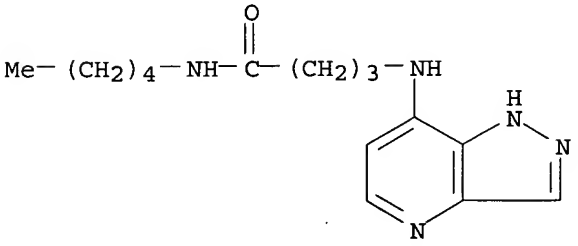
2-oxopyrrolidino, R1 = H). The latter was cleaved with aqueous NaOH and esterified to give II (R = R1 = H, R3 = Q) (III). In topical application to mouse ears 500 μg III gave 94.6% inhibition of cantharidin-induced inflammation.

IT **112915-66-9P 112915-69-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as topical antiinflammatory)

RN 112915-66-9 HCAPLUS
CN Butanamide, N-(phenylmethyl)-4-(1H-pyrazolo[4,3-b]pyridin-7-ylamino)- (9CI) (CA INDEX NAME)



RN 112915-69-2 HCAPLUS
CN Butanamide, N-pentyl-4-(1H-pyrazolo[4,3-b]pyridin-7-ylamino)- (9CI) (CA INDEX NAME)



L20 ANSWER 37 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1987:207672 HCAPLUS
DOCUMENT NUMBER: 106:207672
TITLE: Preparation of 7-substituted aminopyrazolo[4,3-b]pyridines for use as antiinflammatory and antiallergic agents
PATENT ASSIGNEE(S): Beecham Group PLC, UK
SOURCE: Austrian, 17 pp.
CODEN: AUXXAK
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AT 382377	B	19870225	AT 1985-2047	19850710
AT 8502047	A	19860715		
DK 8503182	A	19870112	DK 1985-3182	19850711

US 4670432	A	19870602	US 1986-852152		19860415
PRIORITY APPLN. INFO.:			GB 1984-4584	A	19840222
			EP 1985-101566	A	19850213
			AU 1985-38972	A	19850220
			CA 1985-474776	A	19850220
			GR 1985-441	A	19850220
			IE 1985-420	A	19850220
			NZ 1985-211166	A	19850220
			ZA 1985-1281	A	19850220
			ES 1985-540609	A	19850221
			JP 1985-31699	A	19850221
			MX 1985-11459	A	19850221
			US 1985-704611	A2	19850222
			AT 1985-2047	A	19850710
			DK 1985-3182	A	19850711
			PT 1985-80011	A	19850823
			GB 1986-4698	A	19860226

OTHER SOURCE(S): CASREACT 106:207672

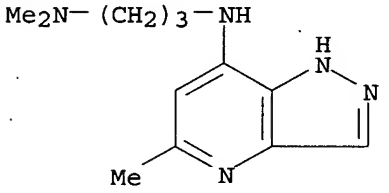
GI For diagram(s), see printed CA Issue.

AB The title compds. (I; Q = NR2CR3R4R5; R1 = H, (substituted) C1-6 alkyl, substituted Ph; R2 = H, C1-6 alkyl; R3 = substituted C2-10 alkenyl, substituted C1-10 alkyl; R4, R5 = H, C1-4 alkyl; R6 = H, C1-4 alkyl, or CH2Ph attached to one of the pyrazole N atoms; R7 = H) are prepared as inflammation inhibitors and allergy inhibitors. I (R1 = Me; R6 = 1-H; R7 = H; Q = NHCH2CH:CH2) (II) (200 μg topically) provided 88% inhibition of ear edema in mice produced by topical application of 25 μg cantharidin. II was prepared by condensation of 4-aminopyrazole with Et acetoacetate, cyclization of the product to 1,4-dihydro-5-methylpyrazolo[4,3-b]pyridin-7-one, conversion with POCl3 to 7-chloro-5-methyl-1H-pyrazolo[4,3-b]pyridine, and condensation with allylamine.

IT **99930-19-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as allergy inhibitor and inflammation inhibitor)

RN 99930-19-5 HCAPLUS

CN 1,3-Propanediamine, N,N-dimethyl-N'-(5-methyl-1H-pyrazolo[4,3-b]pyridin-7-yl)- (9CI) (CA INDEX NAME)



L20 ANSWER 38 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	1986:50871 HCAPLUS
DOCUMENT NUMBER:	104:50871
TITLE:	Pyrazolopyridine derivatives
INVENTOR(S):	Ward, Robert William; Markwell, Roger Edward
PATENT ASSIGNEE(S):	Beecham Group PLC, UK
SOURCE:	Eur. Pat. Appl., 35 pp. CODEN: EPXXDW
DOCUMENT TYPE:	Patent
LANGUAGE:	English

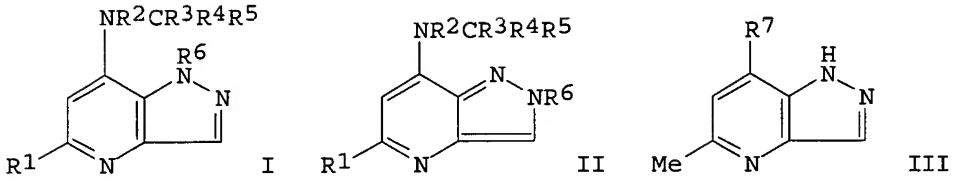
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 152910	A2	19850828	EP 1985-101566	19850213
EP 152910	A3	19860716		
EP 152910	B1	19890712		
R: BE, CH, DE, FR, GB, IT, LI, NL, SE				
AU 8538972	A1	19850829	AU 1985-38972	19850220
AU 581207	B2	19890216		
ZA 8501281	A	19851127	ZA 1985-1281	19850220
CA 1242714	A1	19881004	CA 1985-474776	19850220
JP 60193987	A2	19851002	JP 1985-31699	19850221
ES 540609	A1	19860416	ES 1985-540609	19850221
US 4670432	A	19870602	US 1986-852152	19860415

PRIORITY APPLN. INFO.:

GB 1984-4584	A	19840222
EP 1985-101566	A	19850213
AU 1985-38972	A	19850220
CA 1985-474776	A	19850220
GR 1985-441	A	19850220
IE 1985-420	A	19850220
NZ 1985-211166	A	19850220
ZA 1985-1281	A	19850220
ES 1985-540609	A	19850221
JP 1985-31699	A	19850221
MX 1985-11459	A	19850221
US 1985-704611	A2	19850222
AT 1985-2047	A	19850710
DK 1985-3182	A	19850711
PT 1985-80011	A	19850823
GB 1986-4698	A	19860226

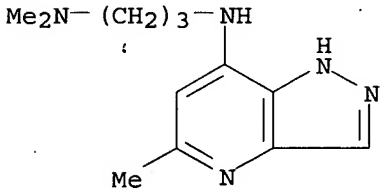
GI



AB 7-Amino-1H-pyrazolo[4,3-b]pyridines I [R1 = H, alkyl, (un)substituted Ph; R2, R4, R5 = H, alkyl; R3 = alkenyl, (un)substituted alkyl; R6 = H, alkyl, PhCH2] and their 2H tautomers II were prepared Thus, pyrazole was nitrated to give 4-nitropyrazole, which was hydrogenated over Pd/C to give 4-aminopyrazole. The latter was condensed with MeCOCH2CO2Et to give Et 3-(pyrazol-4-ylamino)crotonate, which was cyclized by refluxing in Dowtherm A to give 1,4-dihydro-5-methyl-7H-pyrazolo[4,3-b]pyridin-7-one. This compound was chlorinated with POCl3 to give pyrazolopyridine III (R7 = Cl), which was aminolyzed with CH2:CHCH2NH2 to give III (R7 = CH2:CHCH2NH) (IV). In mice, 200 mg IV applied topically to the ear gave 62% inhibition of oxazolone-induced inflammation.

IT **99930-19-5P**

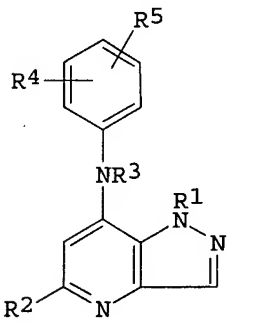
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as inflammation inhibitor)
RN 99930-19-5 HCAPLUS
CN 1,3-Propanediamine, N,N-dimethyl-N'-(5-methyl-1H-pyrazolo[4,3-b]pyridin-7-yl)- (9CI) (CA INDEX NAME)



L20 ANSWER 39 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1986:34076 HCAPLUS
DOCUMENT NUMBER: 104:34076
TITLE: Pyrazolopyridine derivatives
INVENTOR(S): Hurst, Jim; May, Josephine Barker
PATENT ASSIGNEE(S): Beecham Group PLC, UK
SOURCE: Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 151962	A2	19850821	EP 1985-100558		19850119
EP 151962	A3	19851002			
R: BE, CH, DE, FR, GB, IT, LI, NL, SE					
AU 8538007	A1	19850801	AU 1985-38007		19850123
ZA 8500533	A	19851127	ZA 1985-533		19850123
US 4576952	A	19860318	US 1985-693731		19850123
ES 539822	A1	19860516	ES 1985-539822		19850124
JP 60174785	A2	19850909	JP 1985-12341		19850125
PRIORITY APPLN. INFO.:			GB 1984-1868	A	19840125
			GB 1984-30012	A	19841128

GI



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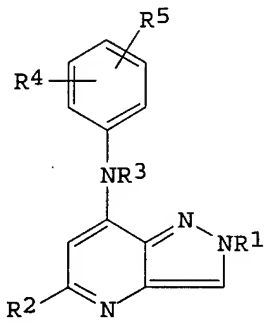


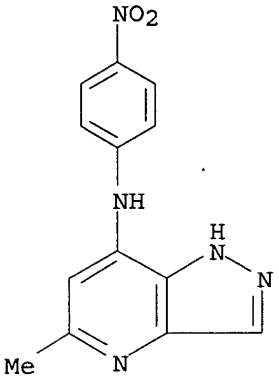
II

AB Pyrazolopyridines I and II [R1 = H, alkyl, benzyl; R2 = H, alkyl, Ph, halo, (trifluoromethyl)-, alkoxy-, or alkylphenyl; R3 = H, alkyl; R4 = OH, NO2, cyano, acyloxy, amino, CO2H, carbalkoxy, carbamoyl; R5 = H, halo, CF3, alkoxy, alkyl, R4] were prepared and showed antiinflammatory activity. A chloropyrazolopyridine derivative was heated with 4-H2NC6H4CN, the solid obtained was dissolved in a water-MeOH mixture, and the solution was adjusted to pH 8 to give I (R1 = R3 = R5 = H, R2 = Me, R4 = 4-cyano).

IT **99592-02-6P 99592-08-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion of, to base)

RN 99592-02-6 HCAPLUS

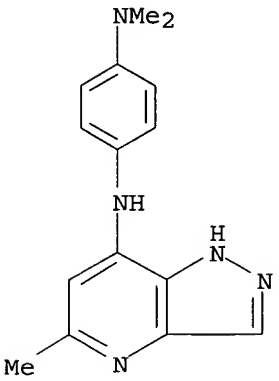
CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 5-methyl-N-(4-nitrophenyl)-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

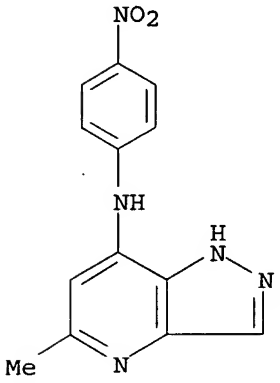
RN 99592-08-2 HCAPLUS

CN 1,4-Benzenediamine, N,N-dimethyl-N'-(5-methyl-1H-pyrazolo[4,3-b]pyridin-7-yl)-, hydrochloride (9CI) (CA INDEX NAME)

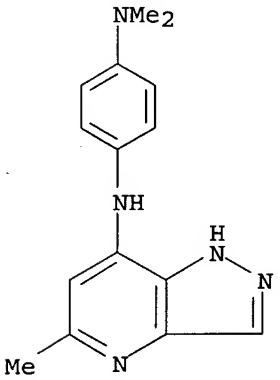


●x HCl

IT **99592-01-5P 99592-07-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 99592-01-5 HCAPLUS
CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 5-methyl-N-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 99592-07-1 HCAPLUS
CN 1,4-Benzenediamine, N,N-dimethyl-N'-(5-methyl-1H-pyrazolo[4,3-b]pyridin-7-yl)- (9CI) (CA INDEX NAME)

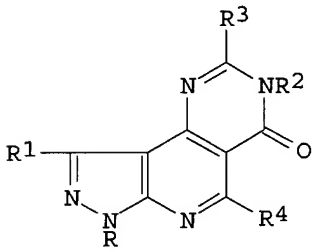


L20 ANSWER 40 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1978:190885 HCAPLUS
DOCUMENT NUMBER: 88:190885
TITLE: 3,7-Dihydro- and 1,7-dihydro-4H-pyrazolo[4',3':5,6]-pyrido[4,3-d]pyrimidin-4-ones
INVENTOR(S): Denzel, Theodor; Hoehn, Hans
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4072681	A	19780207	US 1977-773562	19770302
CA 1091667	A1	19801216	CA 1978-296875	19780215
GB 1597091	A	19810903	GB 1978-6858	19780221
DE 2809033	A1	19780907	DE 1978-2809033	19780302
JP 53109000	A2	19780922	JP 1978-24360	19780302
FR 2382452	A1	19780929	FR 1978-6020	19780302
FR 2382452	B1	19801219		

PRIORITY APPLN. INFO.: US 1977-773562 A 19770302

GI



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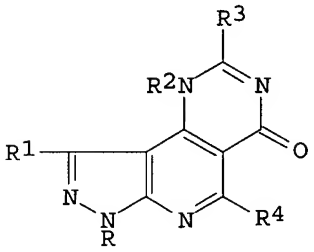


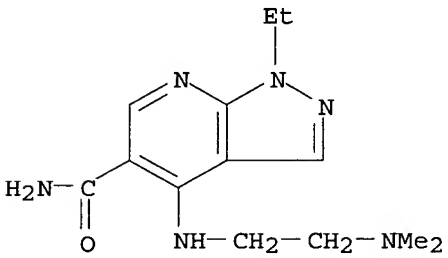
II

AB The title compds. I and II (R = H, lower alkyl, phenyl; R1, R3, R4 = H, lower alkyl; R2 = H, lower alkyl, Ph, Ph substituted by 1 or 2 halo, lower alkyl, lower alkoxy, phenyl-lower alkyl, di(lower alkyl)amino-lower alkyl) were prepared Thus, 4-amino-1-ethylpyrazolo[3,4-b]pyridine-5-carboxylic acid was aminated with SOCl2 and NH3 followed by cyclization with HC(OEt)3 to give II (R = Et, R1-R4 = H). At 10-50 mg/kg/day I and II were antiinflammatory and at 10-15 mg/kg/day had central nervous system depressant activity.

IT **66373-21-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with tri-Et orthoformate)

RN 66373-21-5 HCAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 4-[[2-(dimethylamino)ethyl]amino]-1-ethyl- (9CI) (CA INDEX NAME)



L20 ANSWER 41 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1978:6799 HCAPLUS

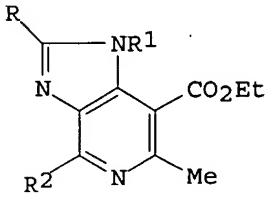
DOCUMENT NUMBER: 88:6799

TITLE: Imidazo[4,5-c]- and [4,5-b]pyridines

AUTHOR(S): Denzel, Theodor; Hoehn, Hans

CORPORATE SOURCE: Chem. Fabr. Von Heyden G.m.b.H., Regensburg, Fed. Rep. Ger.
SOURCE: Journal of Heterocyclic Chemistry (1977), 14(5), 813-21
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 88:6799

GI



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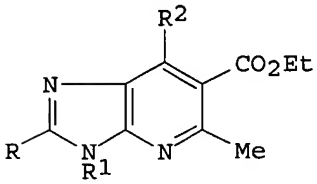
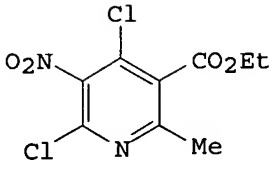


II

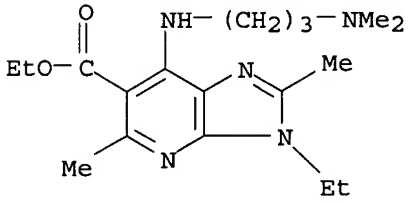


III

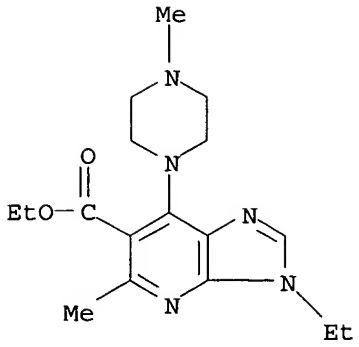
AB Imidazo[4,5-c]pyridines I (R = H, Me; R1 = Me, Et, Me2CH, Bu; R2 = Cl, MeO, EtO, Me2N(CH2)2O, Me2CH(CH2)2, EtNH, etc.) and imidazo[4,5-b]pyridines II (R's the same) were prepared from III. The synthesis is generally applicable for the introduction of a wide variety of substituents.

IT **60628-29-7P 60628-31-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 60628-29-7 HCAPLUS
CN 3H-Imidazo[4,5-b]pyridine-6-carboxylic acid, 7-[[3-(dimethylamino)propyl]amino]-3-ethyl-2,5-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)



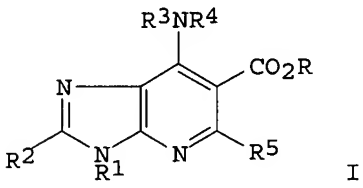
RN 60628-31-1 HCAPLUS
CN 3H-Imidazo[4,5-b]pyridine-6-carboxylic acid, 3-ethyl-5-methyl-7-(4-methyl-1-piperazinyl)-, ethyl ester (9CI) (CA INDEX NAME)



L20 ANSWER 42 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1976:560101 HCAPLUS
DOCUMENT NUMBER: 85:160101
TITLE: Amino derivatives of imidazo[4,5-b]pyridines
INVENTOR(S): Denzel, Theodor; Hoehn, Hans
PATENT ASSIGNEE(S): Chemische Fabrik von Heyden G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 33 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2604748	A1	19760819	DE 1976-2604748	19760206
US 3996233	A	19761207	US 1975-548325	19750210
CA 1054600	A1	19790515	CA 1976-244416	19760128
GB 1541692	A	19790307	GB 1976-4784	19760206
FR 2299867	A1	19760903	FR 1976-3626	19760210
FR 2299867	B1	19790824		
JP 51105092	A2	19760917	JP 1976-13825	19760210

PRIORITY APPLN. INFO.: US 1975-548325 A 19750210
GI



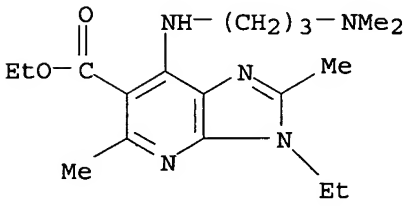
I

AB 3H-Imidazo[4,5-b]pyridine-6-carboxylates [I; R = e.g., H, Et, Bu; R1 = e.g., Me, Et; R2 = e.g., H, OH, Me; R3 = e.g., H, Bu, Me2N(CH2)3; R4 = e.g., H, Et, Pr; R5 = e.g., H, Me, Ph], useful as inflammation inhibitors, tranquilizers and in treatment of asthma (no data), are prepared by standard procedures. Thus, reaction of Et 4,6-dichloro-2-methyl-5-nitro-3-pyridinecarboxylate with H2N(CH2)3NMe2 gives Et 6-chloro-4-[[3-(dimethylamino)propyl]amino]-2-methyl-5-nitro-3-pyridinecarboxylate which on reaction with EtNH2 gives Et 4-[[3-(dimethylamino)propyl]amino]-6-

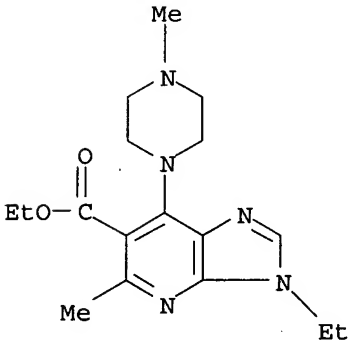
(ethylamino)-2-methyl-5-nitro-3-pyridinecarboxylate (II). Hydrogenation of II gives Et 5-amino-4-[[3-(dimethylamino)propyl]amino]-6-(ethylamino)-2-methyl-3-pyridinecarboxylate (III). Cycloaddn. of III with refluxing AcOH gives after 48 hr 72% I [R = R1 = Et, R2 = R5 = Me, R3 = H, R4 = Me2N(CH2)3].

IT **60628-29-7P 60628-31-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 60628-29-7 HCAPLUS
CN 3H-Imidazo[4,5-b]pyridine-6-carboxylic acid, 7-[[3-(dimethylamino)propyl]amino]-3-ethyl-2,5-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 60628-31-1 HCAPLUS
CN 3H-Imidazo[4,5-b]pyridine-6-carboxylic acid, 3-ethyl-5-methyl-7-(4-methyl-1-piperazinyl)-, ethyl ester (9CI) (CA INDEX NAME)

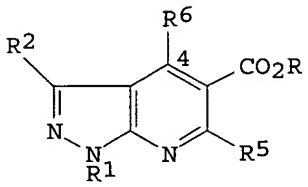


L20 ANSWER 43 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1976:421450 HCAPLUS
DOCUMENT NUMBER: 85:21450
TITLE: 1H-Pyrazolo[3,4]pyridine-5-carboxylic acids and esters
INVENTOR(S): Hoehn, Hans; Denzel, Theodor
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA
SOURCE: U.S., 13 pp. Division of U.S. 3,755,340.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

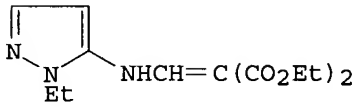
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3925388	A	19751209	US 1973-368561	19730611

US 3755340	A	19730828	US 1971-169536		19710805
US 3833594	A	19740903	US 1973-368562		19730611
US 3856799	A	19741224	US 1973-368802		19730611
CA 997352	A2	19760921	CA 1974-211343		19741015
PRIORITY APPLN. INFO.:			US 1970-41568	A2	19700528
			US 1971-169536	A3	19710805
			CA 1972-147053	A3	19720713

GI



I, $R^6=NR^3R^4$
III, $R^6=R^7$



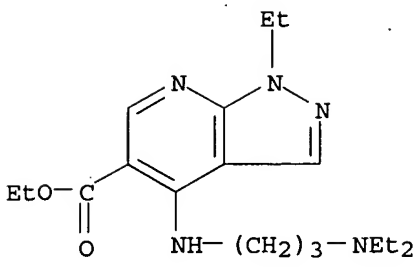
II

AB Pyrazolopyridinecarboxylic acids and esters I [R = H, Et, (CH2)8Me; R1 = alkyl, PhCH2, Ph, H, 4-ClC6H4CO; R2 = H, Me, Ph; R3 = H, alkyl, 3-F3CC6H4, (CH2)nNEt2 (n = 2, 3), Ph(CH2)n (n = 2, 3), 2,3-xylyl, 2-HO2CC6H4, Ac, 4-ClC6H4CO, Ph, tosyl; R4 = H, Et, CH2CH2OH; NR3R4 = hexahydromethyldiazepino, dimethylpyrazolyl, morpholino, 1-pyrrolidinyl, piperazino and 4-Me derivative, piperidino, dimethylpyrazino; R5 = H, Me] (62 compds.) useful as tranquilizers, inflammation inhibitors, analgesics, and central nervous system depressants (no data), were prepared, e.g., by stirring 1-ethyl-5-aminopyrazole with EtOCH:C(CO2Et) 2 hr at 120° (84% yield), cyclizing the malonate II by heating at 235-50° for 1-2 hr (92% yield), refluxing the hydroxypyrazolopyridine III (R7 = OH, R = R1 = Et, R2 = H) with POCl3 4 hr, and treating the chloro compound III (R7 = Cl, other R's as above) with BuNH2 to give 91.5% I (R = R1 = Et, R2 = R4 = R5 = H, R3 = Bu). Aminolysis of III (R7 = EtO) also gave I. I (R = Et, R1 = Me, R2 = R4 = R5 = H, R3 = Bu) (IV) was prepared in 7 steps from 3-methyl-5-aminoisoxazole via Et 3-acetyl-4-(butylamino)-2-hydroxy-5-pyridinecarboxylate (V). IV was also prepared by chlorinating V to give the 2-Cl analog which was cyclized with N2H4 by refluxing 5 hr in EtOH. I (R5 ≠ H) were prepared by cyclizing the appropriate 5-aminopyrazole with AcCH2(CO2Et)2 with polyphosphorous acid at 120°/3 hr, chlorinating the III (R7 = OH) so formed, and treating the Cl compound with BuNH2.

IT **34966-08-0P 34966-20-6P 35075-70-8P**
37700-53-1P 53064-94-1P 59444-06-3P
59444-07-4P 59457-84-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

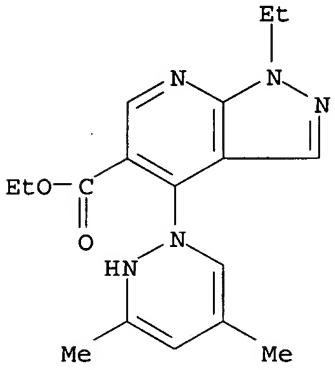
RN 34966-08-0 HCAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-[[3-(diethylamino)propyl]amino]-1-ethyl-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

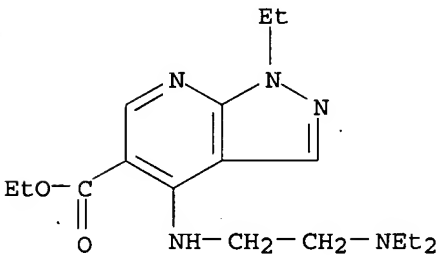


●2 HCl

RN 34966-20-6 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-(3,5-dimethyl-1(2H)-pyridazinyl)-1-ethyl-, ethyl ester (9CI) (CA INDEX NAME)

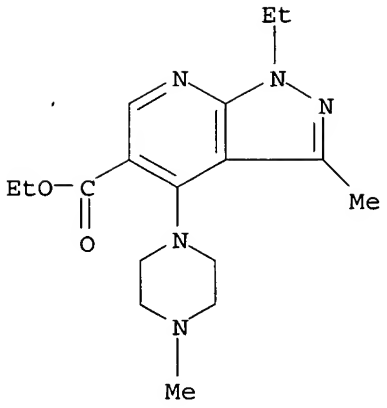


RN 35075-70-8 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-[[2-(diethylamino)ethyl]amino]-1-ethyl-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



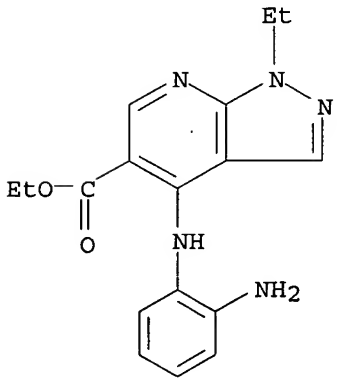
●2 HCl

RN 37700-53-1 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 1-ethyl-3-methyl-4-(4-methyl-1-piperazinyl)-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

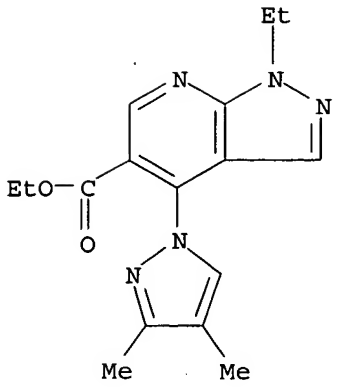


● 2 HCl

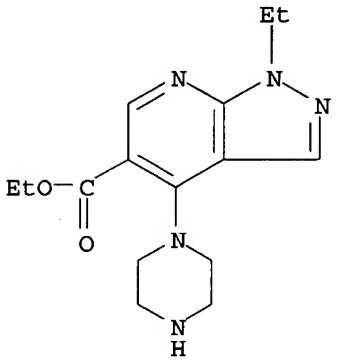
RN 53064-94-1 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-[(2-aminophenyl)amino]-1-ethyl-, ethyl ester (9CI) (CA INDEX NAME)



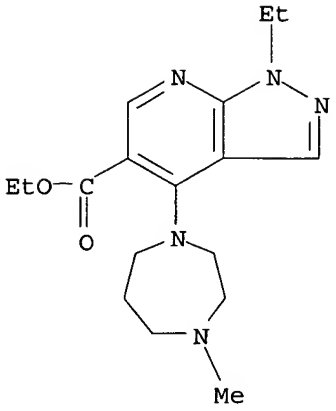
RN 59444-06-3 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-(3,4-dimethyl-1H-pyrazol-1-yl)-1-ethyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 59444-07-4 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 1-ethyl-4-(1-piperazinyl)-, ethyl ester (9CI) (CA INDEX NAME)

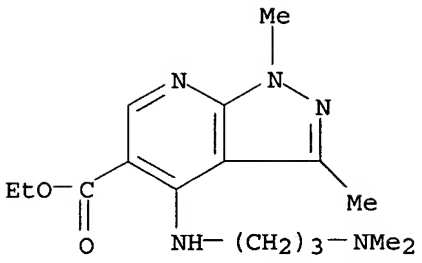


RN 59457-84-0 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 1-ethyl-4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



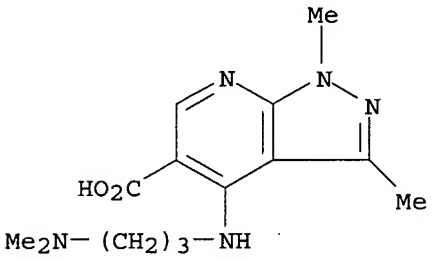
●2 HCl

L20 ANSWER 44 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1976:69240 HCAPLUS
DOCUMENT NUMBER: 84:69240
TITLE: Interferon inducing activities of derivatives of 1,3-dimethyl-4-(3-dimethylaminopropylamino)-1H-pyrazolo[3,4-b]quinoline and related compounds
AUTHOR(S): Crenshaw, R. R.; Luke, George M.; Siminoff, Paul
CORPORATE SOURCE: Bristol Lab. Div., Bristol-Myers Co., Syracuse, NY, USA
SOURCE: Journal of Medicinal Chemistry (1976), 19(2), 262-75
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Of 137 derivs. and heterocyclic analogs of 1,3-dimethyl-4-(3-dimethylaminopropylamino)-1H-pyrazolo[3,4-b]quinoline-2HCl (I) [41935-57-3] prepared and tested for interferon inducing activity in mice, 2 of the more active compds. were the 5,7-dimethoxy- (II) [56476-81-4] and 1,3,7-trimethyl- (III) [56476-51-8] derivs. II had oral activity comparable to tilorone [27591-97-5] at a dose range of 25-50 mg/kg, while III for similar activity required 50-100 mg/kg. The acute toxicity of III was approx. equal to I, but III was about 4 times as active in the interferon induction tests, giving a fourfold improvement in the therapeutic ratio. Structure-activity relations were discussed.
IT **57861-27-5P 57862-35-8P 57862-36-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and interferon induction by)
RN 57861-27-5 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-[[3-(dimethylamino)propyl]amino]-1,3-dimethyl-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



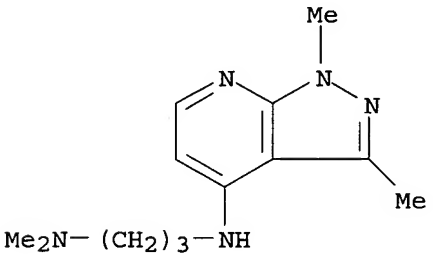
●2 HCl

RN 57862-35-8 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-[[3-(dimethylamino)propyl]amino]-1,3-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 57862-36-9 HCAPLUS
CN 1,3-Propanediamine, N'-(1,3-dimethyl-1H-pyrazolo[3,4-b]pyridin-4-yl)-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L20 ANSWER 45 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1975:16871 HCAPLUS

DOCUMENT NUMBER: 82:16871
TITLE: Diazepiones
INVENTOR(S): Denzel, Theodor; Hoehn, Hans; Schulze, Ernst
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc.
SOURCE: Fr. Demande, 30 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2200003	A1	19740419	FR 1973-33998	19730921
FR 2200003	B1	19770311		
US 4012373	A	19770315	US 1972-291503	19720922
CA 1013349	A1	19770705	CA 1973-178721	19730813
GB 1450452	A	19760922	GB 1973-39325	19730820
JP 49069700	A2	19740705	JP 1973-107409	19730922

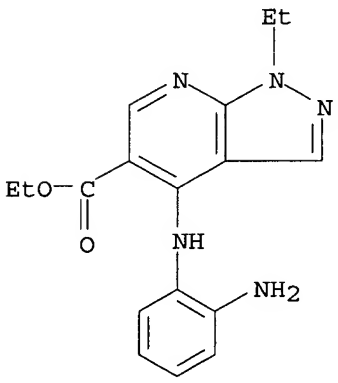
PRIORITY APPLN. INFO.: US 1972-291503 A 19720922

GI For diagram(s), see printed CA Issue.

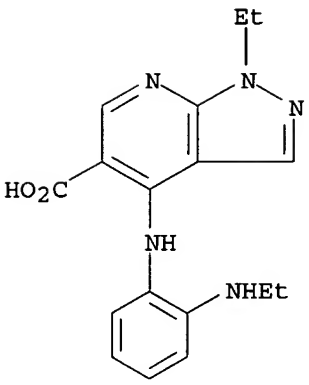
AB Tranquilizing (no data) pyrazolopyrido-benzodiazepinones I [R-R2 = H, R3 = Me, Et, (CH2)3NMe2, CH2CH2NMe2, CHMeCH2NMe2, CH2CH2NEt2, 3-piperidinopropyl, Bu; R = Et, R1 = R2 = H, R3 = Me; R = R3 = Et, R1 = R2 = H, R1 = Cl, R2 = H, R1 = H, R2 = Cl; R = R1 = R3 = H, R2 = Cl; R = (CH2)9NMe2, R1 = R2 = H, R3 = Me, Et; R = R3 = Me, (CH2)9Me, CH2Ph, Bu] were prepared by several methods from 1-ethyl-5-aminopyrazole.

IT **53064-94-1P 53064-99-6P 53065-03-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)

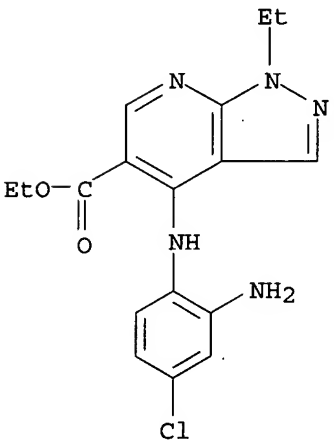
RN 53064-94-1 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-[(2-aminophenyl)amino]-1-ethyl-, ethyl ester (9CI) (CA INDEX NAME)



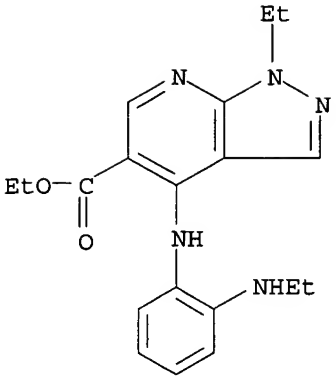
RN 53064-99-6 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 1-ethyl-4-[[2-(ethylamino)phenyl]amino]- (9CI) (CA INDEX NAME)



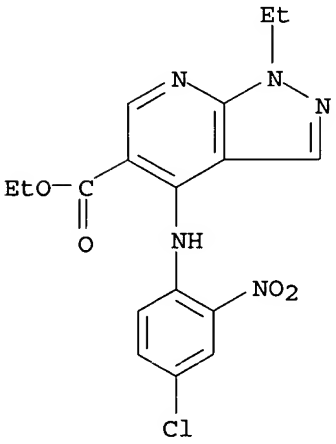
RN 53065-03-5 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-[(2-amino-4-chlorophenyl)amino]-1-ethyl-, ethyl ester (9CI) (CA INDEX NAME)



IT **53064-98-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
RN 53064-98-5 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 1-ethyl-4-[[2-(ethylamino)phenyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



IT **53065-02-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 53065-02-4 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-[(4-chloro-2-nitrophenyl)amino]-1-ethyl-, ethyl ester (9CI) (CA INDEX NAME)



L20 ANSWER 46 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1974:463691 HCAPLUS
DOCUMENT NUMBER: 81:63691
TITLE: Pyrazolopyridobenzodiazepinones
INVENTOR(S): Denzel, Theodor; Hoehn, Hans; Schulze, Ernst
PATENT ASSIGNEE(S): Chemische Fabrik von Heyden G.m.b.H.
SOURCE: Ger. Offen., 32 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

DE 2346466	A1	19740411	DE 1973-2346466	19730914
US 4012373	A	19770315	US 1972-291503	19720922
CA 1013349	A1	19770705	CA 1973-178721	19730813
GB 1450452	A	19760922	GB 1973-39325	19730820
JP 49069700	A2	19740705	JP 1973-107409	19730922

PRIORITY APPLN. INFO.: US 1972-291503 A 19720922

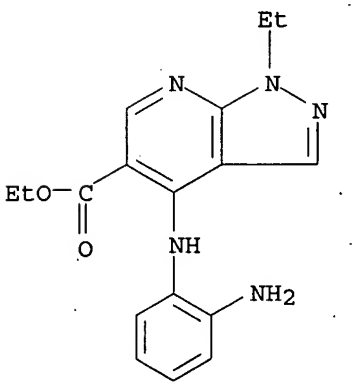
GI For diagram(s), see printed CA Issue.

AB Twenty pyrazolo-pyridobenzodiazepinones I (R = H, C1-10 alkyl, CH2Ph, or (CH2)3NMe2; R1 = H, 6-Cl, or 7-Cl; R2 = e.g. C1-10 alkyl, CH2-Ph, (CH2)2NEt2, 3-piperidinopropyl, or (CH2)3N+Me3 iodide] were prepared and useful as anxiolytics, inflammation inhibitors, tranquilizers, and drugs in the treatment of asthma. Thus, the ester II was refluxed in o-xylene in the presence of Me3COK to give 71% I (R = R1 = R2 = H) (III). Reaction of III with NaH and Cl(CH2)3NMe2 in dioxane gave 77% I [R = R1 = H, R2 = (CH2)3NMe2]. The anilide IV was refluxed in DMF to give 65% I (R = R2 = H, R1 = 6-Cl), which on reaction with NaH and EtI in dioxane gave 81% I (R = R2 = Et, R1 = 6-Cl).

IT **53064-94-1P 53064-98-5P 53064-99-6P**
53065-02-4P 53065-03-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

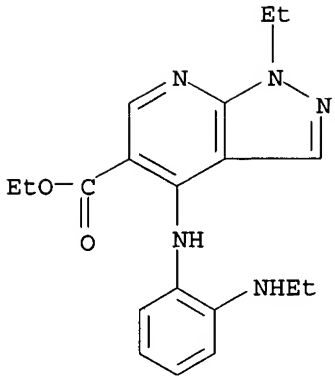
RN 53064-94-1 HCAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-[(2-aminophenyl)amino]-1-ethyl-, ethyl ester (9CI) (CA INDEX NAME)

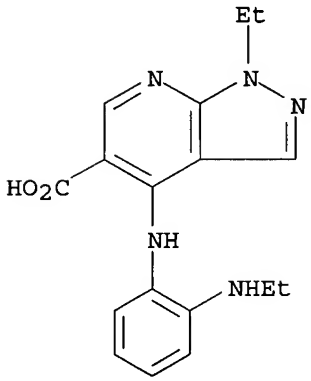


RN 53064-98-5 HCAPLUS

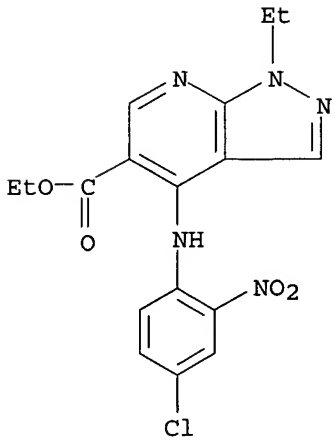
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 1-ethyl-4-[[2-(ethylamino)phenyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



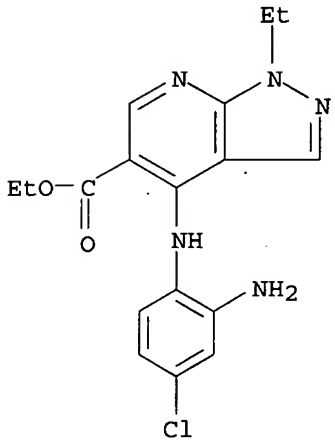
RN 53064-99-6 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 1-ethyl-4-[[2-(ethylamino)phenyl]amino]- (9CI) (CA INDEX NAME)



RN 53065-02-4 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-[(4-chloro-2-nitrophenyl)amino]-1-ethyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 53065-03-5 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-[(2-amino-4-chlorophenyl)amino]-1-ethyl-, ethyl ester (9CI) (CA INDEX NAME)

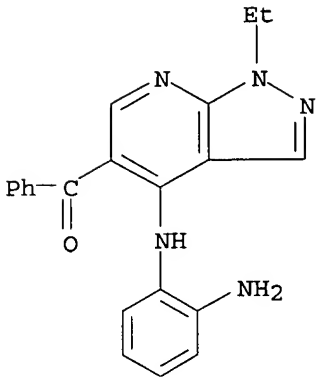


L20 ANSWER 47 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1974:83082 HCAPLUS
DOCUMENT NUMBER: 80:83082
TITLE: Derivatives of pyrazolo[3',4'-2,3]pyrido[4,5-e]b-benzo-1,5-diazepines
INVENTOR(S): Denzel, Theodor; Hoehn, Hans
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc.
SOURCE: U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

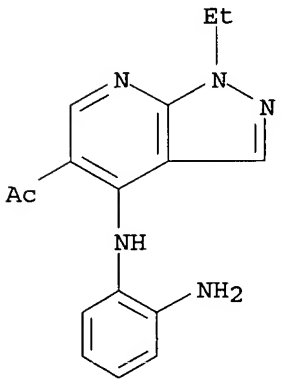
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3780047	A	19731218	US 1972-268995	19720705
CA 988926	A1	19760511	CA 1973-174472	19730619
GB 1440619	A	19760623	GB 1973-30316	19730626
DE 2333646	A1	19740124	DE 1973-2333646	19730702
FR 2190469	A1	19740201	FR 1973-24698	19730705
JP 49042699	A2	19740422	JP 1973-76099	19730705

PRIORITY APPLN. INFO.: US 1972-268995 A 19720705
GI For diagram(s), see printed CA Issue.
AB Tranquilizing pyrazolopyridobenzodiazepines I (R = R1 = Me; R = Ph, R1 = Me, Et, (CH2)3NMe2) were prepared Thus, 1-ethyl-5-aminopyrazole was treated with EtOCH:CAcCO2Et and thermally cyclized to the pyrazolopyridine II (R2 = OH). Ethylation followed by treatment with o-phenylenediamine gave II (R2 = o-H2NC6H4NH), which was cyclized in the presence of pyridine to I (R = Me, R1 = H) and methylated with MeI.
IT **51856-06-5P 51908-93-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)
RN 51856-06-5 HCAPLUS

CN Methanone, [4-[(2-aminophenyl)amino]-1-ethyl-1H-pyrazolo[3,4-b]pyridin-5-yl]phenyl- (9CI) (CA INDEX NAME)



RN 51908-93-1 HCAPLUS
CN Ethanone, 1-[4-[(2-aminophenyl)amino]-1-ethyl-1H-pyrazolo[3,4-b]pyridin-5-yl]- (9CI) (CA INDEX NAME)

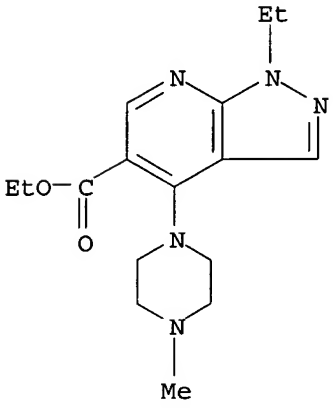


L20 ANSWER 48 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1972:448325 HCAPLUS
DOCUMENT NUMBER: 77:48325
TITLE: 1H-pyrazolo[3,4-b]pyridines
AUTHOR(S): Hoehn, H.; Denzel, Th.; Janssen, W.
CORPORATE SOURCE: Chem. Fabrik von Heyden G.m.b.H., Regensburg, Fed. Rep. Ger.
SOURCE: Journal of Heterocyclic Chemistry (1972), 9(2), 235-53
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 77:48325
GI For diagram(s), see printed CA Issue.
AB Aminopyrazoles were condensed with ROCH:C(CO2Et)2 to give the pyrazoles (I), which were cyclized by heating in Ph2O or by treatment with POCl3 to give the pyrazolo[3,4-b]pyridines (II, R3 = OH (III), Cl (IV), resp.). About 100 II (R = Me, Et, Me2CH, Bu, Ph, PhCH2, R1 = H, Me, Ph, R2 = MeO,

EtO, PhCH2O, CH.tplbond.CCH2O, BuO, NHNH2, NHNH2, NHN:CMe2, PhNHNH, (HOCH2)2C:NNH, (5-nitrofurfurylidene)hydrazino, BuNH2, NH2, PhCH2NH, Me3CNH, 1-pyrrolidinyl, PhNH, N3, etc., R3 = H, Et, Bu) were prepared from III and IV.

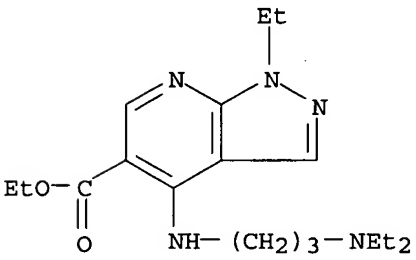
IT **34966-07-9P 34966-08-0P 34966-12-6P 34966-15-9P 35075-70-8P 37689-32-0P 37700-42-8P 37700-43-9P 37700-53-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 34966-07-9 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 1-ethyl-4-(4-methyl-1-piperazinyl)-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



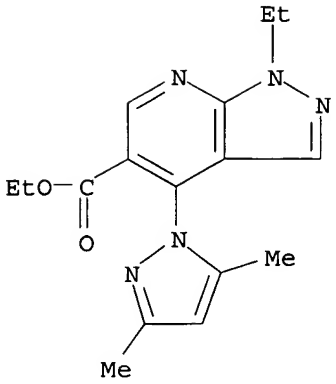
●2 HCl

RN 34966-08-0 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-[[3-(diethylamino)propyl]amino]-1-ethyl-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

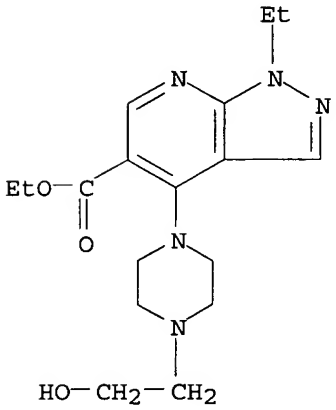


●2 HCl

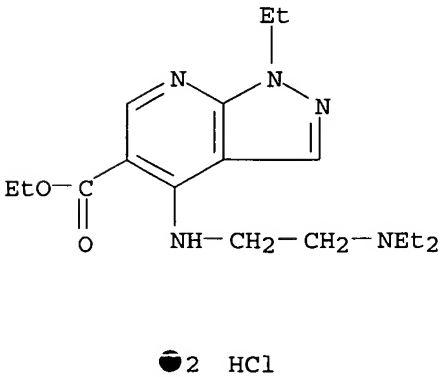
RN 34966-12-6 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-(3,5-dimethyl-1H-pyrazol-1-yl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 34966-15-9 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 1-ethyl-4-[4-(2-hydroxyethyl)-1-piperazinyl]-, ethyl ester (9CI) (CA INDEX NAME)

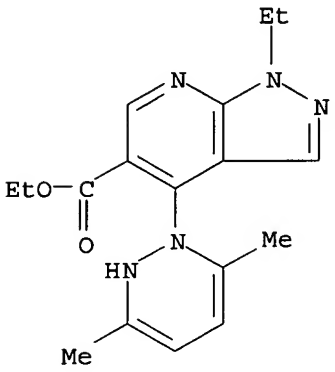


RN 35075-70-8 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-[[2-(diethylamino)ethyl]amino]-1-ethyl-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

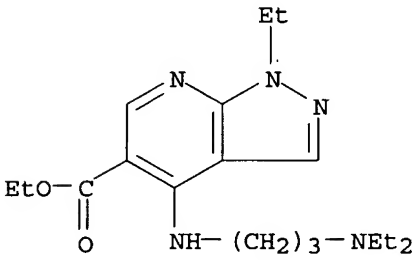


● 2 HCl

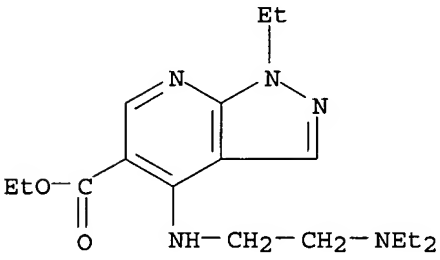
RN 37689-32-0 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-(3,6-dimethyl-1(2H)-pyridazinyl)-1-ethyl-, ethyl ester (9CI) (CA INDEX NAME)



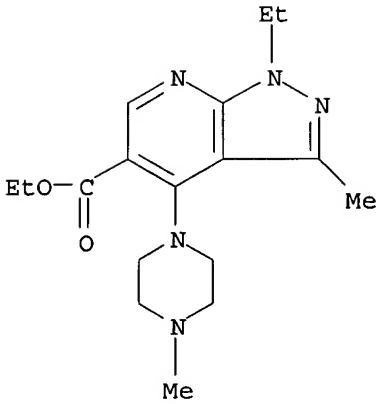
RN 37700-42-8 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-[[3-(diethylamino)propyl]amino]-1-ethyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 37700-43-9 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-[[2-(diethylamino)ethyl]amino]-1-ethyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 37700-53-1 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 1-ethyl-3-methyl-4-(4-methyl-1-piperazinyl)-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L20 ANSWER 49 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1972:59619 HCAPLUS
DOCUMENT NUMBER: 76:59619
TITLE: 4-Amino-1H-pyrazolo[3,4-b]pyridine-5-carboxylic acid derivatives
INVENTOR(S): Hoehn, Hans; Denzel, Theodor
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc.
SOURCE: Ger. Offen., 20 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2123318	A	19711209	DE 1971-2123318	19710511
DE 2123318	C2	19840503		
ZA 7101710	A	19711229	ZA 1971-1710	19710316
ES 390163	A1	19730701	ES 1971-390163	19710414
HU 163173	P	19730628	HU 1971-SU614	19710421
CH 527208	A	19720831	CH 1971-527208	19710503
JP 55004105	B4	19800129	JP 1971-31475	19710511
NL 7106688	A	19711130	NL 1971-6688	19710514
NL 172657	B	19830502		
NL 172657	C	19831003		
FR 2100698	A5	19720324	FR 1971-19340	19710527
FR 2100698	B1	19740823		
SE 367202	B	19740520	SE 1971-6895	19710527
BE 767842	A1	19711129	BE 1971-104025	19710528

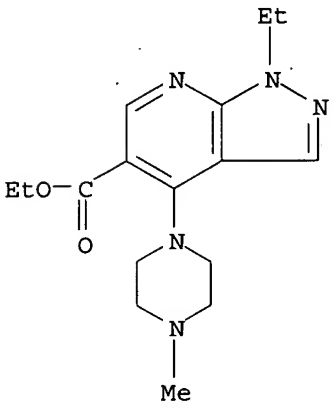
PRIORITY APPLN. INFO.: US 1970-41568 A 19700528
GI For diagram(s), see printed CA Issue.
AB The tranquilizing, ataractic, intracellular cyclic AMP-increasing, antiinflammatory, and analgesic title compds. [I, R=Et, Me, PhCH2, Bu or Ph; R1=H or Me; X=NR2R3 with R2 or R3=H, Et, (CH2)2NEt2, or Bu, or X=4-methylpiperidino or morpholino; R4=H or Et] were prepared by amination of I (X=OEt or Cl), which were prepared from II by cyclization and

etherification or chlorination, resp. Thus, II (R=Et, R1=H), prepared in 84% yield from 1-ethyl-5-aminopyrazole and EtOCH:C(CO2Et)2, was heated in Ph2O for 1-2 hr at 235-50° to give 92% I (R=R4=Et, R1=H, X=OH), which (259 g) on treatment with EtI and K2CO3 in DMF gave 165 g I (R=R4=Et, R1=H, X=OEt) (III). III was treated with NH3-EtOH for 15 hr in an autoclave to give 90% I (R=R4=Et, R1=H, X=NH2). Similarly prepared were .apprx.40 other I.

IT **34966-07-9P 34966-08-0P 34966-12-6P**
34966-15-9P 34966-20-6P 35075-70-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 34966-07-9 HCAPLUS

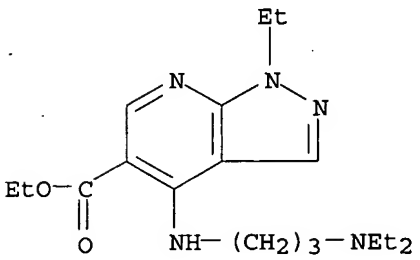
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 1-ethyl-4-(4-methyl-1-piperazinyl)-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 34966-08-0 HCAPLUS

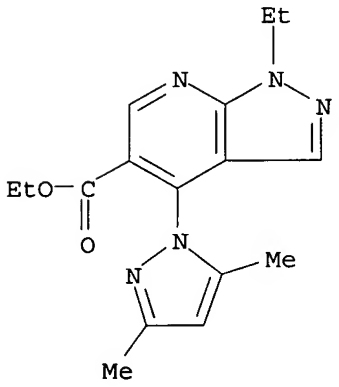
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-[[3-(diethylamino)propyl]amino]-1-ethyl-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



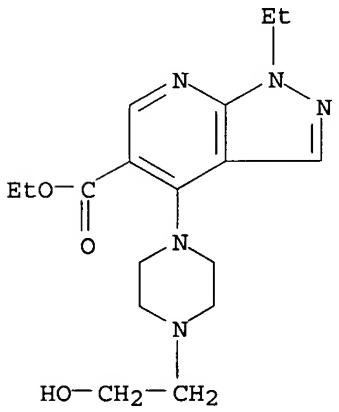
●2 HCl

RN 34966-12-6 HCAPLUS

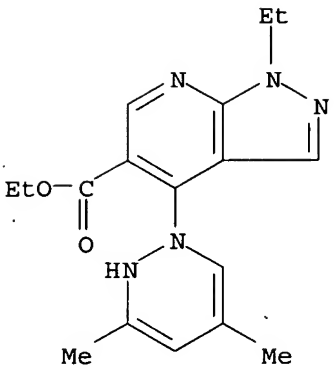
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-(3,5-dimethyl-1H-pyrazol-1-yl)-, ethyl ester (9CI) (CA INDEX NAME)



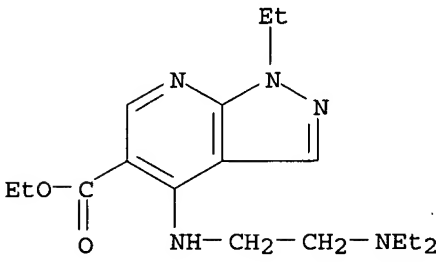
RN 34966-15-9 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 1-ethyl-4-[4-(2-hydroxyethyl)-1-piperazinyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 34966-20-6 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-(3,5-dimethyl-1(2H)-pyridazinyl)-1-ethyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 35075-70-8 HCAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-[[2-(diethylamino)ethyl]amino]-1-ethyl-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L20 ANSWER 50 OF 50 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1968:59540 HCAPLUS
DOCUMENT NUMBER: 68:59540
TITLE: Potential folic acid antagonists. III. Deaza analogs of methotrexate. III. 1- and 3-deaza analogs of 2,4-diamino-6-[(N-methylanilino)methyl]pteridine
AUTHOR(S): Elliott, Robert Daryl; Temple, Carroll, Jr.; Montgomery, John A.
CORPORATE SOURCE: Kettering-Meyer Lab., Southern Res. Inst., Birmingham, AL, USA
SOURCE: Journal of Organic Chemistry (1968), 33(2), 533-6
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 68:59540
GI For diagram(s), see printed CA Issue.
AB The treatment of 1-amino-3-(N-methylanilino)-2-propanol with di-Et 4-chloro-3-nitro-2,6-pyridinedicarbamate (I) and Et 4-amino-6-chloro-5-nitro-2-pyridinecarbamate (II), resp., gave the corresponding 2-hydroxy-3-(N-methylanilino)propylaminopyridines III and IV. Oxidation of these alcs. to the corresponding 3-(N-methylanilino)-2-oxopropylaminopyridines V and VI was accomplished with Me2SO and

N,N'-dicyclohexylcarbodiimide (Pfitzner-Moffatt procedure). Reductive cyclization of these 2-oxopropylaminopyridines followed by ring oxidation with KMnO4 and basic hydrolysis of the urethane groups provided 5,7-diamino-3- (N-methyl-anilino)methyl]pyrido 3,4-b]pyrazine (VII) and 6,8-diamino-2- (N-methylanilino)methyl]pyrido 2,3-b]pyrazine (VIII), the 1- and 3-deaza analogs of 2,4-diamino-6- (N-methylanilino)methyl]pteridine . 7 references.

IT **15223-98-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 15223-98-0 HCAPLUS

CN Carbamic acid, [2,3-dihydro-7-[[2-hydroxy-3-(methylphenylamino)propyl]amino]-2-oxo-1H-imidazo[4,5-b]pyridin-5-yl]-, ethyl ester (9CI) (CA INDEX NAME)